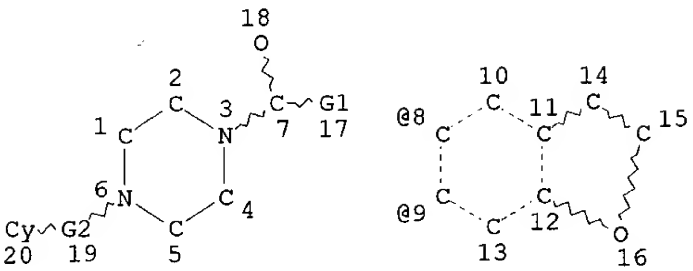
L8 HAS NO ANSWERS
L8 STR



VAR G1=8/9
REP G2=(1-3) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 3 8
NUMBER OF NODES IS 20

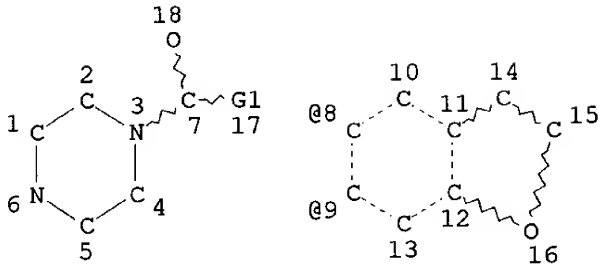
STEREO ATTRIBUTES: NONE

=> s l8 ful
FULL SEARCH INITIATED 10:35:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1847 TO ITERATE

100.0% PROCESSED 1847 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.04

L10 0 SEA SSS FUL L8

L11 HAS NO ANSWERS
L11 STR



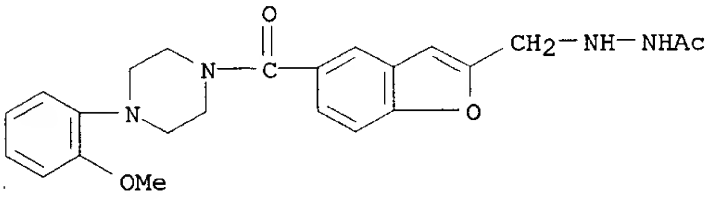
VAR G1=8/9
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 3 8
NUMBER OF NODES IS 18

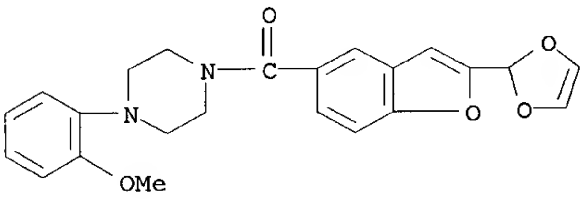
STEREO ATTRIBUTES: NONE

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

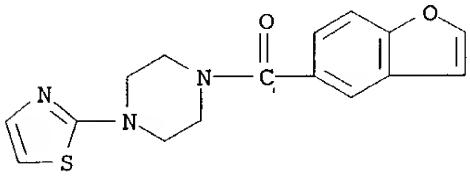
L13 14 ANSWERS REGISTRY COPYRIGHT 2001 ACS
IN Acetic acid, 2-[[5-[[4-(2-methoxyphenyl)-1-piperazinyl]carbonyl]-2-benzofuranyl]methyl]hydrazide (9CI)
MF C23 H26 N4 O4



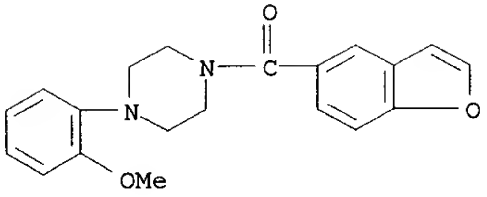
L13 14 ANSWERS REGISTRY COPYRIGHT 2001 ACS
IN Piperazine, 1-[[2-(1,3-dioxol-2-yl)-5-benzofuranyl]carbonyl]-4-(2-methoxyphenyl)- (9CI)
MF C23 H22 N2 O5



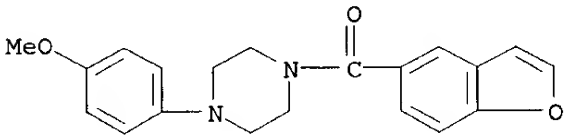
L13 14 ANSWERS REGISTRY COPYRIGHT 2001 ACS
IN Piperazine, 1-(5-benzofuranylcarbonyl)-4-(2-thiazolyl)- (9CI)
MF C16 H15 N3 O2 S

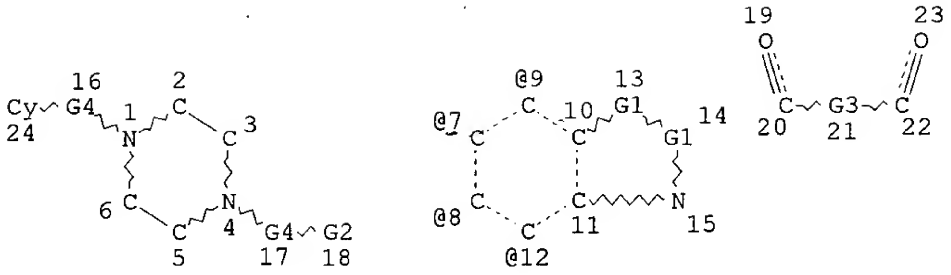


L13 14 ANSWERS REGISTRY COPYRIGHT 2001 ACS
IN Piperazine, 1-(5-benzofuranylcarbonyl)-4-(2-methoxyphenyl)- (9CI)
MF C20 H20 N2 O3



L13 14 ANSWERS REGISTRY COPYRIGHT 2001 ACS
IN Piperazine, 1-(5-benzofuranylcarbonyl)-4-(4-methoxyphenyl)- (9CI)
MF C20 H20 N2 O3





```
VAR G1=C/N
VAR G2=9/7/8/12
REP G3=(0-5) CH
REP G4=(1-6) A
ENTER (DIS), GRA, NOD, BON OR ?:end
L15  STRUCTURE CREATED

=> s l15
SAMPLE SEARCH INITIATED 11:59:19 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   2536 TO ITERATE

 39.4% PROCESSED    1000 ITERATIONS                                 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

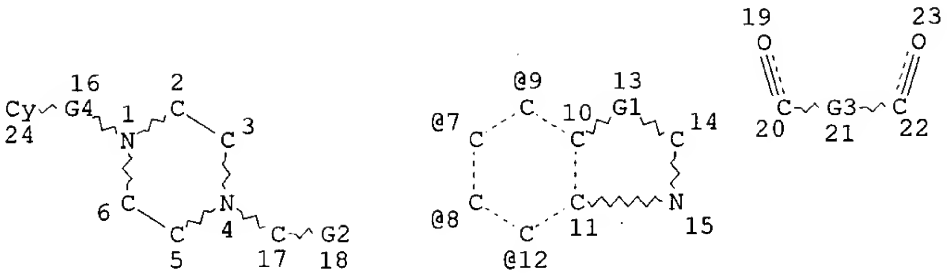
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        47700 TO    53740
PROJECTED ANSWERS:               2 TO      236

L16           2 SEA SSS SAM L15

=> s l15 ful
FULL SEARCH INITIATED 11:59:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  49783 TO ITERATE

100.0% PROCESSED   49783 ITERATIONS                               53 ANSWERS
SEARCH TIME: 00.00.03

L17           53 SEA SSS FUL L15
```



```
VAR G1=C/N
VAR G2=9/7/8/12
REP G3=(0-5) CH
REP G4=(1-6) A
ENTER (DIS), GRA, NOD, BON OR ?:end
L9   STRUCTURE CREATED

=> s l9
SAMPLE SEARCH INITIATED 11:57:44 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    310 TO ITERATE

100.0% PROCESSED     310 ITERATIONS                          3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         5144 TO     7256
PROJECTED ANSWERS:               3 TO      163

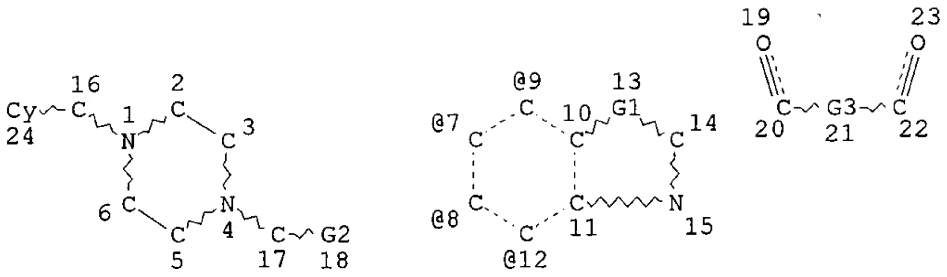
L10           3 SEA SSS SAM L9

=> s l9 ful
FULL SEARCH INITIATED 11:57:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   5359 TO ITERATE

100.0% PROCESSED    5359 ITERATIONS                         53 ANSWERS
SEARCH TIME: 00.00.02

L11          53 SEA SSS FUL L9
```

```
=> d l1
L1 HAS NO ANSWERS
L1                STR
```




```
VAR G1=C/N
VAR G2=9/7/8/12
REP G3=(0-5) CH
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
GGCAT   IS UNS  AT  24
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC   4  14
NUMBER OF NODES IS  24

STEREO ATTRIBUTES: NONE


=> s l1 ful
FULL SEARCH INITIATED 11:51:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   4200 TO ITERATE

100.0% PROCESSED    4200 ITERATIONS                          53 ANSWERS
SEARCH TIME: 00.00.01

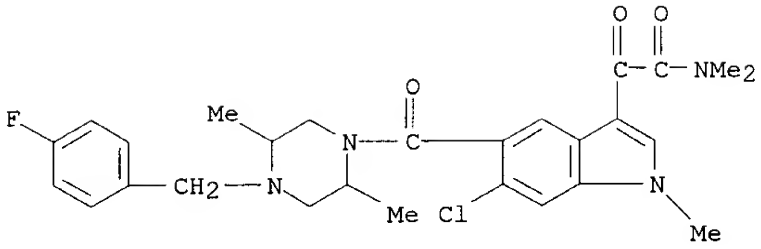
L3            53 SEA SSS FUL L1
```

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:396662 CAPLUS
DN 138:379271
TI Method using imidazole derivatives to treat cystic fibrosis
IN Higgins, Linda S.; Liu, David Y.; Protter, Andrew A.
PA Scios Inc., USA
SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

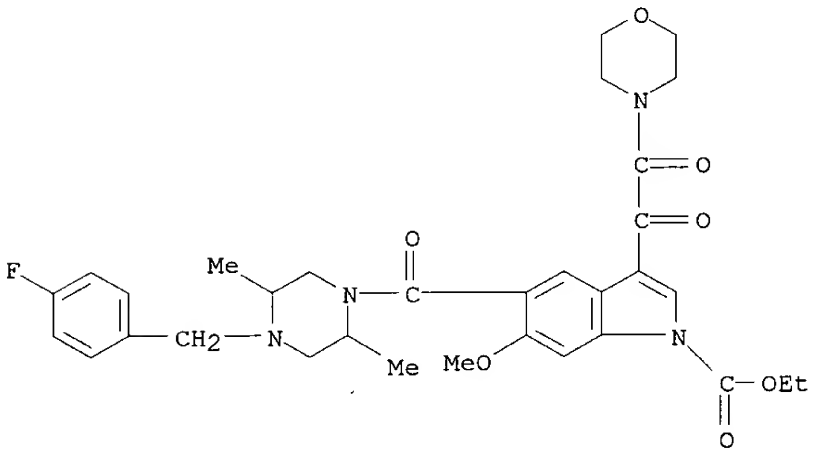
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003041644	A2	20030522	WO 2002-US35939	20021108

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-338209P P 20011109
OS MARPAT 138:379271
AB The invention is directed to methods to treat cystic fibrosis by administering certain imidazole derivs.
IT **309913-59-5P 309913-60-8P 309913-64-2P**
309913-71-1P 309913-72-2P 309913-73-3P
309913-74-4P 309913-82-4P 309913-83-5P
309913-85-7P 309913-88-0P 309914-02-1P
309914-14-5P 309914-17-8P 309914-21-4P
309914-25-8P 309914-27-0P 309914-60-1P
309914-62-3P 309914-63-4P 309914-64-5P
309914-71-4P 309914-73-6P 309914-74-7P
309914-77-0P 309914-78-1P 309914-79-2P
309914-80-5P 309914-83-8P 309914-85-0P
309914-86-1P 309914-87-2P 309914-89-4P
309914-95-2P 309914-96-3P 309915-01-3P
309915-02-4P 309915-04-6P 309915-05-7P
527698-34-6P 527698-35-7P 527698-36-8P
527698-38-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(imidazole derivs. for treatment of cystic fibrosis)
RN 309913-59-5 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

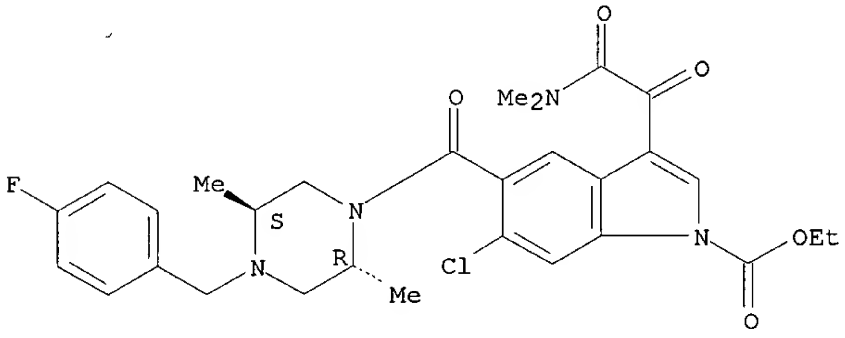


RN 309913-60-8 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-3-(4-morpholinyloxoacetyl)-, ethyl ester (9CI) (CA INDEX NAME)



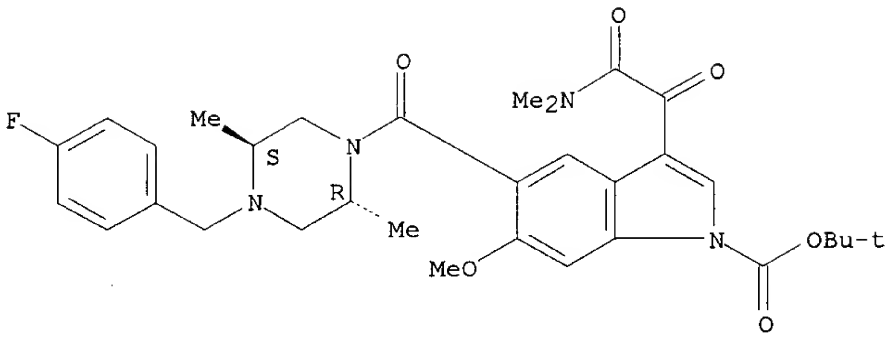
RN 309913-64-2 CAPLUS
CN 1H-Indole-1-carboxylic acid, 6-chloro-3-[(dimethylamino)oxoacetyl]-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



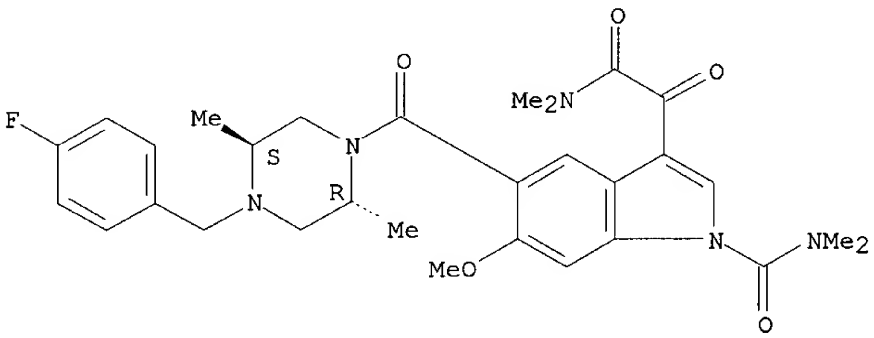
RN 309913-71-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[(dimethylamino)oxoacetyl]-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

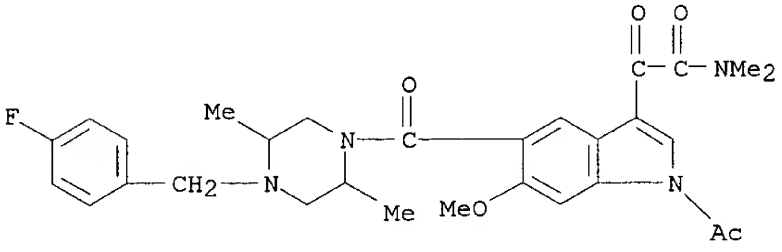


RN 309913-72-2 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(dimethylamino)carbonyl]-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

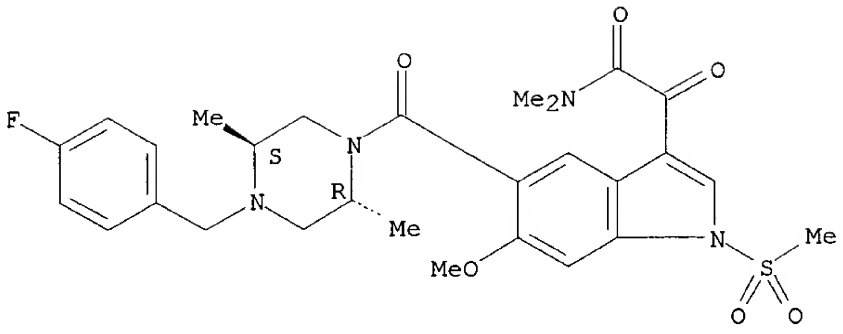


RN 309913-73-3 CAPLUS
CN 1H-Indole-3-acetamide, 1-acetyl-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



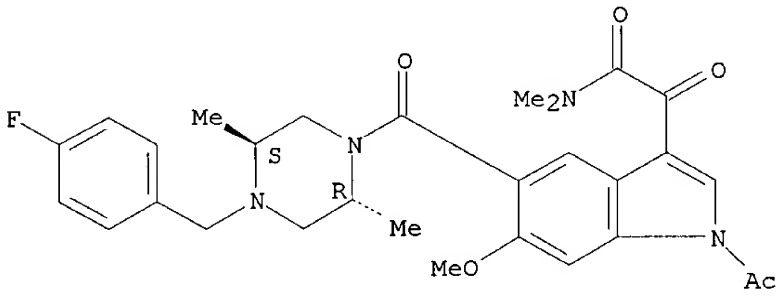
RN 309913-74-4 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-1-(methylsulfonyl)-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



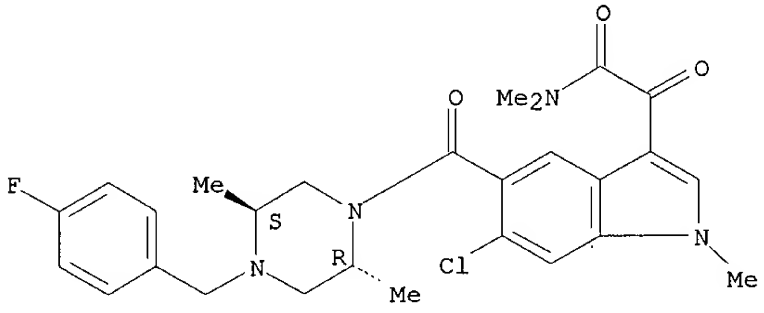
RN 309913-82-4 CAPLUS
CN 1H-Indole-3-acetamide, 1-acetyl-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



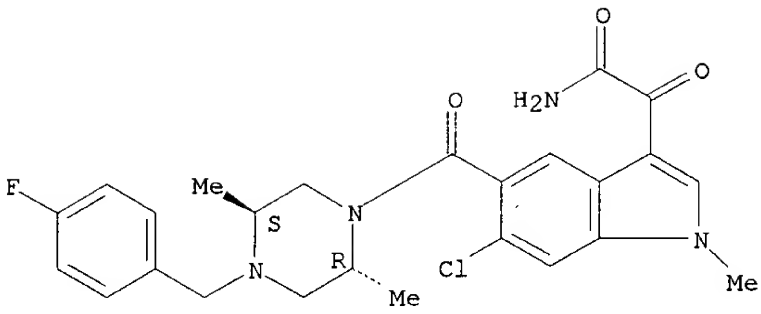
RN 309913-83-5 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



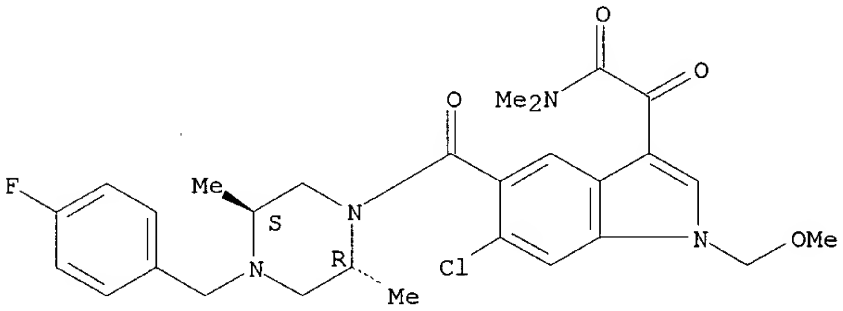
RN 309913-85-7 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-methyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



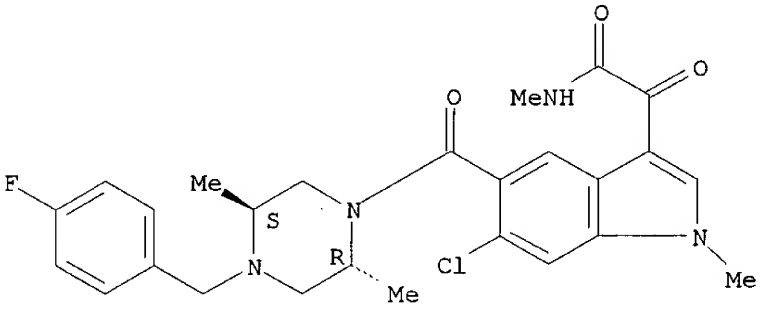
RN 309913-88-0 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-(methoxymethyl)-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



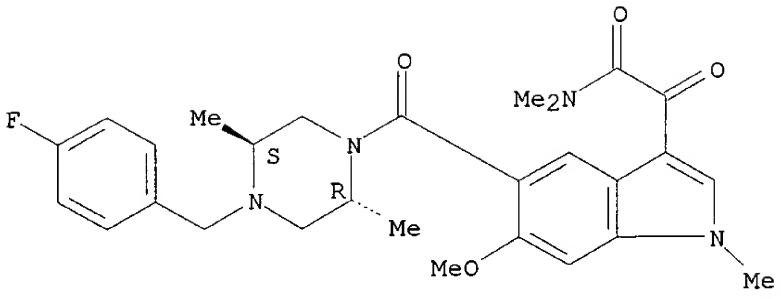
RN 309914-02-1 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,1-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



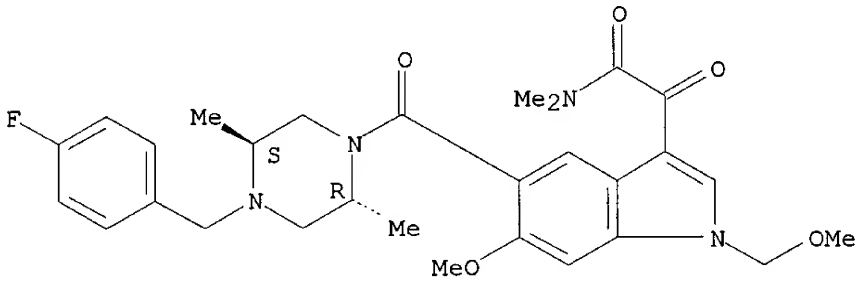
RN 309914-14-5 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,1-trimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



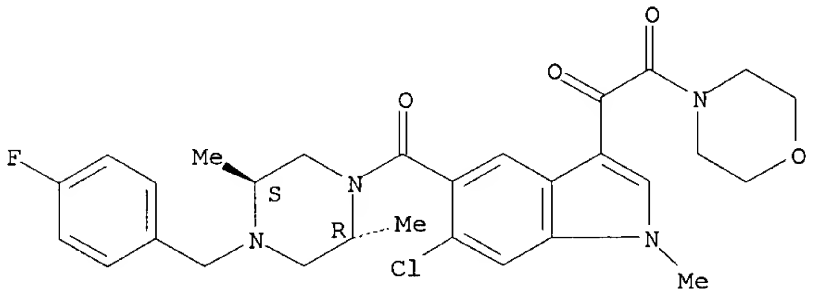
RN 309914-17-8 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-(methoxymethyl)-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



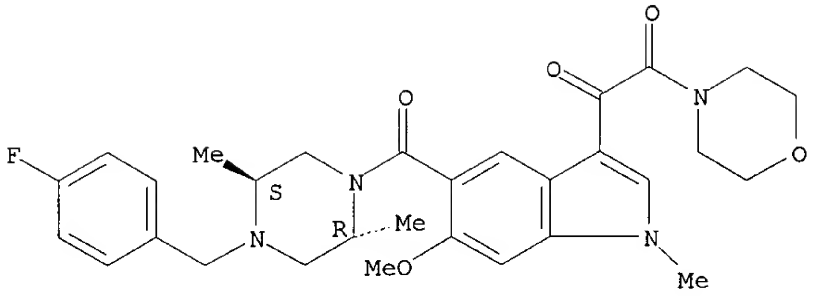
RN 309914-21-4 CAPLUS
CN Morpholine, 4-[[6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-methyl-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



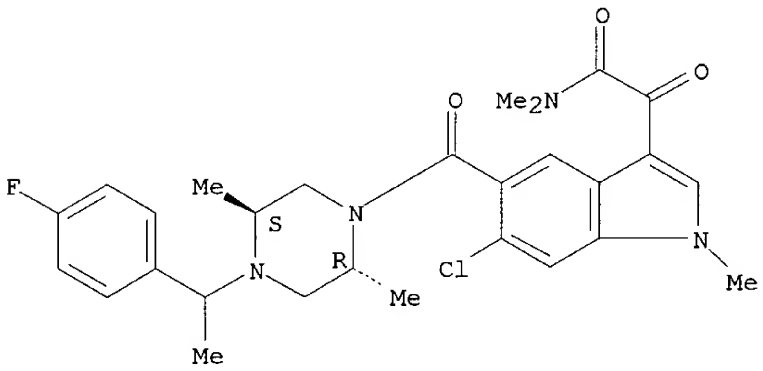
RN 309914-25-8 CAPLUS
CN Morpholine, 4-[[5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-methyl-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

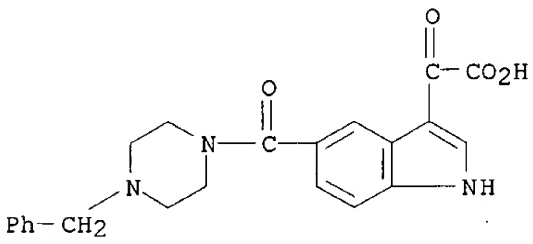


RN 309914-27-0 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[1-(4-fluorophenyl)ethyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

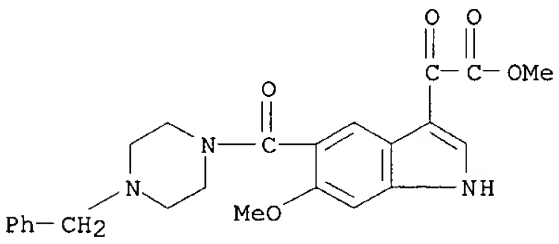
Relative stereochemistry.

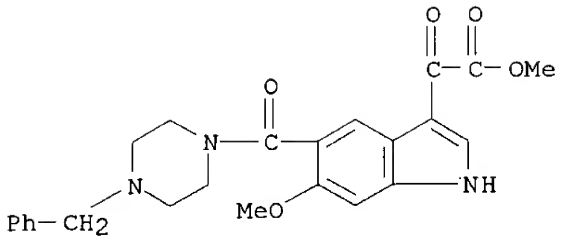


RN 309914-60-1 CAPLUS
CN 1H-Indole-3-acetic acid, .alpha.-oxo-5-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)

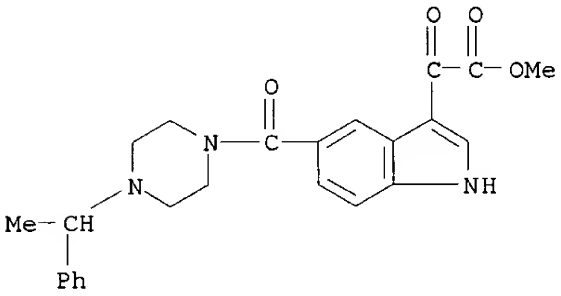


RN 309914-62-3 CAPLUS
CN 1H-Indole-3-acetic acid, 6-methoxy-.alpha.-oxo-5-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

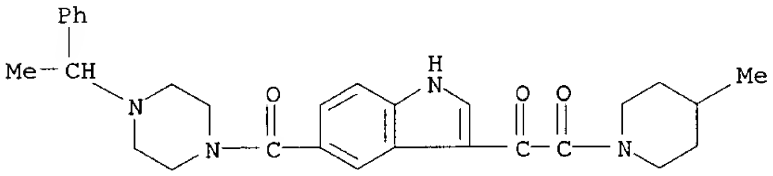




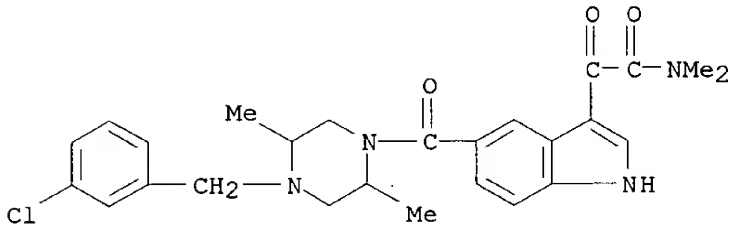
RN 309914-63-4 CAPLUS
CN 1H-Indole-3-acetic acid, .alpha.-oxo-5-[[4-(1-phenylethyl)-1-piperazinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



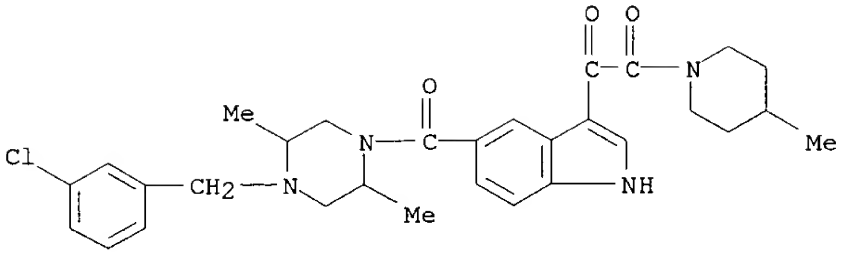
RN 309914-64-5 CAPLUS
CN Piperazine, 1-[[3-[(4-methyl-1-piperidinyl)oxoacetyl]-1H-indol-5-yl]carbonyl]-4-(1-phenylethyl)- (9CI) (CA INDEX NAME)



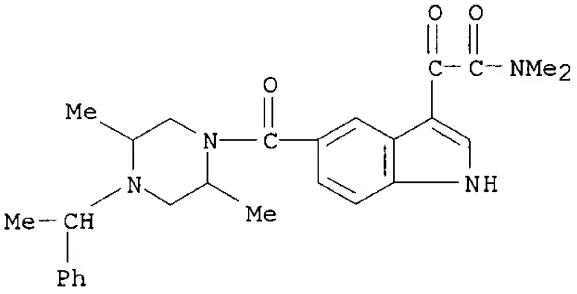
RN 309914-71-4 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[4-[(3-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



RN 309914-73-6 CAPLUS
CN Piperazine, 1-[(3-chlorophenyl)methyl]-2,5-dimethyl-4-[[3-[(4-methyl-1-piperidinyl)oxoacetyl]-1H-indol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

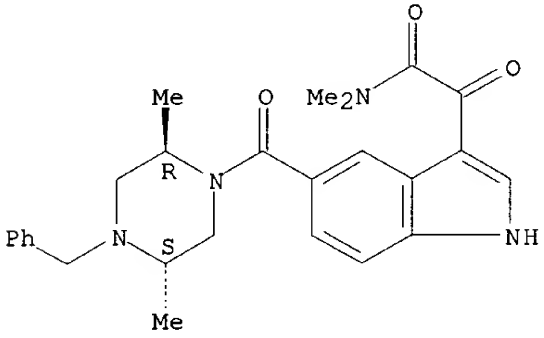


RN 309914-74-7 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[2,5-dimethyl-4-(1-phenylethyl)-1-piperazinyl]carbonyl]-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

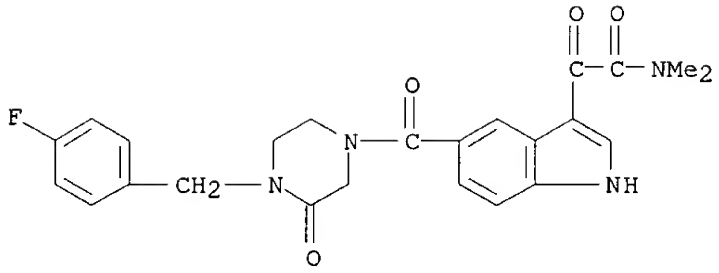


RN 309914-77-0 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

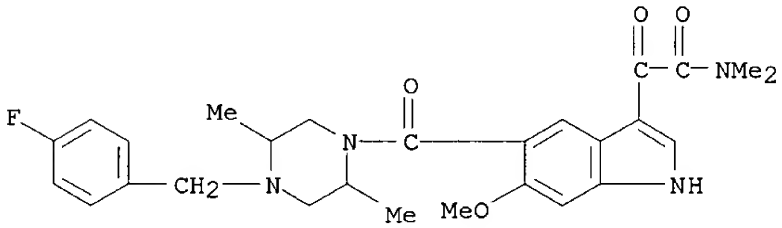
Relative stereochemistry.



RN 309914-78-1 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-3-oxo-1-piperazinyl]carbonyl]-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

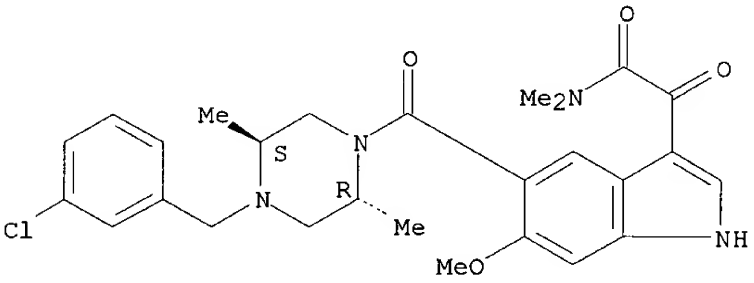


RN 309914-79-2 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

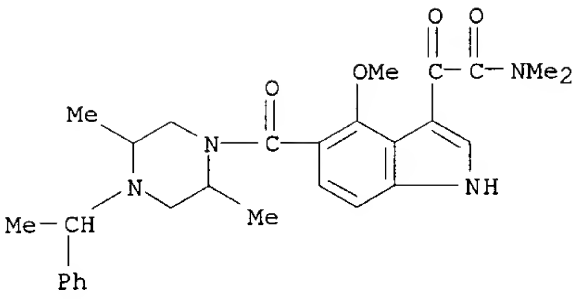


RN 309914-80-5 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(3-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

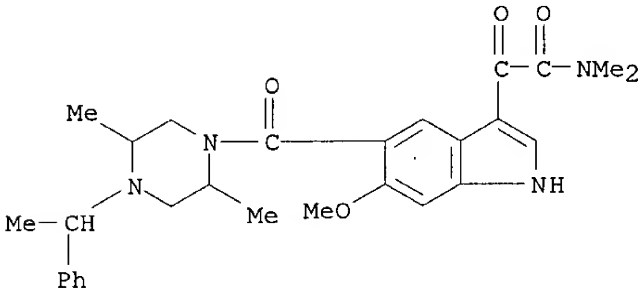
Relative stereochemistry.



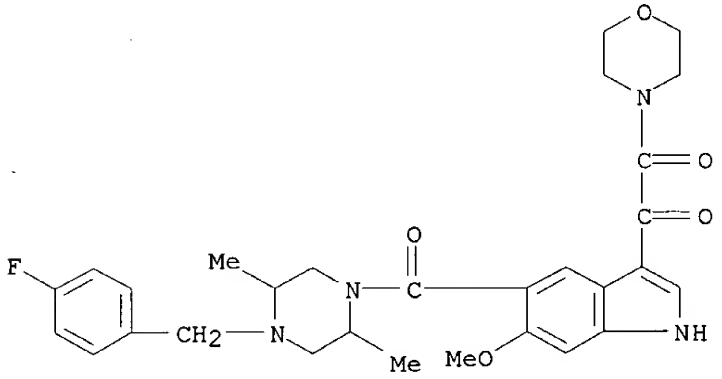
RN 309914-83-8 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[2,5-dimethyl-4-(1-phenylethyl)-1-piperazinyl]carbonyl]-4-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



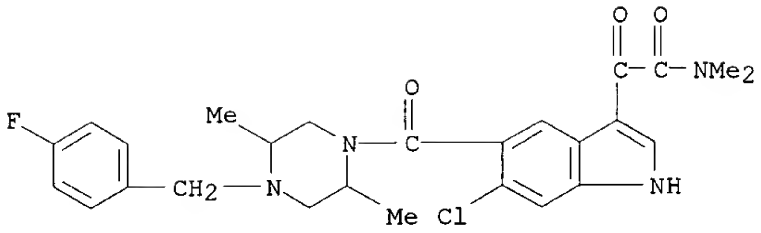
RN 309914-85-0 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[2,5-dimethyl-4-(1-phenylethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



RN 309914-86-1 CAPLUS
CN Morpholine, 4-[[5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)

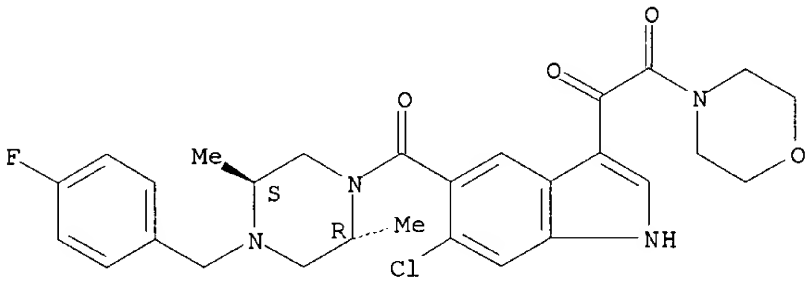


RN 309914-87-2 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



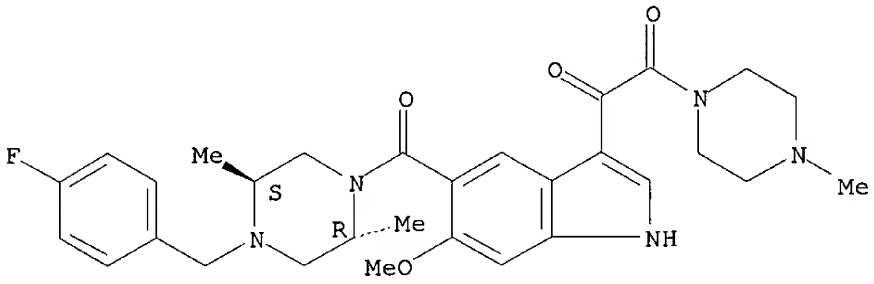
RN 309914-89-4 CAPLUS
CN Morpholine, 4-[[6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1H-indol-3-yl]oxoacetyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

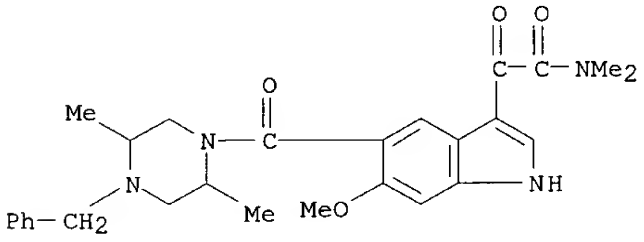


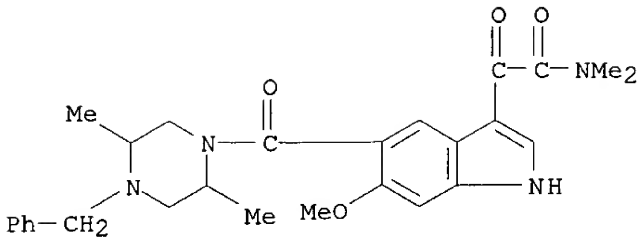
RN 309914-95-2 CAPLUS
CN Piperazine, 1-[(4-fluorophenyl)methyl]-4-[[6-methoxy-3-[(4-methyl-1-piperazinyl)oxoacetyl]-1H-indol-5-yl]carbonyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



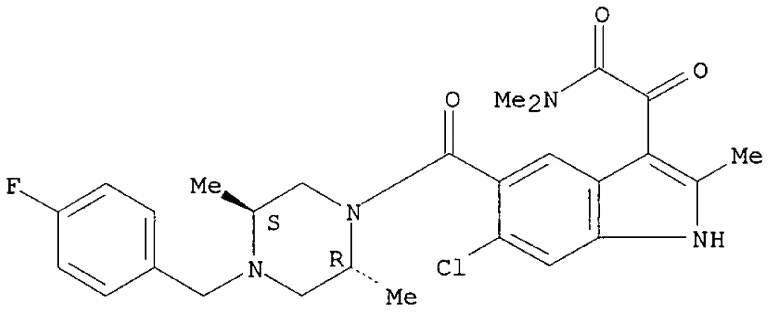
RN 309914-96-3 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)





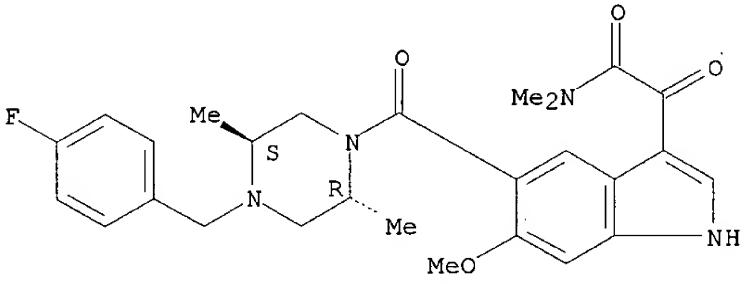
RN 309915-01-3 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,2-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



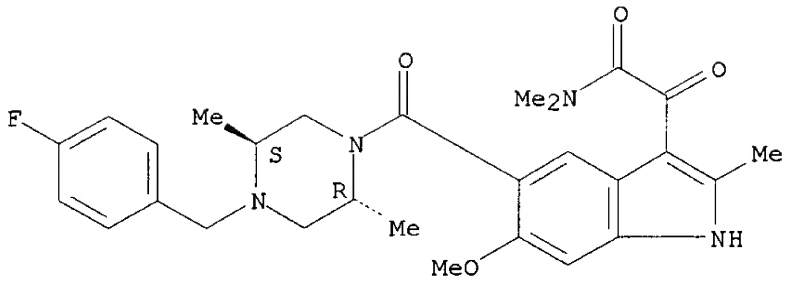
RN 309915-02-4 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



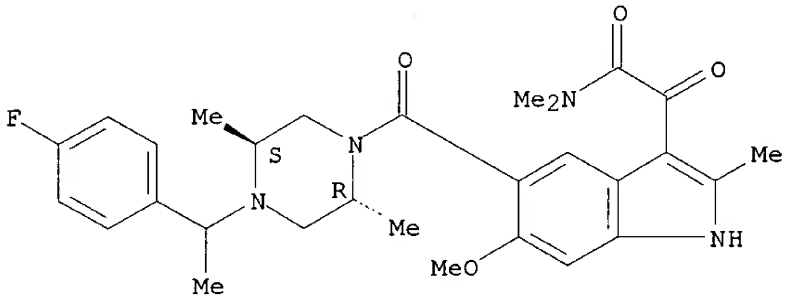
RN 309915-04-6 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,2-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



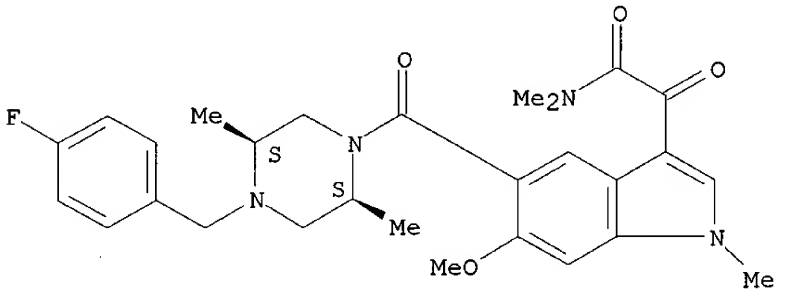
RN 309915-05-7 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[1-(4-fluorophenyl)ethyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,2-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



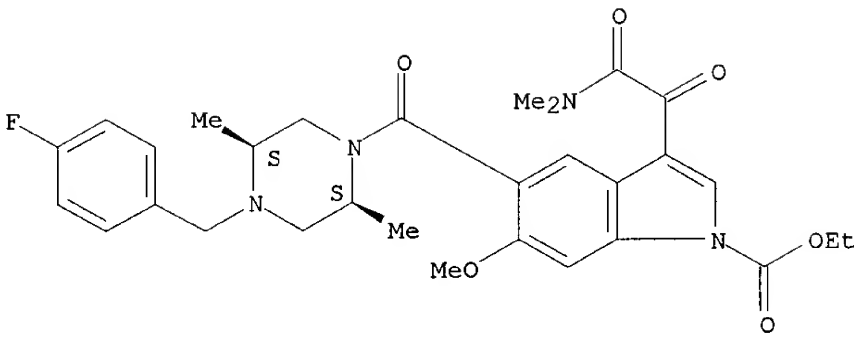
RN 527698-34-6 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5R)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,1-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



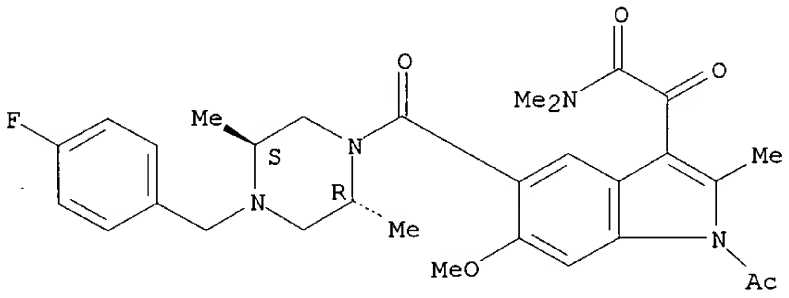
RN 527698-35-7 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[(dimethylamino)oxoacetyl]-5-[[(2R,5R)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



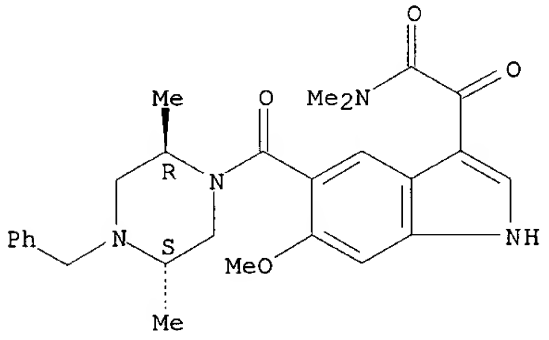
RN 527698-36-8 CAPLUS
CN 1H-Indole-3-acetamide, 1-acetyl-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,2-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 527698-38-0 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:282118 CAPLUS
DN 138:304300
TI Preparation and antiviral activity of substituted piperazinyloxoacetylindole derivatives
IN Wallace, Owen B.; Wang, Tao; Yeung, Kap-Sun; Pearce, Bradley C.; Meanwell, Nicholas A.; Qiu, Zhilei; Fang, Haiquan; Xue, Qiufen May; Yin, Zhiwei

PA USA
SO U.S. Pat. Appl. Publ., 182 pp., Cont.-in-part of U.S. Ser. No. 888,686.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003069245	A1	20030410	US 2001-27612	20011219
US 6573262	B2	20030603		
PRAI US 2000-217444P	P	20000710		
US 2001-265978P	P	20010202		
US 2001-888686	A2	20010625		

OS MARPAT 138:304300
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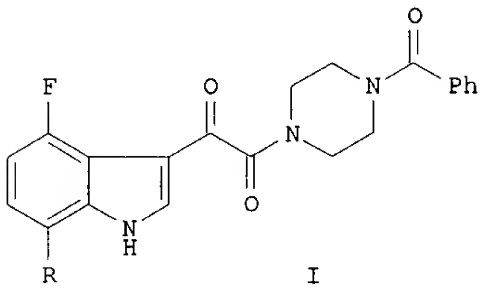


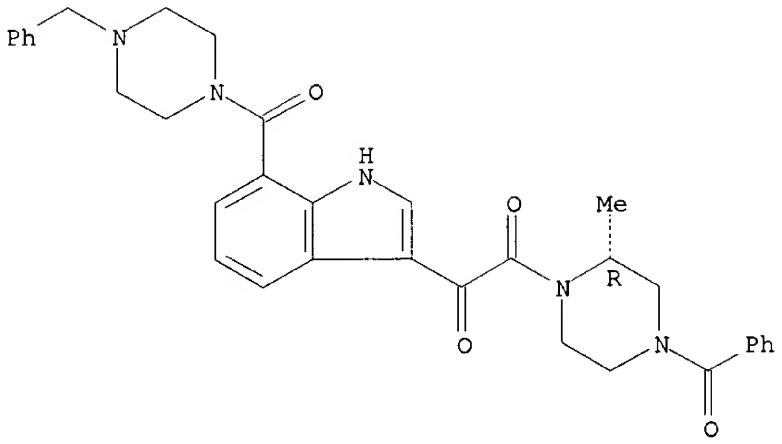
I

AB Piperazinyloxoacetylindole derivs., e.g. I (R = Ph), were prepd. and tested as human antiviral agents, specifically to be used for treating HIV and AIDS. Thus, bromoindole I (R = Br) (II) reacted with tri-n-butylphenyltin to give I (R = Ph). Furthermore, II was prepd. by reacting 2-bromo-5-fluoronitrobenzene with vinylmagnesium bromide, which gave 4-fluoro-7-bromoindole. The latter compd. was then added to Et chlorooxoacetate to give the acylated adduct which was hydrolyzed to the acid and aminated with N-benzoylpiperazine. Testing of these compds. indicated that they possess unique antiviral activity; and they are proposed to be used alone or in combination with other antivirals, antiinfectives, immunomodulators or HIV entry inhibitors.

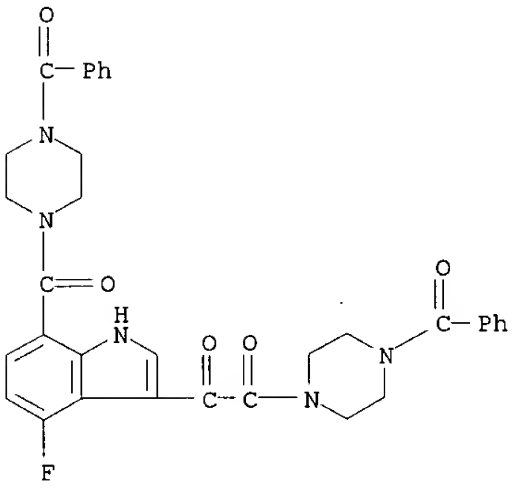
IT **389629-30-5P**, 1-(4-Benzoyl-2-(R)-methylpiperazin-1-yl)-2-[7-(4-benzylpiperazine-1-carbonyl)-1H-indol-3-yl]ethane-1,2-dione
389629-31-6P, 1-[7-(4-Benzoylpiperazine-1-carbonyl)-4-fluoro-1H-indol-3-yl]-2-(4-benzoylpiperazin-1-yl)ethane-1,2-dione
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazinyloxoacetylindole derivs. and their use as human antiviral, antiinfective, anti-HIV, anti-AIDS, and immunomodulator agents)

RN 389629-30-5 CAPLUS
CN Piperazine, 4-benzoyl-2-methyl-1-[oxo[7-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1H-indol-3-yl]acetyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 389629-31-6 CAPLUS
CN Piperazine, 1-benzoyl-4-[[7-[(4-benzoyl-1-piperazinyl)carbonyl]-4-fluoro-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:408665 CAPLUS
DN 136:401784
TI Preparation of piperidinylcarbonyl- and piperazinylcarbonylindolylglyoxylates and -amides as inhibitors of p38-.alpha. kinase
IN Dugar, Sundeep; Luedtke, Gregory; Tan, Xuefei
PA Scios Inc., USA
SO PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002042292	A2	20020530	WO 2001-US43441	20011120
	WO 2002042292	A3	20021017		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002026911 A5 20020603 AU 2002-26911 20011120
US 2003092717 A1 20030515 US 2001-990187 20011120
EP 1341782 A2 20030910 EP 2001-995861 20011120
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WO 2001-US43441 W 20011120
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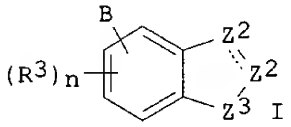
GI



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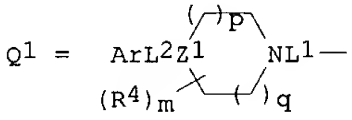
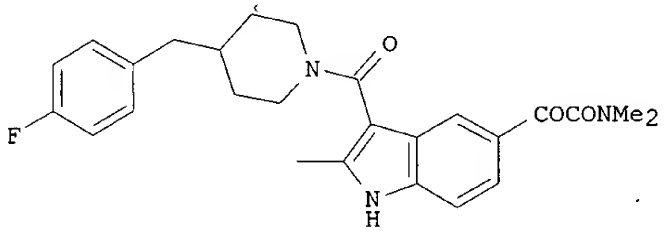




II

AB [Title compds. I; dotted line = optional double bond; B = WiCOXjY; Y = COR2, isostere thereof; R2 = H, noninterfering substituent; W, X = spacer of 2-6 .ANG.; i, j = 0, 1; R3 = noninterfering substituent; n = 0-3; Z3 = NR7, O; R7 = H, noninterfering substituent; 1 Z2 = C, CR8A, the other = CR1, C(R1)2, NR6, N; R1, R6, R8 = H, noninterfering substituent; A = Q1; Z1 = CR5, N; R5 = H, noninterfering substituent; p, q = 0-2; p+q = 0-3; Ar = aryl group substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; R4 = noninterfering substituent; m is 0-4; L1, L2 = linker; the distance between the atom of Ar linked to L2 and the center of the Z2-contg. ring = 4.5-24.ANG.], were prepd. as inhibitors of p38-.alpha. kinase (no data). Thus, title compd. (II) was prepd. in several steps starting from 4-nitrophenylglyoxylic acid.

IT **309915-13-7P**

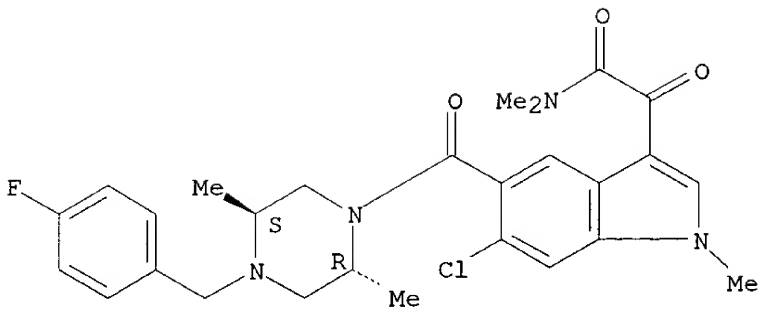
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperidinylcarbonyl- and piperazinylcarbonylindolylglyoxylates and -amides as inhibitors of p38-.alpha. kinase)

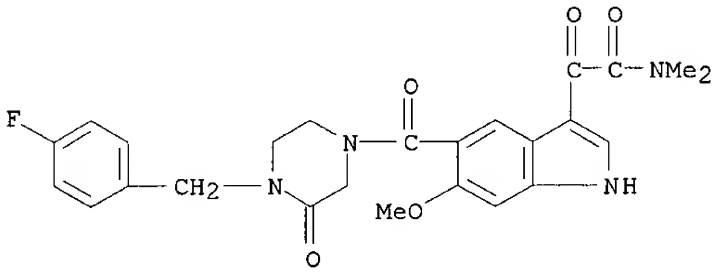
RN 309915-13-7 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

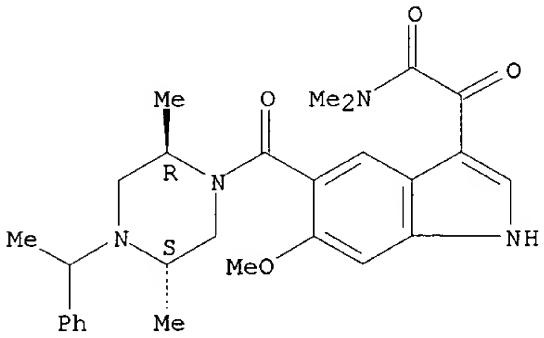


IT **309915-14-8 309915-15-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of piperidinylcarbonyl- and piperazinylcarbonylindolylglyoxylates and -amides as inhibitors of p38-.alpha. kinase)
RN 309915-14-8 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-3-oxo-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



RN 309915-15-9 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-2,5-dimethyl-4-(1-phenylethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:51452 CAPLUS
DN 136:118470
TI Preparation of substituted indoleoxoacetylpiperazines with antiviral activity against HIV-1

IN Wallace, Owen B.; Wang, Tao; Yeung, Kap-Sun; Pearce, Bradley C.; Meanwell, Nicholas A.; Qiu, Zhilei; Fang, Haiquan; Xue, Qiufen May; Yin, Zhiwei

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 277 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002004440	A1	20020117	WO 2001-US20300	20010626
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1299382	A1	20030409	EP 2001-946715	20010626
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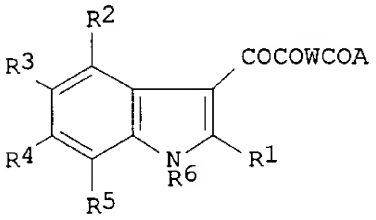
PRAI	US 2000-217444P	P	20000710		
	US 2001-265978P	P	20010202		
	WO 2001-US20300	W	20010626		

OS MARPAT 136:118470

GI



I

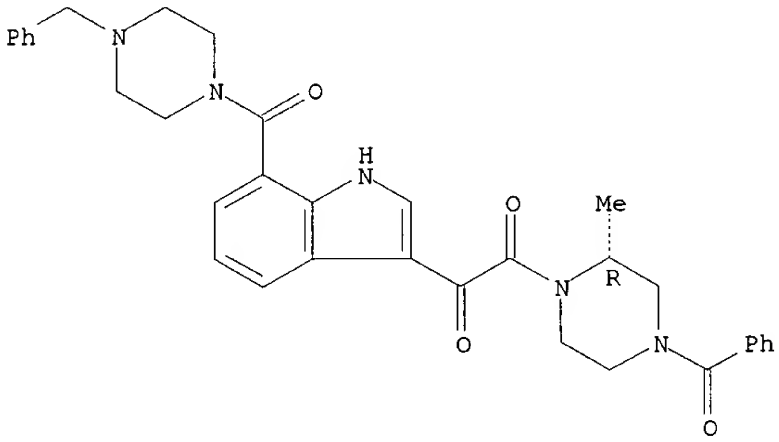
AB Indoleoxoacetylpiperazines I [A = (un)substituted alkoxy, aryl, heteroaryl; W = (un)substituted piperazino; R1 = H; R2-R5 = H, halogen, CN, NO2, (un)substituted NH2, OH, (un)substituted alkyl, cycloalkyl, alkoxy, CO2H, acyl, carbamoyl, amidino, aryl, heteroaryl, heterocyclic; R6 = H, alkyl] and their 2,3-dihydroindole analogs were prepd. for use as virucides in the treatment of HIV and AIDS. Thus, 2-bromo-5-fluoronitrobenzene was cyclized with CH2:CHMgBr to give 4-fluoro-7-bromoindole, which was treated with ClCOCO2Et, followed by ester hydrolysis to give 4-fluoro-7-bromo-3-indoleglyoxylic acid. This acid was amidated with N-benzoylpiperazine and treated with PhSnBu3 to give I [A = R5 = Ph, W = piperazino, R1, R3, R4, R6 = H, R2 = F]. This compd. gave >98% inhibition of HIV-1 infection in HeLa cells.

IT **389629-30-5P 389629-31-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted indoleoxoacetylpiperazines with antiviral activity against HIV-1)

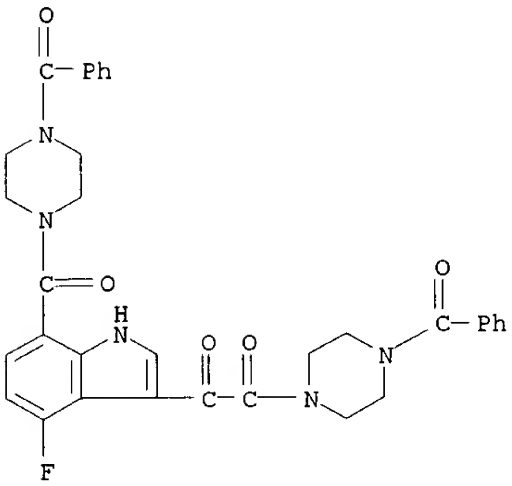
RN 389629-30-5 CAPLUS

CN Piperazine, 4-benzoyl-2-methyl-1-[oxo[7-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1H-indol-3-yl]acetyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 389629-31-6 CAPLUS
CN Piperazine, 1-benzoyl-4-[[7-[(4-benzoyl-1-piperazinyl)carbonyl]-4-fluoro-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)



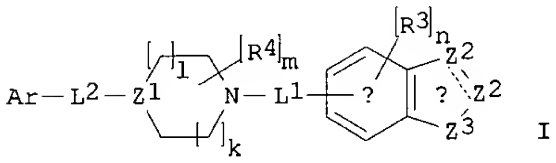
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2000:842127 CAPLUS
DN 134:17503
TI Preparation of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as inhibitors of p38 kinase
IN Mavunkel, Babu J.; Chakravarty, Sarvajit; Perumattam, John J.; Dugar, Sundeep; Lu, Qing; Liang, Xi
PA Scios Inc., USA
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000071535	A1	20001130	WO 2000-US14003	20000519
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6589954	B1	20030708	US 1999-316761	19990521
	EP 1178983	A1	20020213	EP 2000-939322	20000519
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 2000011274	A	20020226	BR 2000-11274	20000519
	BG 106091	A	20020628	BG 2001-106091	20011108
	HR 2001000854	A1	20030430	HR 2001-854	20011119
	NO 2001005655	A	20020118	NO 2001-5655	20011120
	US 2003158417	A1	20030821	US 2002-146703	20020514
	US 2003144520	A1	20030731	US 2002-157048	20020528
	US 2003162970	A1	20030828	US 2002-156996	20020528
PRAI	US 1999-316761	A	19990521		
	US 1999-154594P	P	19990917		
	US 2000-202608P	P	20000509		
	US 1998-86531P	P	19980522		
	US 1998-128137	A2	19980803		
	US 1999-275176	A2	19990324		
	US 2000-575060	A1	20000519		
	WO 2000-US14003	W	20000519		
OS	MARPAT 134:17503				

GI



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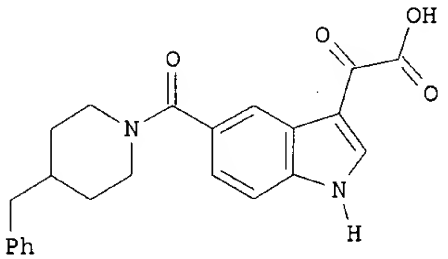

II

AB The title compds. [I; one Z2 = CA, CR8A and the other = CR1, CR12, NR6, N (wherein R1, R6, R8 = H, noninterfering substituent; A = WiCOXjY; Y = COR2, an isostere; R2 = H, noninterfering substituent; W, X = spacer of 2-6.ANG.; i, j = 0-1); Z3 = NR7, O; R3 = noninterfering substituent; n = 0-3; L1, L2 = linker; R4 = noninterfering substituent; m = 0-4; Z1 = CR5,

N (R5 = H, noninterfering substituent); l, k = 0-2, wherein the sum of l and k = 0-3; Ar = aryl substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; the distance between the atom of Ar linked to L2 and the center of the .alpha. ring is 4.5-24.ANG.] which inhibit p38-.alpha. kinase (biol. data given), were prepd. Thus, treating 6-methoxy-(4-benzylpiperidinyl)-indole-5-carboxamide with oxalyl chloride in CH2Cl2 afforded the indole-5-carboxamide II.

IT **309913-41-5P 309913-43-7P 309913-59-5P**
309913-60-8P 309913-64-2P 309913-71-1P
309913-72-2P 309913-73-3P 309913-74-4P
309913-82-4P 309913-83-5P 309913-85-7P
309913-88-0P 309914-02-1P 309914-14-5P
309914-17-8P 309914-21-4P 309914-25-8P
309914-27-0P 309914-60-1P 309914-62-3P
309914-63-4P 309914-64-5P 309914-71-4P
309914-73-6P 309914-74-7P 309914-77-0P
309914-78-1P 309914-79-2P 309914-80-5P
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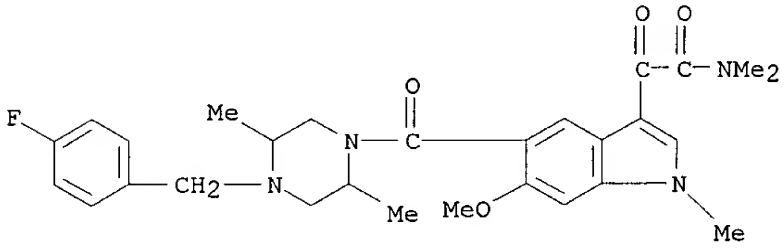
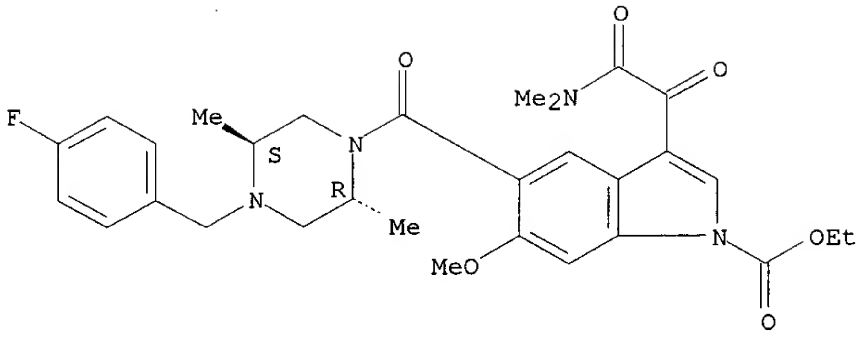
309914-96-3P 309914-97-4P 309914-98-5P
309915-01-3P 309915-02-4P 309915-04-6P
309915-05-7P 309915-12-6P 309915-13-7P
309915-14-8P 309915-15-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as inhibitors of p38 kinase)

RN 309913-41-5 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,1-trimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

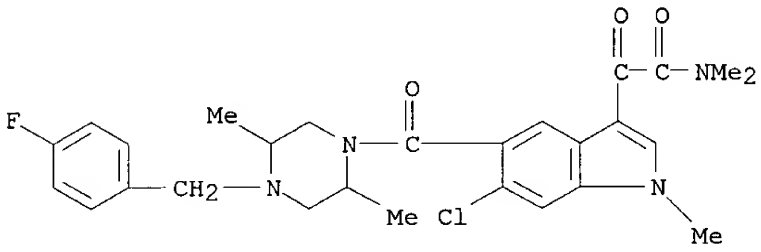


RN 309913-43-7 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[(dimethylamino)oxoacetyl]-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-, ethyl ester, rel- (9CI) (CA INDEX NAME)

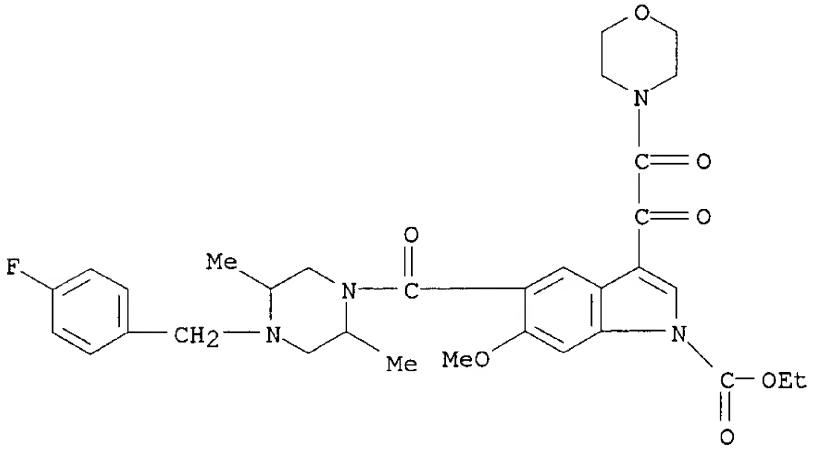
Relative stereochemistry.



RN 309913-59-5 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

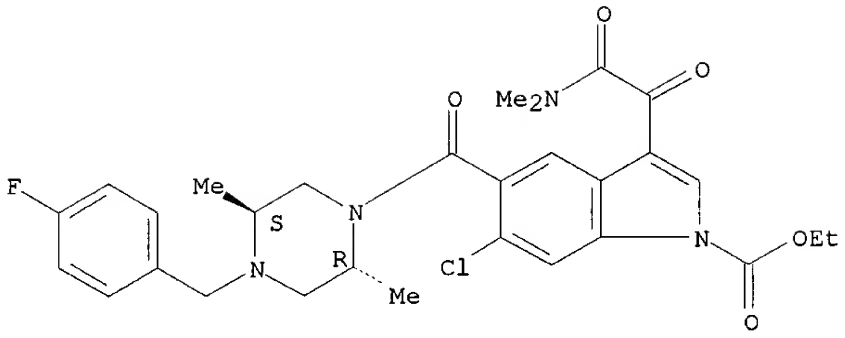


RN 309913-60-8 CAPLUS
CN 1H-Indole-1-carboxylic acid, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-3-(4-morpholinyloxoacetyl)-, ethyl ester (9CI) (CA INDEX NAME)



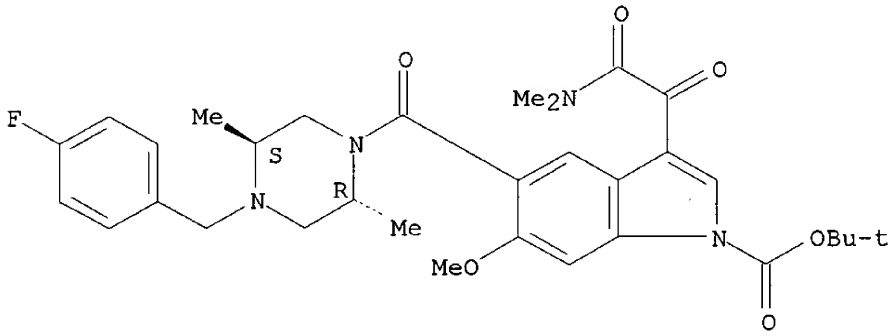
RN 309913-64-2 CAPLUS
CN 1H-Indole-1-carboxylic acid, 6-chloro-3-[(dimethylamino)oxoacetyl]-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



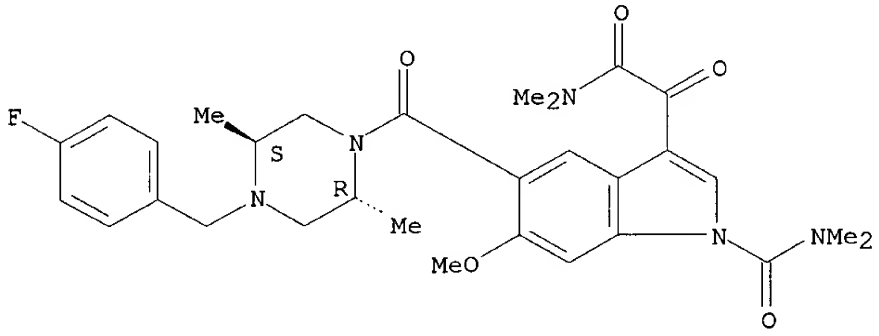
RN 309913-71-1 CAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[(dimethylamino)oxoacetyl]-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

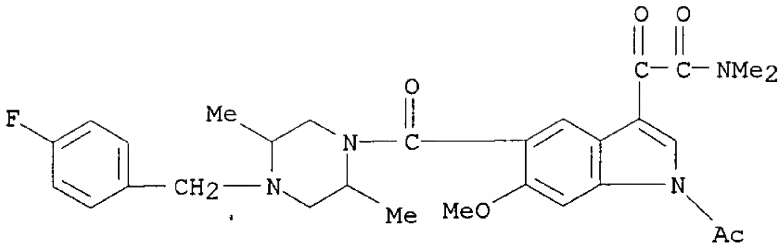


RN 309913-72-2 CAPLUS
CN 1H-Indole-3-acetamide, 1-[(dimethylamino)carbonyl]-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

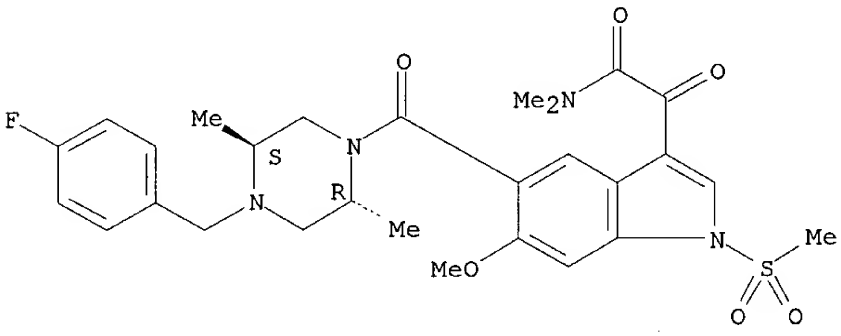


RN 309913-73-3 CAPLUS
CN 1H-Indole-3-acetamide, 1-acetyl-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



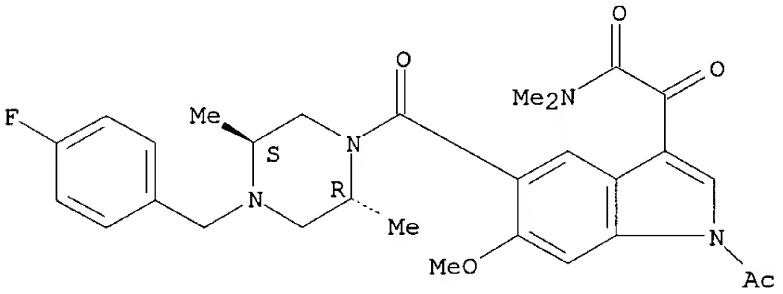
RN 309913-74-4 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-1-(methylsulfonyl)-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



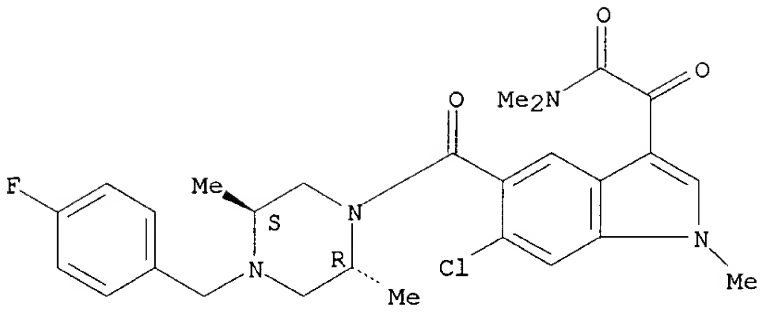
RN 309913-82-4 CAPLUS
CN 1H-Indole-3-acetamide, 1-acetyl-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



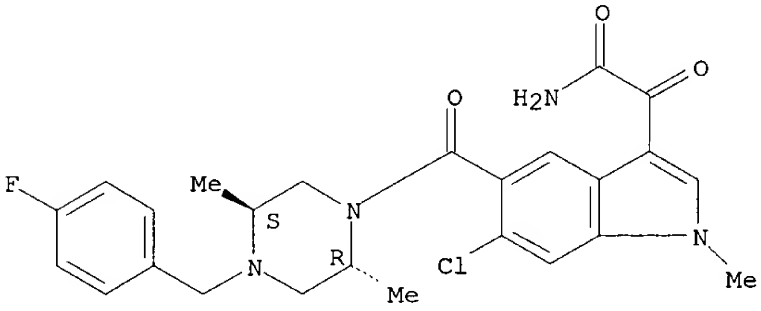
RN 309913-83-5 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



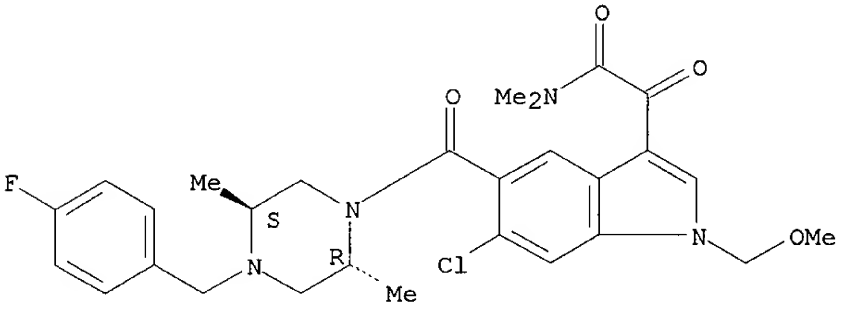
RN 309913-85-7 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-methyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



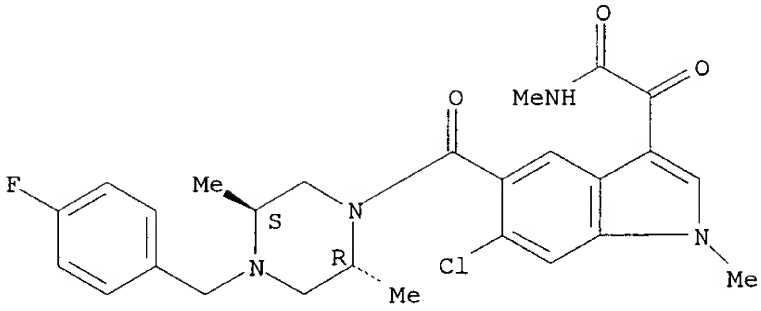
RN 309913-88-0 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-(methoxymethyl)-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



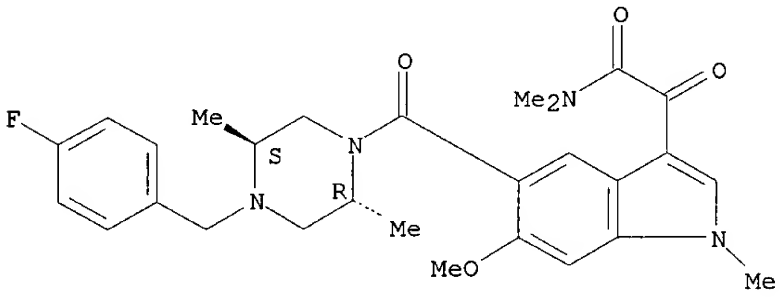
RN 309914-02-1 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,1-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



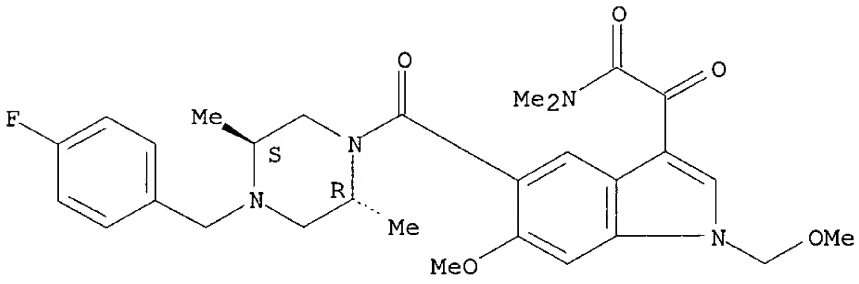
RN 309914-14-5 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,1-trimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



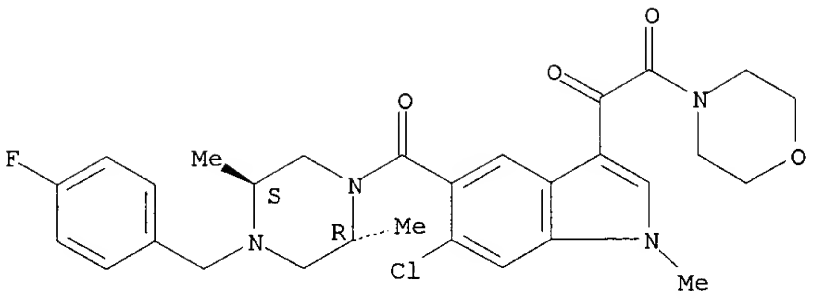
RN 309914-17-8 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-(methoxymethyl)-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



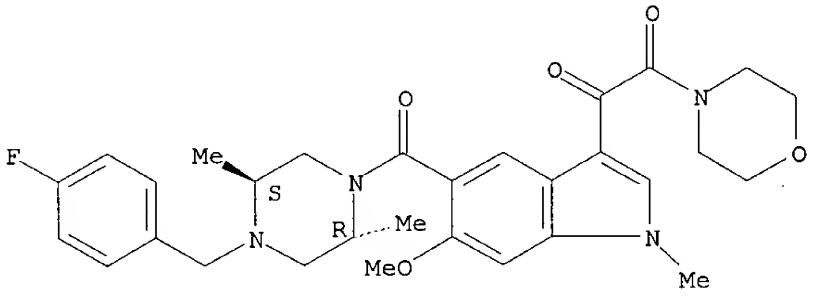
RN 309914-21-4 CAPLUS
CN Morpholine, 4-[[6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1-methyl-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



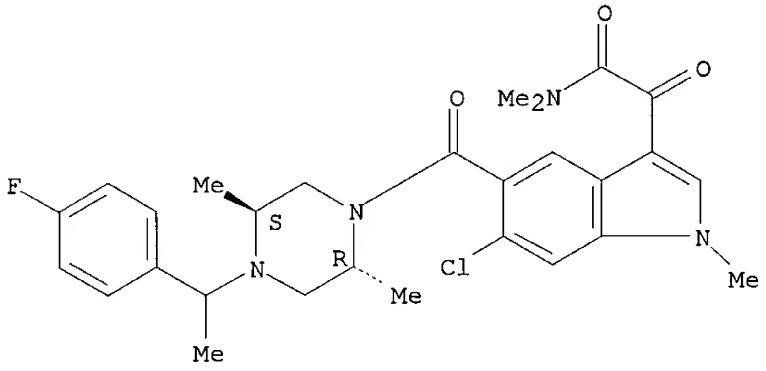
RN 309914-25-8 CAPLUS
CN Morpholine, 4-[[5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1-methyl-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

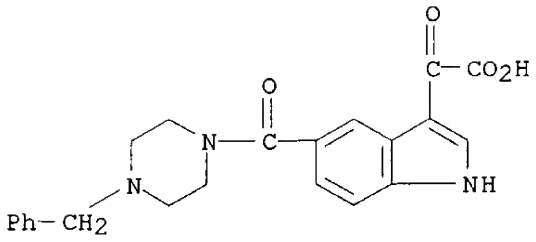


RN 309914-27-0 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[1-(4-fluorophenyl)ethyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

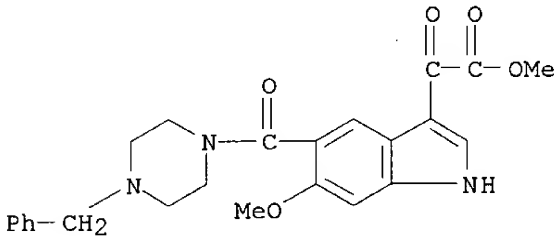
Relative stereochemistry.



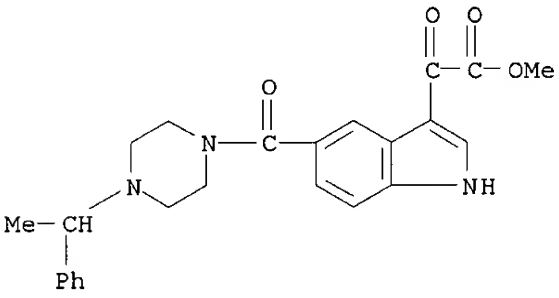
RN 309914-60-1 CAPLUS
CN 1H-Indole-3-acetic acid, .alpha.-oxo-5-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]- (9CI) (CA INDEX NAME)



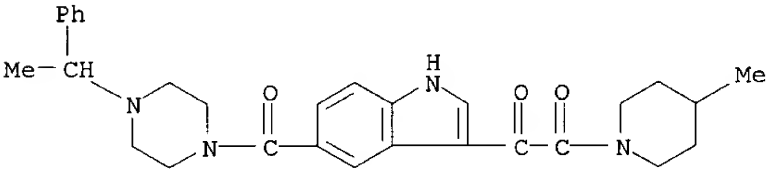
RN 309914-62-3 CAPLUS
CN 1H-Indole-3-acetic acid, 6-methoxy-.alpha.-oxo-5-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



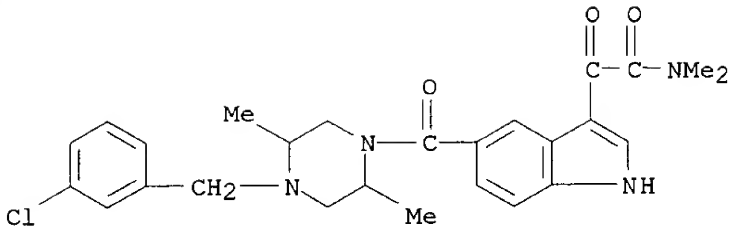
RN 309914-63-4 CAPLUS
CN 1H-Indole-3-acetic acid, .alpha.-oxo-5-[[4-(1-phenylethyl)-1-piperazinyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)



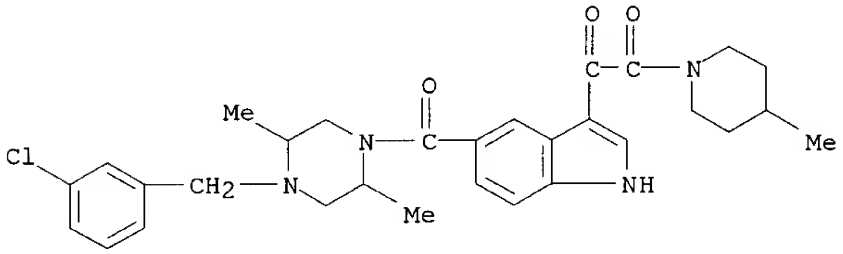
RN 309914-64-5 CAPLUS
CN Piperazine, 1-[[3-[(4-methyl-1-piperidinyl)oxoacetyl]-1H-indol-5-yl]carbonyl]-4-(1-phenylethyl)- (9CI) (CA INDEX NAME)



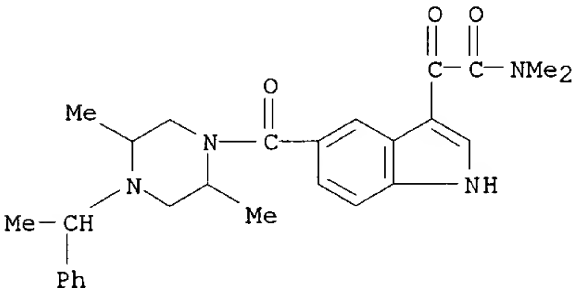
RN 309914-71-4 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[4-[(3-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



RN 309914-73-6 CAPLUS
CN Piperazine, 1-[(3-chlorophenyl)methyl]-2,5-dimethyl-4-[[3-[(4-methyl-1-piperidinyl)oxoacetyl]-1H-indol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)

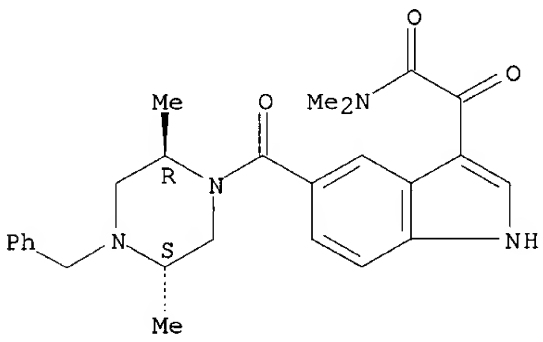


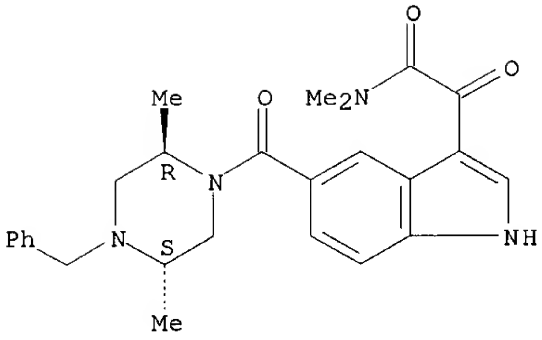
RN 309914-74-7 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[2,5-dimethyl-4-(1-phenylethyl)-1-piperazinyl]carbonyl]-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



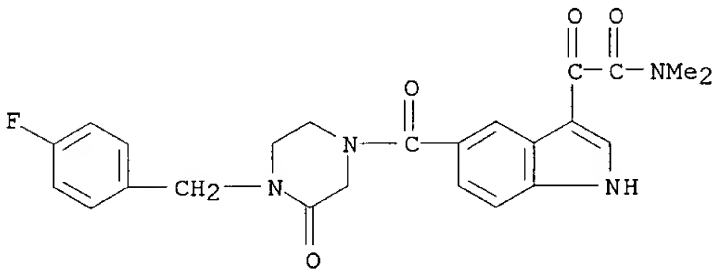
RN 309914-77-0 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

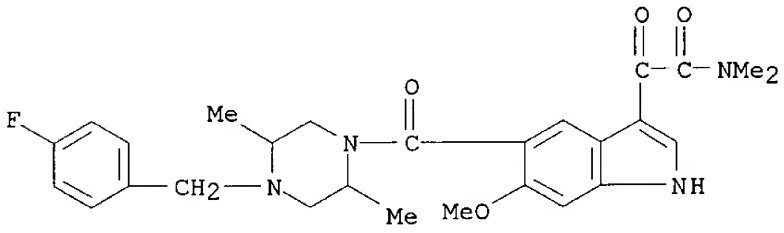




RN 309914-78-1 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-3-oxo-1-piperazinyl]carbonyl]-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

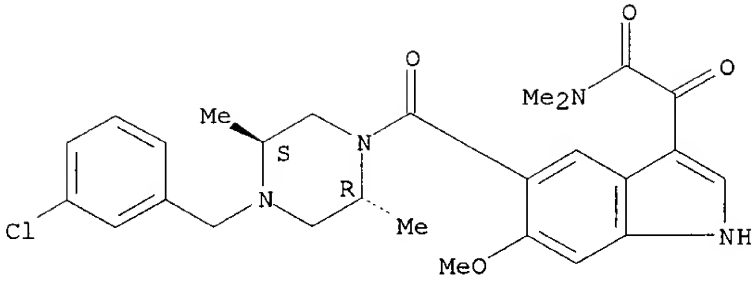


RN 309914-79-2 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

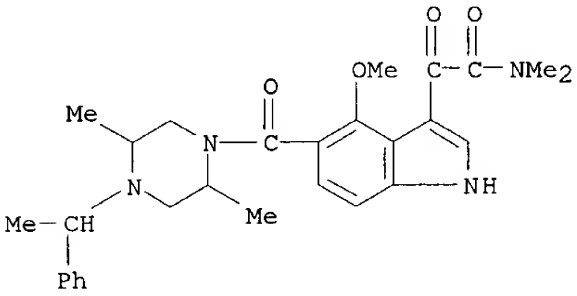


RN 309914-80-5 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(3-chlorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

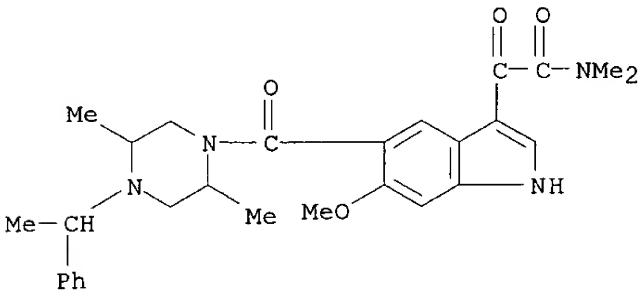
Relative stereochemistry.



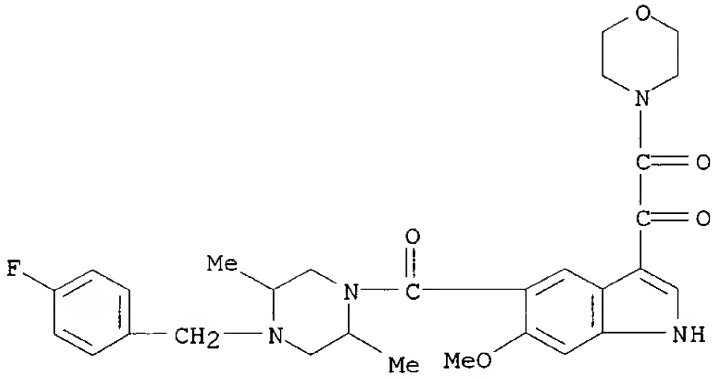
RN 309914-83-8 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[2,5-dimethyl-4-(1-phenylethyl)-1-piperazinyl]carbonyl]-4-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



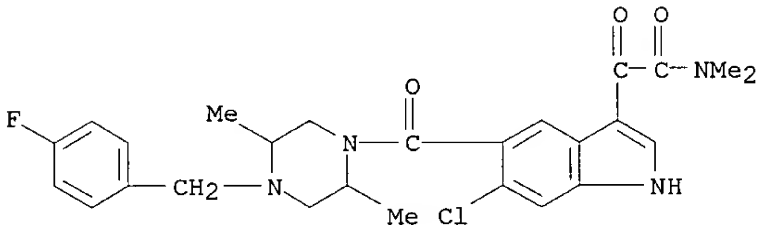
RN 309914-85-0 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[2,5-dimethyl-4-(1-phenylethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



RN 309914-86-1 CAPLUS
CN Morpholine, 4-[[5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)

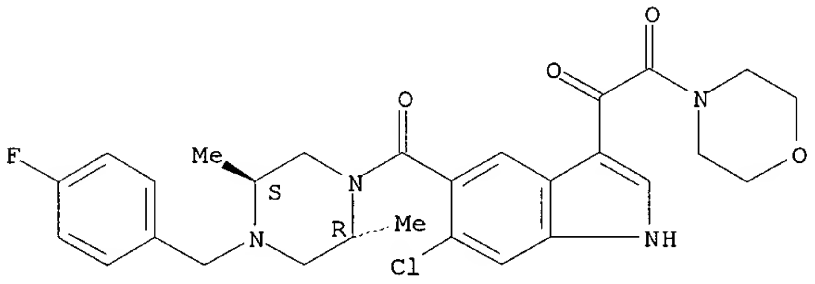


RN 309914-87-2 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



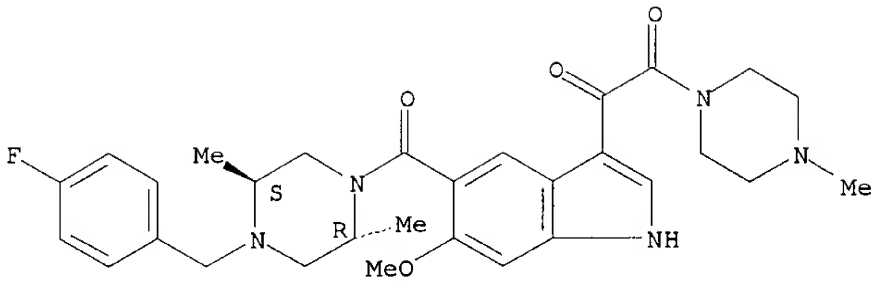
RN 309914-89-4 CAPLUS
CN Morpholine, 4-[[6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-1H-indol-3-yl]oxoacetyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

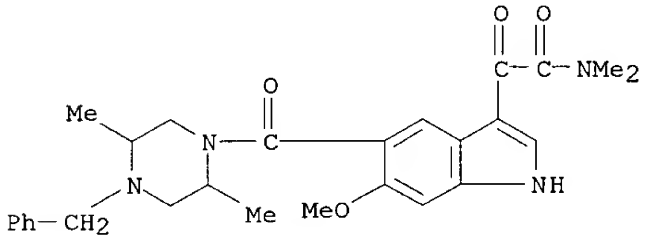


RN 309914-95-2 CAPLUS
CN Piperazine, 1-[(4-fluorophenyl)methyl]-4-[[6-methoxy-3-[(4-methyl-1-piperazinyl)oxoacetyl]-1H-indol-5-yl]carbonyl]-2,5-dimethyl-, (2R,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

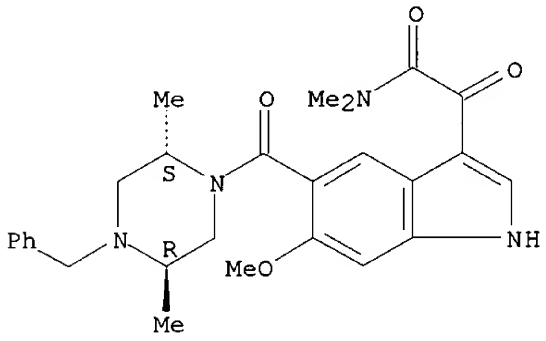


RN 309914-96-3 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



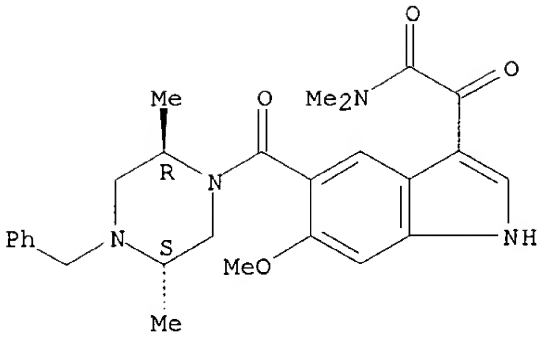
RN 309914-97-4 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



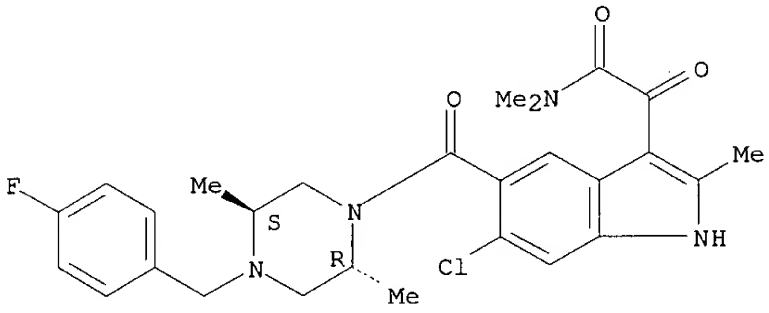
RN 309914-98-5 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



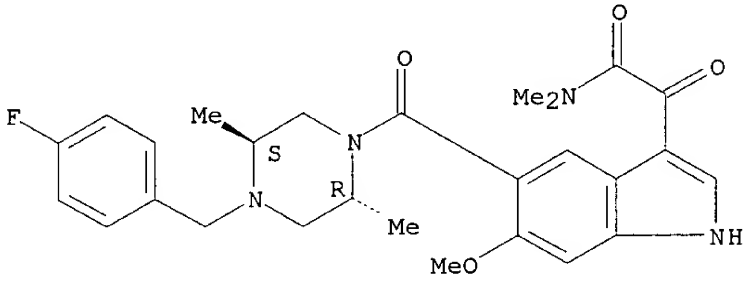
RN 309915-01-3 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,2-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



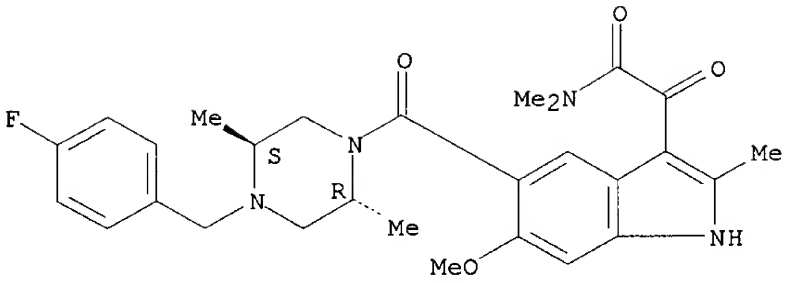
RN 309915-02-4 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



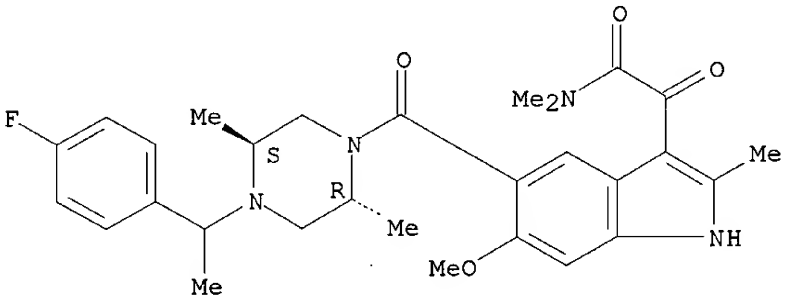
RN 309915-04-6 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,2-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



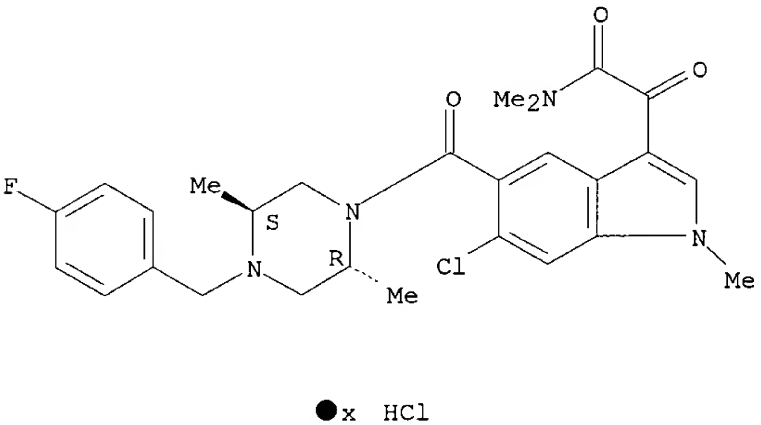
RN 309915-05-7 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-4-[1-(4-fluorophenyl)ethyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,2-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 309915-12-6 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo-, hydrochloride (9CI) (CA INDEX NAME)

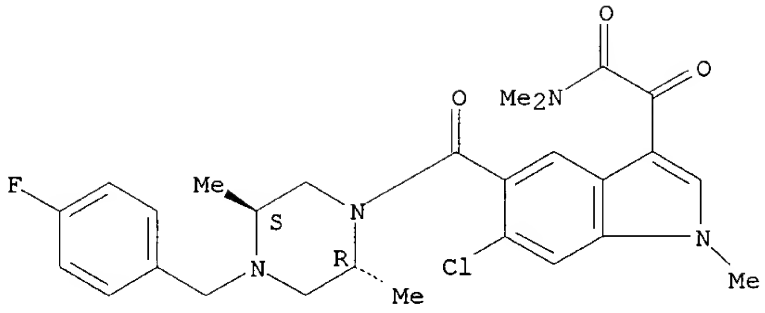
Absolute stereochemistry.



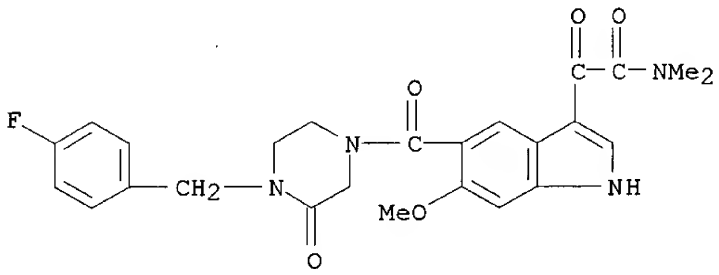
● x HCl

RN 309915-13-7 CAPLUS
CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

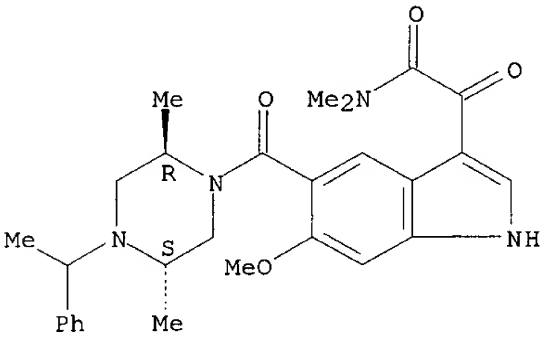


RN 309915-14-8 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-3-oxo-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)



RN 309915-15-9 CAPLUS
CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-2,5-dimethyl-4-(1-phenylethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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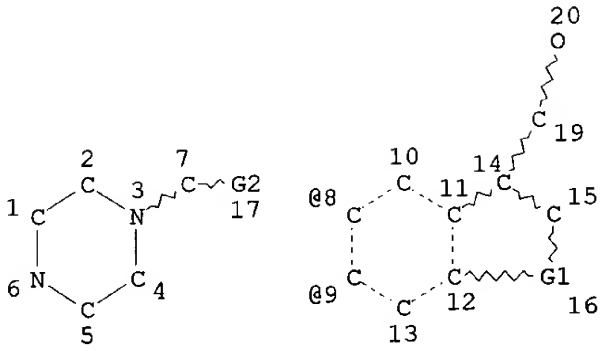

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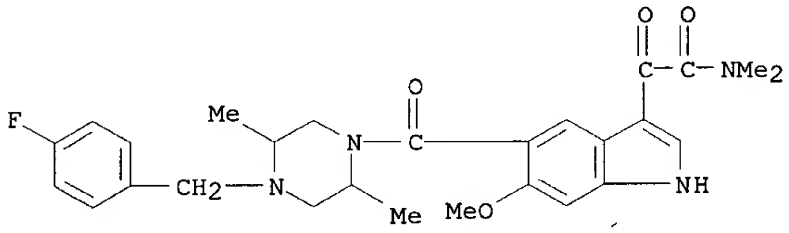

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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FULL ESTIMATED COST	403.78	403.93

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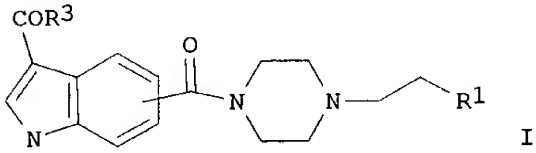
=> s l9
L10 9 L9

L10 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2001 ACS
AN 2001:78382 CAPLUS
DN 134:131549
TI Preparation of piperazinyl indolyl methanones as 5-HT2A receptor antagonists.
IN Bottcher, Henning; Marz, Joachim; Greiner, Hartmut; Harting, Jurgen; Bartoszyk, Gerd; Seyfried, Christoph; Van Amsterdam, Christoph
PA Merck Patent G.m.b.H., Germany
SO PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001007434	A2	20010201	WO 2000-EP6463	20000707
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19934432	A1	20010201	DE 1999-19934432	19990722
PRAI DE 1999-19934432	A	19990722		

OS MARPAT 134:131549
GI



I

AB Title compds. [I; R1, R3 = (substituted) Ph, unsatd. heterocyclyl], were prepd. as 5-HT2A receptor antagonists (no data). Thus, 4-carboxy-3-(4-chlorobenzoyl)indole, 2-chloro-1-methylpyridinium iodide, N-methylpyrrolidine, N-phenethylpiperazine, and EtN(CHMe)2 were stirred together for 3 h to give [3-(4-chlorobenzoyl)-1H-indol-4-yl]-(4-phenethylpiperazin-1-yl)methanone hydrochloride. I are potent 5-HT2A antagonists and are suitable for the treatment of psychosis, schizophrenia, depression, neurol. disorders, memory disorders, Parkinson's disease, amyotrophic lateral sclerosis, Alzheimer's disease, Huntington's disease, eating disorders, e.g. nervous bulimia and anorexia, and premenstrual syndrome and/or for pos. influencing compulsive behaviors (obsessive-compulsive disorder, OCD).

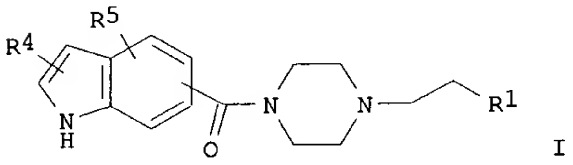
L10 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2001 ACS
AN 2001:62284 CAPLUS
DN 134:115969

TI Preparation of indolcarbonylpiperazines as 5-HT2A receptor antagonists.
IN Boettcher, Henning; Greiner, Hartmut; Harting, Juergen; Bartoszyk, Gerd; Seyfried, Christoph; Amsterdam, Christoph
PA Merck Patent Gmbh, Germany
SO Ger. Offen., 10 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 19934433	A1	20010125	DE 1999-19934433	19990722
WO 2001007435	A2	20010201	WO 2000-EP6464	20000707

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI DE 1999-19934433 A 19990722
OS MARPAT 134:115969
GI



I

AB Title compds. [I; R1 = (substituted) Ph; R4, R5 = H, cyano, acyl, halo, alkyl, OH; R4R5 = C3-5 alkylene], were prepd. as 5-HT2A receptor antagonists (no data). Thus, 4-carboxyindole, 2-chloro-1-methylpyridinium iodide, N-phenethylpiperazine, ethyldiisopropylamine, and N-methylpyrrolidine were stirred together for 3 h to give (1H-indol-4-yl)-4-(phenethylpiperazin-1-yl)methanone hydrochloride.

L10 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2001 ACS
AN 2000:842127 CAPLUS
DN 134:17503
TI Preparation of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as inhibitors of p38 kinase
IN Mavunkel, Babu J.; Chakravarty, Sarvajit; Perumattam, John J.; Dugar, Sundeep; Lu, Qing; Liang, Xi
PA Scios Inc., USA
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2000071535	A1	20001130	WO 2000-US14003	20000519

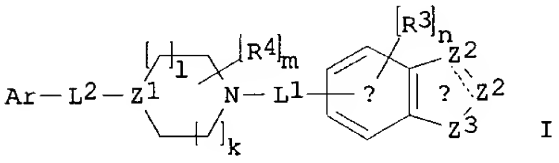
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

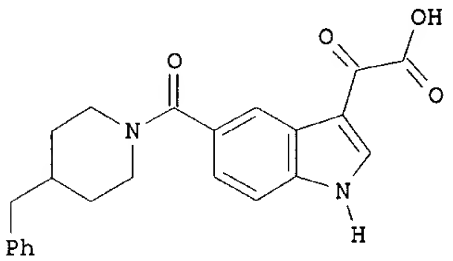
PRAI	US 1999-316761	A	19990521
	US 1999-154594	P	19990917
	US 2000-202608	P	20000509

OS MARPAT 134:17503

GI



I



II

AB The title compds. [I; one Z2 = CA, CR8A and the other = CR1, CR12, NR6, N (wherein R1, R6, R8 = H, noninterfering substituent; A = WiCOXjY; Y = COR2, an isostere; R2 = H, noninterfering substituent; W, X = spacer of 2-6.ANG.; i, j = 0-1); Z3 = NR7, O; R3 = noninterfering substituent; n = 0-3; L1, L2 = linker; R4 = noninterfering substituent; m = 0-4; Z1 = CR5, N (R5 = H, noninterfering substituent); l, k = 0-2, wherein the sum of l and k = 0-3; Ar = aryl substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; the distance between the atom of Ar linked to L2 and the center of the .alpha. ring is 4.5-24.ANG.] which inhibit p38-.alpha. kinase (biol. data given), were prepd. Thus, treating 6-methoxy-(4-benzylpiperidinyl)-indole-5-carboxamide with oxalyl chloride in CH2Cl2 afforded the indole-5-carboxamide II.

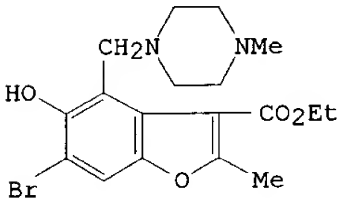
RE.CNT 3

RE

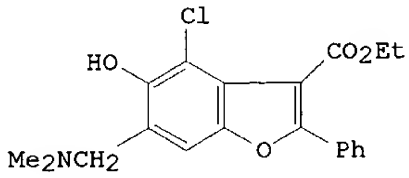
(1) Smithkline Beecham Corporation; WO 9806715 A 1998 CAPLUS

(2) Smithkline Beecham Corporation; WO 9828292 A 1998 CAPLUS
(3) Vertex Pharmaceuticals Incorporated; WO 9900357 A 1999 CAPLUS

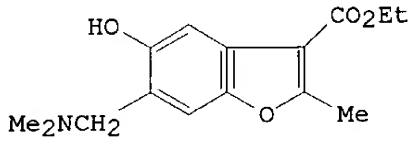
L10 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2001 ACS
AN 1979:420215 CAPLUS
DN 91:20215
TI Search for pharmacologically active compounds in a series of aminomethyl derivatives of 5-hydroxybenzofuran
AU Grinev, A. N.; Arkhangel'skaya, N. V.; Uretskaya, G. Ya.; Stolyarchuk, A. A.; Galenko-Yaroshevskii, P. A.
CS Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR
SO Khim.-Farm. Zh. (1979), 13(3), 29-33
CODEN: KHFZAN; ISSN: 0023-1134
DT Journal
LA Russian
GI



I



II

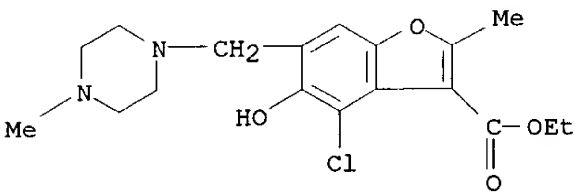


III

AB Bromination or chlorination of Et 5-hydroxy-2-methyl(or phenyl)-3-benzofurancarboxylates, then reaction with, generally, (R2N)2CH2 gave 7 compds. such as I-III. Papaverine-like and cholinolytic activity data were given.

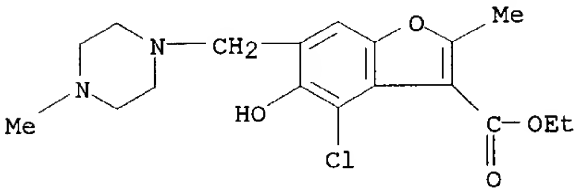
IT **55831-73-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and spasmolytic activity of)

RN 55831-73-7 CAPLUS
CN 3-Benzofurancarboxylic acid, 4-chloro-5-hydroxy-2-methyl-6-[(4-methyl-1-piperazinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



IT **55831-78-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 55831-78-2 CAPLUS
CN 3-Benzofurancarboxylic acid, 4-chloro-5-hydroxy-2-methyl-6-[(4-methyl-1-piperazinyl)methyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

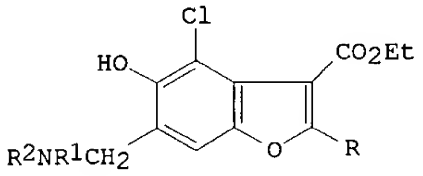


●2 HCl

L10 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2001 ACS
AN 1977:43554 CAPLUS
DN 86:43554
TI Derivatives of 5-hydroxy-6-diloweralkylaminomethylbenzofurans
IN Grinev, A. N.; Stolyarchuk, A. A.; Galenko-Yaroshevskii, P. A.; Tantsyura, V. S.; Arkhangel'skaya, N. V.
PA USSR
SO U.S., 4 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3983141	A	19760928	US 1974-469528	19740513
	SU 486670	A1	19900123	SU 1973-1924401	19730518
	CA 1030537	A1	19780502	CA 1974-200122	19740516
	CH 602693	A	19780731	CH 1974-6818	19740517
PRAI	SU 1973-1924401		19730518		

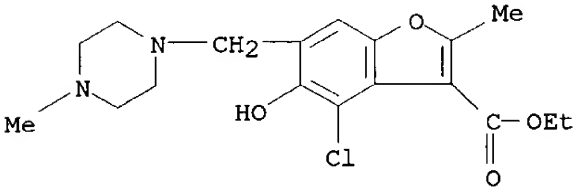
GI



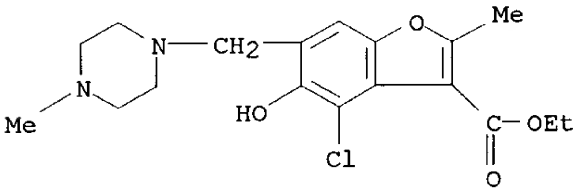
I

AB Five benzofurans I (R = Me, Ph; R1 = R2 = Me, Et; R1NR2 = 4-methyl-1-piperazinyl, morpholino), effective as anesthetics and in the treatment of arrhythmia, were prepd. Thus, reaction of 3-carbethoxy-4-chloro-2-methyl-5-hydroxybenzofuran with CH2(NMe2)2 gave I (R, R1, R2, Me).
IT **55831-73-7P 55831-78-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)
RN 55831-73-7 CAPLUS
CN 3-Benzofurancarboxylic acid, 4-chloro-5-hydroxy-2-methyl-6-[(4-methyl-1-piperazinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 55831-78-2 CAPLUS
CN 3-Benzofurancarboxylic acid, 4-chloro-5-hydroxy-2-methyl-6-[(4-methyl-1-piperazinyl)methyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L10 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2001 ACS
AN 1976:559863 CAPLUS
DN 85:159863
TI 5-Hydroxy-6-aminomethylbenzofuran derivatives and preparation thereof
PA Ordzhonikidze, S., All-Union Scientific-Research Chemical-Pharmaceutical Institute, USSR; Vinnitsa Medical Institute
SO Brit., 5 pp.
CODEN: BRXXAA
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 1433459	A	19760428	GB 1974-21916	19740516
	SU 486670	A1	19900123	SU 1973-1924401	19730518
	CA 1030537	A1	19780502	CA 1974-200122	19740516
	CH 602693	A	19780731	CH 1974-6818	19740517
PRAI	SU 1973-1924401		19730518		

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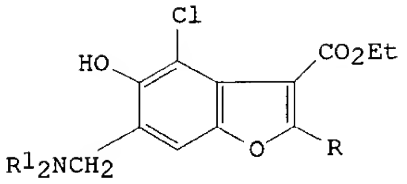


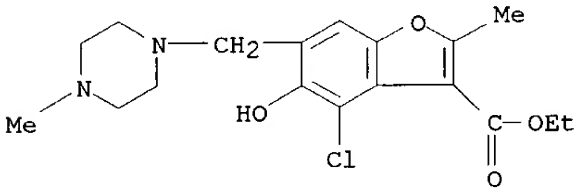
I

AB Ten title compds. I (R = Me, R1 = Me, Et, NR12 = morpholino, 4-methylpiperazino; R = Ph, R1 = Me) and I acid addn. salts, useful as local anesthetics, were prepd. (23-94%) from 2-R-substituted-3-(ethoxycarbonyl)-4-chloro-5-hydroxybenzofurans by refluxing with (R12N)2CH2 in dioxane. In filtration, conduction, and cerebrospinal anesthetic activities of I (R = R1 = Me) tartrate, assessed in animals, are superior to those of novocaine; its toxicity is 90 mg/kg i.v., 200 mg/kg i.p., and 610 mg/kg s.c.

IT **55831-73-7P 55831-78-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(local anesthetic, prepn. of)

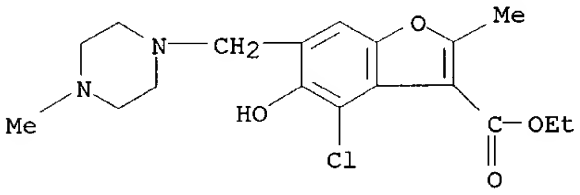
RN 55831-73-7 CAPLUS

CN 3-Benzofurancarboxylic acid, 4-chloro-5-hydroxy-2-methyl-6-[(4-methyl-1-piperazinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 55831-78-2 CAPLUS

CN 3-Benzofurancarboxylic acid, 4-chloro-5-hydroxy-2-methyl-6-[(4-methyl-1-piperazinyl)methyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L10 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2001 ACS

AN 1976:508513 CAPLUS

DN 85:108513

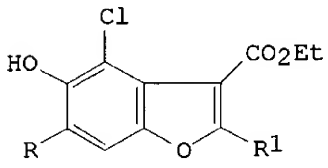
TI 6-Aminomethyl-5-hydroxybenzofurans

PA Ordzhonikidze, S., All-Union Scientific-Research Chemical-Pharmaceutical

Institute, USSR
SO Japan. Kokai, 5 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 50151861	A2	19751206	JP 1974-56081	19740518
JP 58042192	B4	19830917		

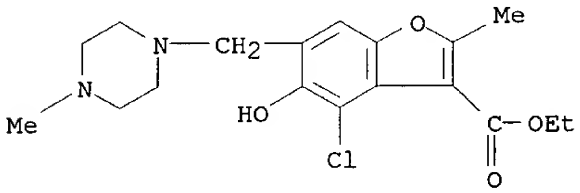
GI



I, R=H
II, R=$CH_2NR^2{}_2$

AB Benzofurans I (R1 = alkyl, Ph) were treated with CH2(NR22)2 (R2 = alkyl or
NR22 = heterocyclyl) to give II. Thus, 12.75 g I (R1 = Me) was refluxed with 8 ml CH2(NMe2)2 in dioxane 6 hr to give 87.5% II (R1 = R2 = Me) (III). The local anesthetic activity of III is stronger than that of novocaine. III is also an antiarrhythmic and oxytocic agent. Similarly prepd. were II (R1, NR22 given): Me, NEt2; Me, morpholino; Me, 4-methyl-1-piperazinyl; Ph, NMe2.

IT **55831-73-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 55831-73-7 CAPLUS
CN 3-Benzofurancarboxylic acid, 4-chloro-5-hydroxy-2-methyl-6-[(4-methyl-1-piperazinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

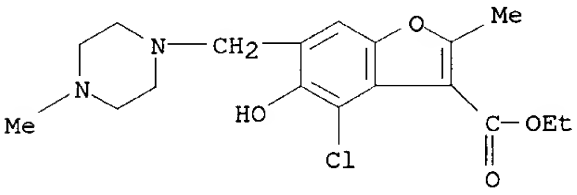


L10 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2001 ACS
AN 1975:458643 CAPLUS
DN 83:58643
TI 5-Hydroxy-6-aminomethyl benzofuran derivatives
IN Grinev, A. N.; Stolyarchuk, A. A.; Galenko-Yaroshevskii, P. A.; Tantsyura,
V. S.; Arkhange'skaya, N. V.
PA Ordzhonikidze, S., All-Union Scientific-Research Chemical-Pharmaceutical Institute, USSR; Vinnitsa Medical Institute
SO Fr. Demande, 10 pp.

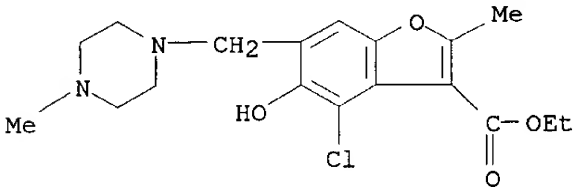
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2229418	A1	19741213	FR 1974-17349	19740517
	FR 2229418	B1	19771104		
	SU 486670	A1	19900123	SU 1973-1924401	19730518
	CA 1030537	A1	19780502	CA 1974-200122	19740516
	CH 602693	A	19780731	CH 1974-6818	19740517
PRAI	SU 1973-1924401		19730518		

GI For diagram(s), see printed CA Issue.
AB Benzofuran I (R = Me, Ph, R1 = CH2NMe2; R = Me, R1 = CH2NEt2, morpholinomethyl, 4-methylpiperazinomethyl) were prepd. by treating I (R1 = H) with the bis(amino)methanes. I (R = Me, R1 = CH2NM2) exhibited an anesthetic activity superior to that of novocaine.
IT **55831-73-7P 55831-78-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 55831-73-7 CAPLUS
CN 3-Benzofurancarboxylic acid, 4-chloro-5-hydroxy-2-methyl-6-[(4-methyl-1-piperazinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 55831-78-2 CAPLUS
CN 3-Benzofurancarboxylic acid, 4-chloro-5-hydroxy-2-methyl-6-[(4-methyl-1-piperazinyl)methyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



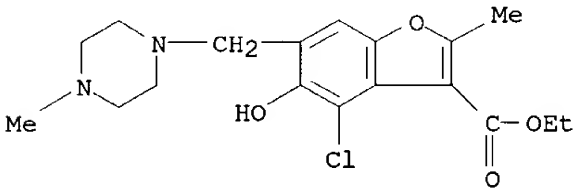
●2 HCl

L10 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2001 ACS
AN 1975:428087 CAPLUS
DN 83:28087
TI Local anesthetic 5-hydroxy-6-(aminomethyl)benzofurans
IN Grinev, A. N.; Stolyarchuk, A. A.; Galenko-Yaroshevskii, P. A.; Tantsyura,

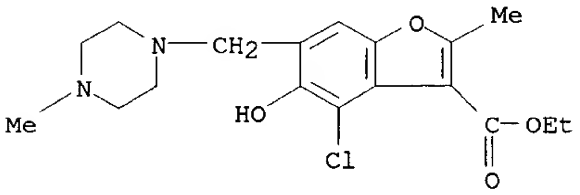
V. S.; Arkhangel'skaya, N. V.
PA Ordzhonikidze, S., All-Union Scientific-Research Chemical-Pharmaceutical Institute, USSR; Vinnitsa Medical Institute
SO Ger. Offen., 16 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2424130	A1	19741205	DE 1974-2424130	19740517
	SU 486670	A1	19900123	SU 1973-1924401	19730518
	CA 1030537	A1	19780502	CA 1974-200122	19740516
	CH 602693	A	19780731	CH 1974-6818	19740517
PRAI	SU 1973-1924401		19730518		

GI For diagram(s), see printed CA Issue.
AB Five (aminomethyl)benzofurans I (R = R1R2NCH2, R1 = R2 = Me or Et or NR1R2 = morpholino, or 4-methyl-1-piperazinyl; R3 = Me or Ph) and their salts, e.g. hydrochlorides, were prepd. in .ltoreq.94% yield by refluxing I (R = H) with (R1R2NH)2CH2 in dioxane or (when R1 = R2 = Me) with Me2NH-HCHO in DMF. I had local anesthetic activities in guinea pigs, rabbits, and rats.
IT **55831-73-7P 55831-78-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of local anesthetic)
RN 55831-73-7 CAPLUS
CN 3-Benzofurancarboxylic acid, 4-chloro-5-hydroxy-2-methyl-6-[(4-methyl-1-piperazinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

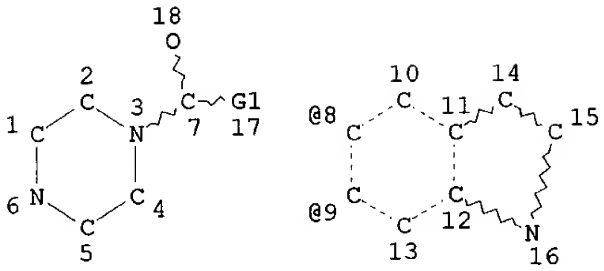


RN 55831-78-2 CAPLUS
CN 3-Benzofurancarboxylic acid, 4-chloro-5-hydroxy-2-methyl-6-[(4-methyl-1-piperazinyl)methyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



2 HCl

L1 HAS NO ANSWERS
L1 STR



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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 3 8
NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE

=> s l1 ful
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100.0% PROCESSED 7180 ITERATIONS 134 ANSWERS
SEARCH TIME: 00.00.02

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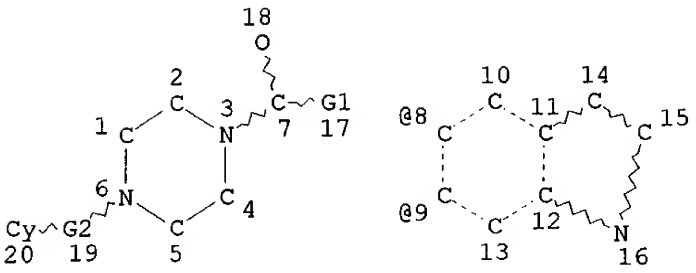

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L5 STRUCTURE CREATED

=> search l5
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ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):l3
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
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FULL SUBSET SCREEN SEARCH COMPLETED - 130 TO ITERATE

100.0% PROCESSED 130 ITERATIONS 81 ANSWERS
SEARCH TIME: 00.00.02

L6 81 SEA SUB=L3 SSS FUL L5

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	32.43	167.41

FILE 'CAPLUS' ENTERED AT 10:29:45 ON 08 AUG 2001
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1947 - 8 Aug 2001 VOL 135 ISS 7
FILE LAST UPDATED: 7 Aug 2001 (20010807/ED)

  This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l6
L7 14 L6

=> d bib 1-14

L7 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2001 ACS
AN 2001:78383 CAPLUS
DN 134:163059
TI Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa/IIa inhibitors
IN Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.
PA Aventis Pharmaceuticals Products Inc., USA
SO PCT Int. Appl., 460 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001007436	A2	20010201	WO 2000-IB1156	20000726

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-363196 A 19990728
OS MARPAT 134:163059

L7 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2001 ACS
AN 2001:78382 CAPLUS
DN 134:131549
TI Preparation of piperazinyl indolyl methanones as 5-HT2A receptor antagonists.
IN Bottcher, Henning; Marz, Joachim; Greiner, Hartmut; Harting, Jurgen; Bartoszyk, Gerd; Seyfried, Christoph; Van Amsterdam, Christoph
PA Merck Patent G.m.b.H., Germany
SO PCT Int. Appl., 28 pp.

CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001007434	A2	20010201	WO 2000-EP6463	20000707
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19934432	A1	20010201	DE 1999-19934432	19990722

PRAI DE 1999-19934432 A 19990722
OS MARPAT 134:131549

L7 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2001 ACS
AN 2001:62284 CAPLUS
DN 134:115969
TI Preparation of indolcarbonylpiperazines as 5-HT2A receptor antagonists.
IN Boettcher, Henning; Greiner, Hartmut; Harting, Juergen; Bartoszyk, Gerd; Seyfried, Christoph; Amsterdam, Christoph
PA Merck Patent Gmbh, Germany
SO Ger. Offen., 10 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 19934433	A1	20010125	DE 1999-19934433	19990722
WO 2001007435	A2	20010201	WO 2000-EP6464	20000707
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRAI DE 1999-19934433 A 19990722
OS MARPAT 134:115969

L7 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2001 ACS
AN 2000:842127 CAPLUS
DN 134:17503
TI Preparation of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as inhibitors of p38 kinase
IN Mavunkel, Babu J.; Chakravarty, Sarvajit; Perumattam, John J.; Dugar, Sundeep; Lu, Qing; Liang, Xi
PA Scios Inc., USA
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000071535	A1	20001130	WO 2000-US14003	20000519

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-316761 A 19990521
US 1999-154594 P 19990917
US 2000-202608 P 20000509
OS MARPAT 134:17503
RE.CNT 3
RE
(1) Smithkline Beecham Corporation; WO 9806715 A 1998 CAPLUS
(2) Smithkline Beecham Corporation; WO 9828292 A 1998 CAPLUS
(3) Vertex Pharmaceuticals Incorporated; WO 9900357 A 1999 CAPLUS

L7 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2001 ACS
AN 2000:384179 CAPLUS
DN 133:30741
TI Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa inhibitors
IN Ewing, William R.; Becker, Michael R.; Myers, Michael R.; Spada, Alfred P.
PA Aventis Pharmaceuticals Products Inc., USA
SO PCT Int. Appl., 219 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000032590	A1	20000608	WO 1999-US28074	19991124

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

	WO 9937304	A1	19990729	WO 1999-US1682	19990127

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1998-110012 A2 19981125
WO 1999-US1682 A2 19990127
US 1999-313611 A2 19990518
US 1999-363196 A2 19990728
US 1998-72707 A2 19980127
OS MARPAT 133:30741
RE.CNT 2
RE
(1) Rhone-Poulenc; WO 9640679 A 1996 CAPLUS
(2) Rhone-Poulenc; WO 9937304 A 1999 CAPLUS

L7 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2001 ACS
AN 2000:161119 CAPLUS
DN 132:203174
TI Inhibitors of p38-.alpha. kinase, preparation thereof, and therapeutic use
IN Goehring, R. Richard; Luedtke, Gregory R.; Mavunkel, Babu J.; Chakravarty, Sarvajit; Dugar, Sundeep; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PA Scios Inc., USA
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000012074	A2	20000309	WO 1999-US19845	19990827
	WO 2000012074	A3	20000831		
	W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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	AU 9957936	A1	20000321	AU 1999-57936	19990827
	EP 1107758	A2	20010620	EP 1999-945316	19990827
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	US 1998-98219	P	19980828		
	US 1999-125343	P	19990319		
	US 1998-125343	P	19990319		
	WO 1999-US19845	W	19990827		

OS MARPAT 132:203174

L7 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2001 ACS
AN 1999:764025 CAPLUS
DN 132:3363
TI Heterocyclic compounds and methods to treat cardiac failure and other disorders
IN Mavunkel, Babu J.; Liu, David Y.; Schreiner, George F.; Lewicki, John A.; Perumattam, John J.
PA Scios, Inc., USA
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent

LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9961426	A1	19991202	WO 1999-US11222	19990521
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	US 6130235	A	20001010	US 1998-128137	19980803
	AU 9940920	A1	19991213	AU 1999-40920	19990521
	BR 9911069	A	20010206	BR 1999-11069	19990521
	EP 1080078	A1	20010307	EP 1999-924412	19990521
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	NO 2000005881	A	20010109	NO 2000-5881	20001121
PRAI	US 1998-86531	P	19980522		
	US 1998-128137	A	19980803		
	US 1999-275176	A	19990324		
	WO 1999-US11222	W	19990521		

OS MARPAT 132:3363
RE.CNT 6
RE
(1) Adir; EP 0831090 A 1998 CAPLUS
(2) Merck & Co Inc; EP 0431945 A 1991 CAPLUS
(3) Merck Patent Gmbh; EP 0709384 A 1996 CAPLUS
(4) Smithkline Beecham Corporation; WO 9806715 A 1998 CAPLUS
(5) Smithkline Beecham Corporation; WO 9828292 A 1998 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2001 ACS
AN 1999:487215 CAPLUS
DN 131:130007
TI Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa inhibitors
IN Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.
PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SO PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9937304	A1	19990729	WO 1999-US1682	19990127
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9926533	A1	19990809	AU 1999-26533	19990127
	BR 9907300	A	20001024	BR 1999-7300	19990127
	EP 1051176	A1	20001115	EP 1999-906684	19990127
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	WO 2000032590	A1	20000608	WO 1999-US28074	19991124
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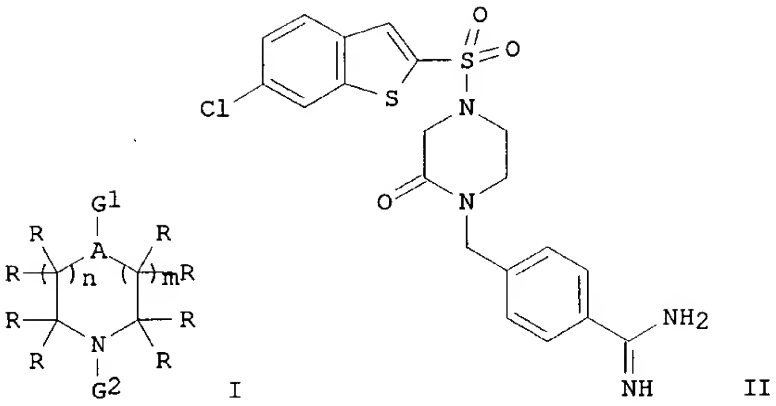
	NO 2000003808	A	20000926	NO 2000-3808	20000725

PRAI	US 1998-72707	A2	19980127
	US 1998-110012	A2	19981125
	WO 1999-US1682	W	19990127
	US 1999-313611	A2	19990518
	US 1999-363196	A2	19990728

OS MARPAT 131:130007
GI



I

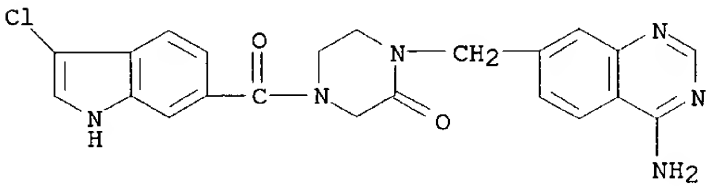
II

AB The invention is directed to oxoazaheterocyclyl compds. I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH, N; G1, G2 = (independently) -L-Cy; L = various at. and mol. linkers, including O, (un)substituted NH or S, alk(en/yn)ylene, etc., or their combinations; Cy = (un)substituted (hetero)aryl, cycloalk(en)yl, heterocyclyl, etc.; R = (independently) H, CO2H, alkoxycarbonyl, (un)substituted carbamoyl, alkyl, (hetero)aryl, (hetero)aralkyl; or two geminal R groups = O or S; m, n = 0-2; with provisos]. The compds. inhibit factor Xa (no data), and thereby the prodn. of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 780 compds. I, which are also claimed, and several hundred intermediates. For instance, sulfonamidation of 6-chlorobenzo[b]thiophene-2-sulfonyl chloride with 4-(2-oxopiperazin-1-ylmethyl)benzamidine bistrifluoroacetate (prepns. given) in CH2Cl2 in the presence of Et3N gave title compd. II.

IT **234102-35-3P 234102-92-2P 234103-21-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa inhibitors)

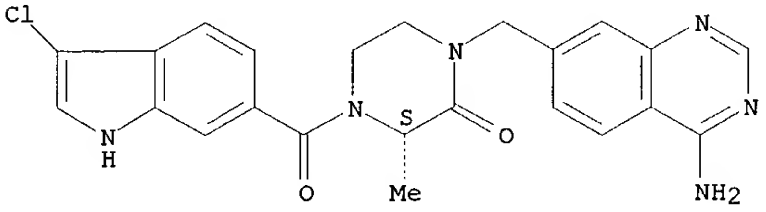
RN 234102-35-3 CAPLUS

CN Piperazinone, 1-[(4-amino-7-quinazolinyl)methyl]-4-[(3-chloro-1H-indol-6-yl)carbonyl]- (9CI) (CA INDEX NAME)



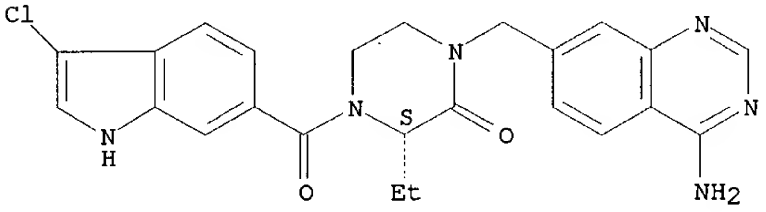
RN 234102-92-2 CAPLUS
CN Piperazinone, 1-[(4-amino-7-quinazolinyl)methyl]-4-[(3-chloro-1H-indol-6-yl)carbonyl]-3-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 234103-21-0 CAPLUS
CN Piperazinone, 1-[(4-amino-7-quinazolinyl)methyl]-4-[(3-chloro-1H-indol-6-yl)carbonyl]-3-ethyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



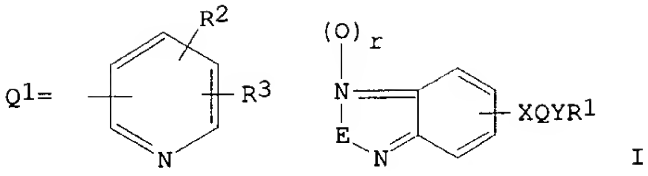
RE.CNT 1
RE
(1) Ewing; US 5612353 A 1997 CAPLUS

L7 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2001 ACS
AN 1991:583313 CAPLUS
DN 115:183313
TI Preparation and formulation of benzofurazan derivatives as antiarrhythmics
IN Baldwin, John J.; Claremon, David A.; Elliott, Jason M.; Ponticello, Gerald S.; Remy, David C.; Selnick, Harold G.
PA Merck and Co., Inc., USA
SO Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

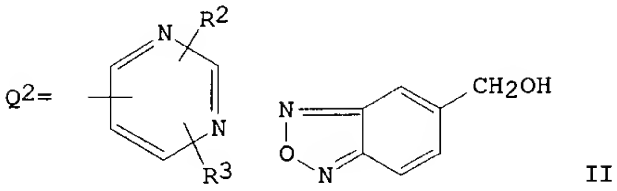
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 431944	A2	19910612	EP 1990-313263	19901206
	EP 431944	A3	19920115		
	R: CH, DE, FR, GB, IT, LI, NL				
	US 5032604	A	19910716	US 1989-447941	19891208
	JP 03209372	A2	19910912	JP 1990-326193	19901129
	CA 2031645	AA	19910609	CA 1990-2031645	19901206
	US 5112824	A	19920512	US 1991-730332	19910715
PRAI	US 1989-447941		19891208		

OS MARPAT 115:183313

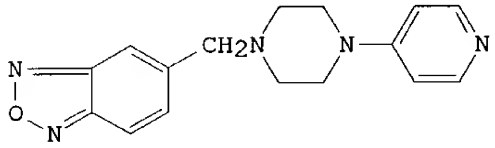
GI



I



II



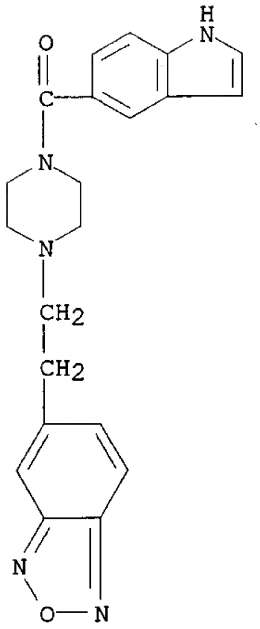
III

AB The title compds. I [Q = NR, 5- to 7-membered heterocycle with 1 or 2 N atoms; R = H, alkyl; X, Y = CO, (CRR)m, SO2, bond, etc.; m = 1 to 3; E = O, S; r = 0 or 1; R1 = H, Q1, Q2, etc.; R2, R3 = H, alkoxy, NO2, halo, cyano, etc.] were prepd. I are antiarrhythmics with potassium blocking activity. Reaction of alc. II with methanesulfonyl chloride, followed by reaction with 1-(4-pyridyl)piperazine, gave benzofuran III. The effective concns. of compds. I required to increase the refractory period (in isolated papillary muscle) by an increment of 25% above baseline is .ltoreq.10 .mu.M.

IT **136482-01-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antiarrhythmic)

RN 136482-01-4 CAPLUS

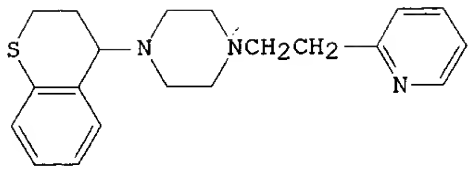
CN Piperazine, 1-[2-(2,1,3-benzoxadiazol-5-yl)ethyl]-4-(1H-indol-5-ylcarbonyl)- (9CI) (CA INDEX NAME)



L7 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2001 ACS
AN 1991:559163 CAPLUS
DN 115:159163
TI Preparation 1-(hetero)cycloalkyl-4-(2-arylethyl)piperazines and analogs as
antiarrhythmic agents
IN Baldwin, John J.; Claremon, David A.; Elliott, Jason M.; Ponticello, Gerald S.; Remy, David C.; Selnick, Harold G.
PA Merck and Co., Inc., USA
SO Eur. Pat. Appl., 23 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 431945	A2	19910612	EP 1990-313264	19901206
	EP 431945	A3	19920422		
	R: CH, DE, FR, GB, IT, LI, NL				
	US 5032598	A	19910716	US 1989-447949	19891208
	JP 03181461	A2	19910807	JP 1990-326194	19901129
	CA 2031693	AA	19910609	CA 1990-2031693	19901206
	US 5215989	A	19930601	US 1991-730317	19910715
PRAI	US 1989-447949		19891208		

OS MARPAT 115:159163
GI

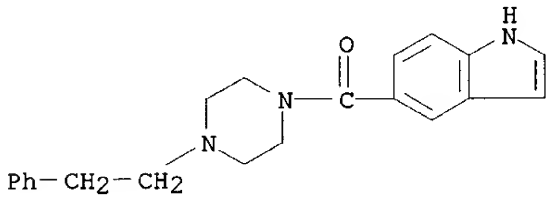


II

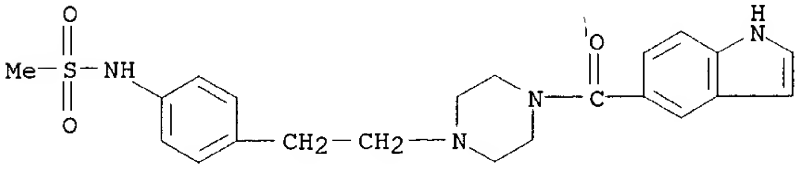
AB ArXQYR1 [I; Ar = (un)substituted benzo-, thieno-, furo-, or pyrrolo-fused Ph or other 5 to 7-membered carbocyclic or heterocyclic moiety; Q = 5 to 7-membered heterocyclylenediyl; R1 = H when Q = imidazolylenediyl; R1 is otherwise (un)substituted (hetero)aryl; X = CO, CONR(CR2)m, SO2, (CR2)m; R = H, C1-6 alkyl; Y = (CR2)m, (CR2)mO; m = 0-3] were prepd. Thus, thiochroman-4-ol was treated with SOCl2 and the product condensed with 4-[2-(2-pyridyl)ethyl]piperazine to give title compd. II. I at .ltoreq.10 .mu.M gave a 25% increase of isolated ferret papillary muscle refractory period.

IT **136188-74-4P 136188-75-5P 136188-76-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antiarrhythmic agent)

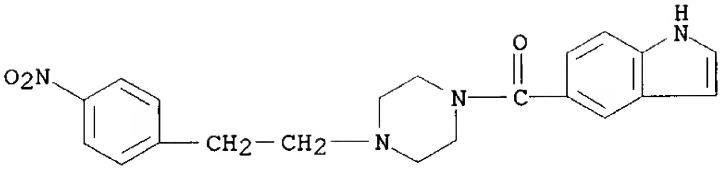
RN 136188-74-4 CAPLUS
CN Piperazine, 1-(1H-indol-5-ylcarbonyl)-4-(2-phenylethyl)- (9CI) (CA INDEX NAME)



RN 136188-75-5 CAPLUS
CN Piperazine, 1-(1H-indol-5-ylcarbonyl)-4-[2-[4-[(methylsulfonyl)amino]phenyl]ethyl]- (9CI) (CA INDEX NAME)



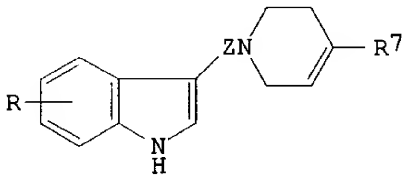
RN 136188-76-6 CAPLUS
CN Piperazine, 1-(1H-indol-5-ylcarbonyl)-4-[2-(4-nitrophenyl)ethyl]- (9CI) (CA INDEX NAME)



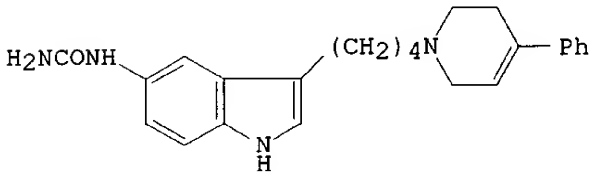
L7 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2001 ACS
AN 1991:101745 CAPLUS
DN 114:101745
TI Preparation and formulation of 3-[(4-aryl-1,2,3,6-tetrahydropyrido)alkyl]indoles and analogs as nervous system agents
IN Boettcher, Henning; Juraszyk, Horst; Hausberg, Hans Heinrich; Greiner, Hartmut; Seyfried, Christoph; Minck, Klaus Otto; Bergmann, Rolf
PA Merck Patent G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 15 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3907974	A1	19900913	DE 1989-3907974	19890311
	EP 387603	A1	19900919	EP 1990-103842	19900228
	R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
	JP 02273672	A2	19901108	JP 1990-49703	19900302
	AU 9051162	A1	19900913	AU 1990-51162	19900308
	AU 622291	B2	19920402		
	CA 2011834	AA	19900911	CA 1990-2011834	19900309
	ZA 9001857	A	19901228	ZA 1990-1857	19900309
	HU 56088	A2	19910729	HU 1990-1382	19900309
	HU 206207	B	19920928		
PRAI	DE 1989-3907974		19890311		

OS MARPAT 114:101745
GI



I



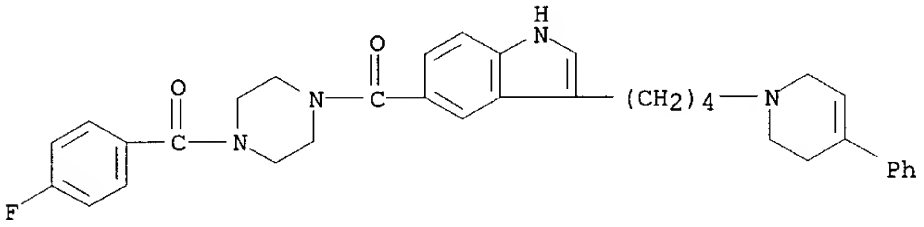
II

AB The title compds. [I; R = OCH2COR1, NHR2, NO2, CONR3R4, CSNH2; R1 = OH, NH2, alkoxy, (di)alkylamino, etc.; R2 = H, alkanoyl, aroyl, CONH2, etc.;

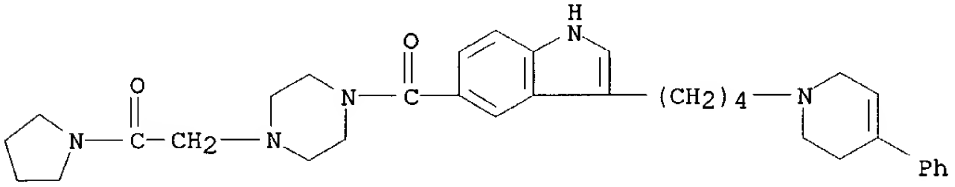
R3 = H, (hydroxy)alkyl; R4 = O-(un)substituted hydroxyalkyl, dialkylamino,
(un)substituted Ph, etc.; NR3R4 = heterocyclyl; R7 = 2- or 3-thienyl, (un)substituted Ph; Z = (CH2)2-5, CH2SOnCH2CH2; n = 0-2] were prepd. as nervous system agents (no data). Thus, 3-(4-chlorobutyl)-5-indolylurea [prepn. starting from 5-nitroindole and Cl(CH2)3COCl described] was stirred 12 h with 4-phenyl-1,2,3,6-tetrahydropyridine in MeCN to give title compd. II. Pharmaceutical formulations comprising I are given.

IT **132285-58-6P 132285-61-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as nervous system agent)

RN 132285-58-6 CAPLUS
CN Piperazine,
1-[[3-[4-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)butyl]-1H-indol-5-yl]carbonyl]-4-(4-fluorobenzoyl)- (9CI) (CA INDEX NAME)



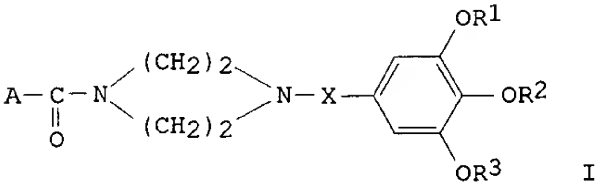
RN 132285-61-1 CAPLUS
CN Piperazine,
1-[[3-[4-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)butyl]-1H-indol-5-yl]carbonyl]-4-[2-(1-pyrrolidinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2001 ACS
AN 1989:625318 CAPLUS
DN 111:225318
TI Preparation of 1,4-disubstituted piperazines and their use as antagonists of platelet-activating factor
IN Sugihara, Hirosada; Itoh, Katsumi; Nishikawa, Kohei
PA Takeda Chemical Industries, Ltd., Japan
SO Eur. Pat. Appl., 35 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

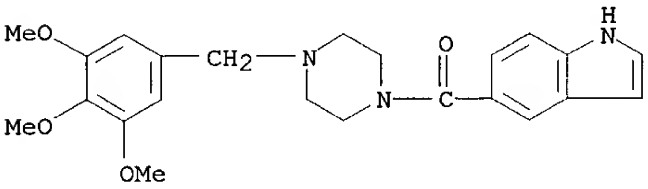
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 318235	A2	19890531	EP 1988-311022	19881122

EP 318235 A3 19910502
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE
JP 01230570 A2 19890914 JP 1988-295244 19881122
US 4937246 A 19900626 US 1988-274975 19881122
PRAI JP 1987-296887 19871125
GI



I

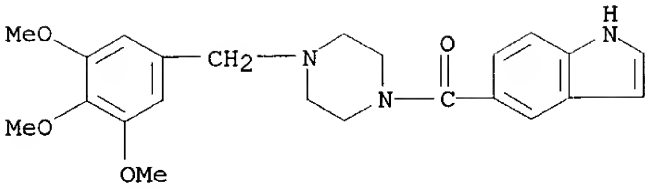
AB The title compds. I [A = (un)substituted Ph, (un)substituted heterocyclyl;
X = CH2, C(:O), C(:S); R1, R2, R3 = lower alkyl] or their salts, a means of their prepn., and compns. contg. them are provided for inhibition of platelet-activating factor (PAF).
1-(3-Methoxy-5-nitro-4-propoxybenzoyl)-
4-(3,4,5-trimethoxybenzyl)piperazine-HCl (II) was prepd. from
1-(3,4,5-trimethoxybenzyl)piperazine dihydrochloride and
3-methoxy-5-nitro-4-propoxy-benzoyl chloride (prepn. given). II (3 .times. 10-5M) completely inhibited PAF-induced aggregation of rabbit platelets; 30 mg II/kg inhibited PAF-induced hypotension in rats.
IT **123947-42-2P 123947-43-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as inhibitor of platelet-activating factor)
RN 123947-42-2 CAPLUS
CN Piperazine, 1-(1H-indol-5-ylcarbonyl)-4-[(3,4,5-trimethoxyphenyl)methyl]-
(9CI) (CA INDEX NAME)



RN 123947-43-3 CAPLUS
CN Piperazine,
1-(1H-indol-5-ylcarbonyl)-4-[(3,4,5-trimethoxyphenyl)methyl]-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

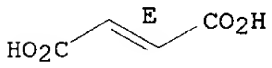
CRN 123947-42-2
CMF C23 H27 N3 O4



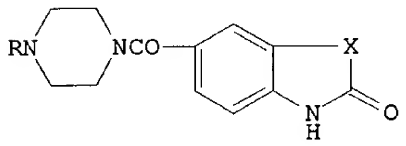
CM 2

CRN 110-17-8
CMF C4 H4 O4
CDES 2:E

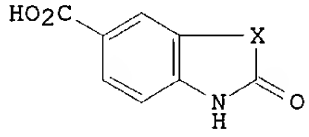
Double bond geometry as shown.



L7 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2001 ACS
AN 1989:57613 CAPLUS
DN 110:57613
TI Studies on positive inotropic agents. V. Synthesis of 1-heteroaroylpiperazine derivatives
AU Ogawa, Hidenori; Tamada, Shigeharu; Fujioka, Takafumi; Teramoto, Shuji; Kondo, Kazumi; Yamashita, Shuji; Yabuuchi, Youichi; Tominaga, Michiaki; Nakagawa, Kazuyuki
CS Tokushima Res. Inst., Otsuka Pharm. Co., Ltd., Tokushima, 771-01, Japan
SO Chem. Pharm. Bull. (1988), 36(6), 2253-8
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
OS CASREACT 110:57613
GI



I



II

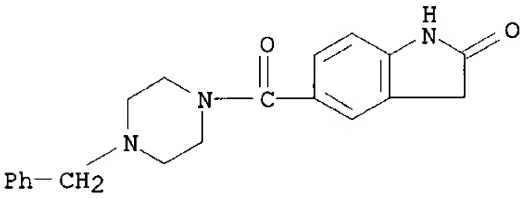
AB A series of title compds. I [X = S, CH2, CH2NMe, CONMe, R = PhCH2, Me2CHCH2, PhCO(CH2)3] was synthesized and examd. for pos. inotropic activities on the canine heart. The key intermediates, heteroarenecarboxylic acids II (X = as above) were prepd. by two different methods, and were conducted with substituted piperazines to give I. The 5-membered lactams prepd. were less active than the control compd. (amrinone). However, the 6-membered cyclic ureido comdps., I [X = CH2NMe,

CONMe, CONMe; R = PhCO(CH2)3, PhCH2] all showed potent pos. inotropic activity.

IT **102358-72-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., inotropic and chronotropic activity of)

RN 102358-72-5 CAPLUS

CN Piperazine,
1-[(2,3-dihydro-2-oxo-1H-indol-5-yl)carbonyl]-4-(phenylmethyl)-
(9CI) (CA INDEX NAME)



L7 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2001 ACS

AN 1986:224914 CAPLUS

DN 104:224914

TI Oxindoles

IN Tominaga, Michiaki; Ogawa, Hidenori; Fujioka, Takafumi; Nakagawa, Kazuyuki

PA Otsuka Pharmaceutical Co., Ltd., Japan

SO Eur. Pat. Appl., 78 pp.
CODEN: EPXXDW

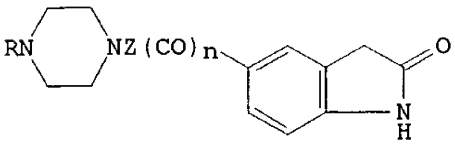
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 168003	A1	19860115	EP 1985-108367	19850705
	EP 168003	B1	19910403		
	R: CH, DE, FR, GB, IT, LI, NL				
	JP 61022068	A2	19860130	JP 1984-141254	19840706
	JP 04042383	B4	19920713		
	JP 61022069	A2	19860130	JP 1984-141255	19840706
	JP 04071069	B4	19921112		
	JP 61022014	A2	19860130	JP 1984-141256	19840706
	JP 04071056	B4	19921112		
	US 4737501	A	19880412	US 1985-751849	19850705
PRAI	JP 1984-141254		19840706		
	JP 1984-141255		19840706		
	JP 1984-141256		19840706		

GI

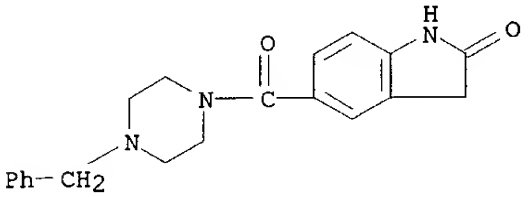


I

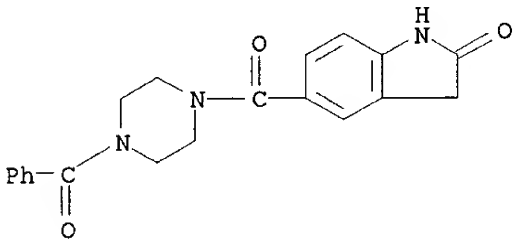
AB The cardiotonic title compds. [I; R=H, alkoxycarbonyl, alkanoyl, (un)substututed alkyl, Bz; Z=alkylene, bond; n=0,1] were prepd. Thus, 14 g 5-aminooxindole was refluxed with 29 g (BrCH2CH2)2NH.HBr in EtOH contg. Na2CO3 to give 16 g piperazinyloxindole I (R=H, n=0, Z,=bond). This (2.0 g) was acylated with 3,4-(MeO)2C6H3COCl to give 1.5/g I (R=3,4-(MeO)2C6H3CO, n=0, Z=bond) (II). In papillary muscle preps. from dogs, 1 .mu.mole II increased heart contractility 12%.

IT **102358-72-5P 102358-76-9P 102358-77-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as cardiotonic)

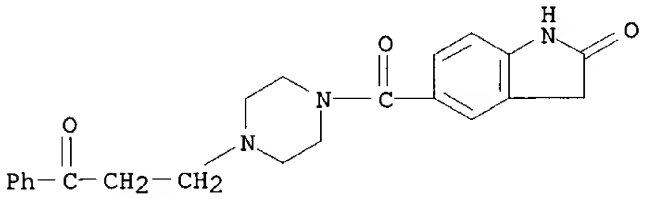
RN 102358-72-5 CAPLUS
CN Piperazine, 1-[(2,3-dihydro-2-oxo-1H-indol-5-yl)carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

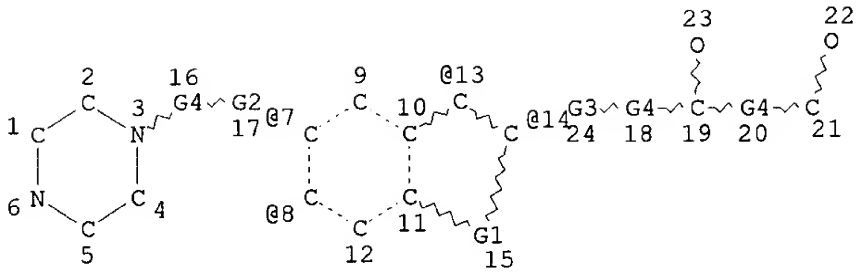


RN 102358-76-9 CAPLUS
CN Piperazine, 1-benzoyl-4-[(2,3-dihydro-2-oxo-1H-indol-5-yl)carbonyl]- (9CI)
(CA INDEX NAME)



RN 102358-77-0 CAPLUS
CN Piperazine, 1-[(2,3-dihydro-2-oxo-1H-indol-5-yl)carbonyl]-4-(3-oxo-3-phenylpropyl)- (9CI) (CA INDEX NAME)





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VAR G2=7/8
VAR G3=13/14
REP G4=(0-2) A
ENTER (DIS), GRA, NOD, BON OR ?:end
L10  STRUCTURE CREATED

=> s l10
SAMPLE SEARCH INITIATED 16:40:11 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   5958 TO ITERATE

 16.8% PROCESSED    1000 ITERATIONS                                 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:       114538 TO   123782
PROJECTED ANSWERS:               0 TO        0

L11           0 SEA SSS SAM L10

=> s l10 ful
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FULL SCREEN SEARCH COMPLETED - 119095 TO ITERATE

100.0% PROCESSED  119095 ITERATIONS                               0 ANSWERS
SEARCH TIME: 00.00.08

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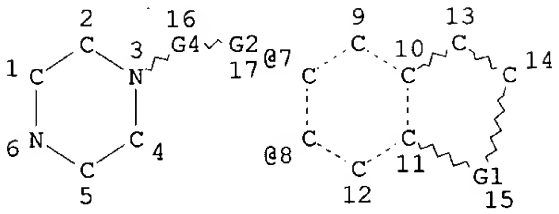
L16 HAS NO ANSWERS
L16 STR



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VAR G2=7/8
REP G4=(0-2) A
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 4 14
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

=> s l16 ful
FULL SEARCH INITIATED 16:45:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 154466 TO ITERATE

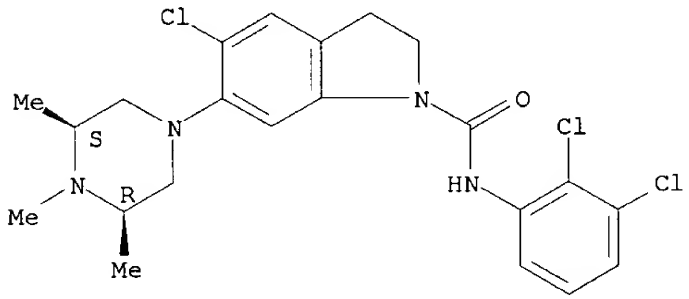
100.0% PROCESSED 154466 ITERATIONS 974 ANSWERS
SEARCH TIME: 00.00.06

L18 974 SEA SSS FUL L16

=> d scan

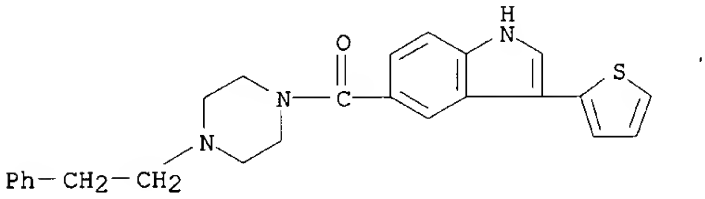
L18 974 ANSWERS REGISTRY COPYRIGHT 2001 ACS
IN 1H-Indole-1-carboxamide, 5-chloro-N-(2,3-dichlorophenyl)-2,3-dihydro-6-[(3R,5S)-3,4,5-trimethyl-1-piperazinyl]-, rel- (9CI)
MF C22 H25 Cl3 N4 O

Relative stereochemistry.

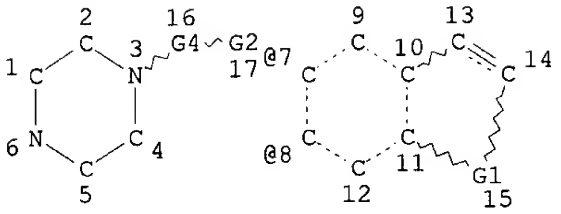


HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

L18 974 ANSWERS REGISTRY COPYRIGHT 2001 ACS
IN Piperazine, 1-(2-phenylethyl)-4-[[3-(2-thienyl)-1H-indol-5-yl]carbonyl]-, monohydrochloride (9CI)
MF C25 H25 N3 O S . Cl H



● HCl



VAR G1=O/N
VAR G2=7/8
REP G4=(0-2) A
ENTER (DIS), GRA, NOD, BON OR ?:end
L19 STRUCTURE CREATED

=> search l19
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:sss
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):l18
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
FULL SUBSET SEARCH INITIATED 16:47:36 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -   974 TO ITERATE

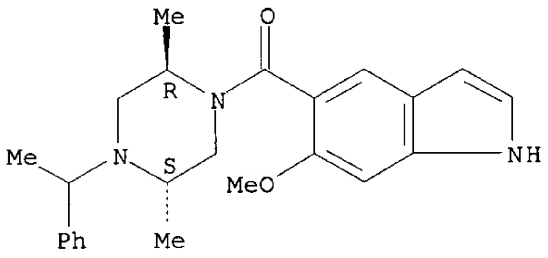
100.0% PROCESSED   974 ITERATIONS   406 ANSWERS
SEARCH TIME: 00.00.02

L20   406 SEA SUB=L18 SSS FUL L19

=> d scan

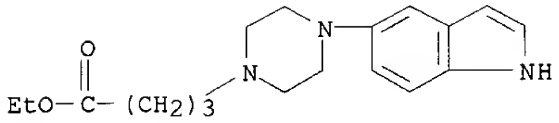
L20 406 ANSWERS   REGISTRY COPYRIGHT 2001 ACS
IN   Piperazine, 1-[(6-methoxy-1H-indol-5-yl)carbonyl]-2,5-dimethyl-4-(1-
     phenylethyl)-, (2R,5S)- (9CI)
MF   C24 H29 N3 O2

Absolute stereochemistry.

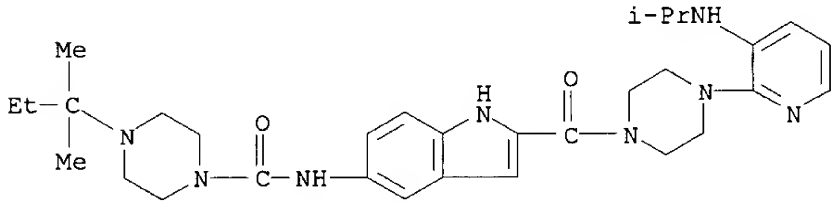


HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

L20 406 ANSWERS   REGISTRY COPYRIGHT 2001 ACS
IN   1-Piperazinebutanoic acid, 4-(1H-indol-5-yl)-, ethyl ester (9CI)
MF   C18 H25 N3 O2



L20 406 ANSWERS REGISTRY COPYRIGHT 2001 ACS
IN 1-Piperazinecarboxamide, 4-(1,1-dimethylpropyl)-N-[2-[[4-[3-[(1-methylethyl)amino]-2-pyridinyl]-1-piperazinyl]carbonyl]-1H-indol-5-yl]-(9CI)
MF C31 H44 N8 O2



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=> s l20
L25         107 L20

=> s l25 and (heart or cardia? or coronary)
          227329 HEART
           83396 CARDIA?
           41333 CORONARY
L26           9 L25 AND (HEART OR CARDIA? OR CORONARY)

=> d bib abs hitstr 1-9

L26  ANSWER 1 OF 9  CAPLUS  COPYRIGHT 2001 ACS
AN   2001:50436  CAPLUS
DN   134:95497
TI   Phosphodiesterase-inhibiting pyrazolopyrimidinone derivatives conjugated
     to thiophene moieties or benzo [fused] 5-membered heterocycles for
     treatment of erectile dysfunction and other cardiovascular disorders
IN   Abdel-Jalil, Raid; Al-Abed, Yousef; El-Abadelah, Mustafa M.; Khanfar,
     Monther; Sabri, Salim S.; Voelter, Wolfgang
PA   The Picower Institute for Medical Research, USA
SO   PCT Int. Appl., 37 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.         KIND  DATE          APPLICATION NO.  DATE
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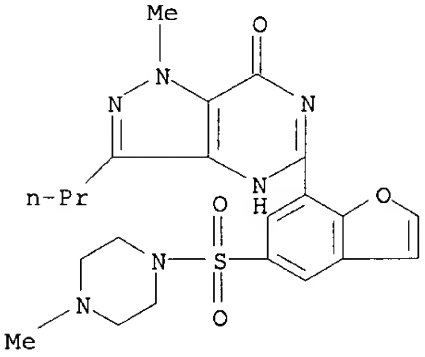
PI   WO 2001003644      A2    20010118      WO 2000-US18751  20000707
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
             ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 2000059255      A5    20010130      AU 2000-59255    20000707
PRAI US 1999-143099     P     19990709
     US 1999-149389     P     19990817
     WO 2000-US18751    W     20000707
OS   MARPAT 134:95497
AB   The invention discloses a genus of substituted pyrazolopyrimidinones
     characterized, in part, by multiply substituted thiophene moieties and,
in
     part, a genus of substituted bicyclic heteroaryl appendages.  The compds.
     are potent inhibitors of phosphodiesterases, particularly cyclic
guanosine
     3',5'-monophosphate phosphodiesterase activity and are useful for a
     variety of cardiovascular disorders relating to vascular patency, such as
     erectile dysfunction.  Specifically, a selected set of [benzo]-fused
     heterocycles includes benzofuran, benzoazole, benzo[d]isoxazole, their
     2,3-dihydro analogs, and benzo-1,3-dioxole moieties.
IT   319455-79-3 319455-80-6
     RL: BAC (Biological activity or effector, except adverse); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (phosphodiesterase-inhibiting pyrazolopyrimidinone derivs. conjugated
```

to thiophene moieties or benzo [fused] 5-membered heterocycles for treatment of erectile dysfunction and other cardiovascular disorders)

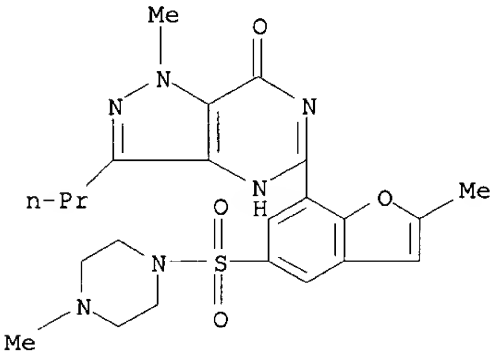
RN 319455-79-3 CAPLUS

CN Piperazine, 1-[[7-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-5-benzofuranyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 319455-80-6 CAPLUS

CN Piperazine, 1-[[7-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-2-methyl-5-benzofuranyl]sulfonyl]-4-methyl- (9CI) (CA INDEX NAME)



L26 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2001 ACS

AN 2000:881149 CAPLUS

DN 134:42147

TI Preparation and effects of benzothiazinones and benzoxazinones as protein kinase inhibitors

IN Rafferty, Paul; Calderwood, David; Arnold, Lee D.; Gonzalez Pascual, Beatriz; Ortego Matinez, Jose L.; Perez de Vega, Maria J.; Fernandez, Isabel F.

PA Basf Aktiengesellschaft, Germany

SO PCT Int. Appl., 183 pp.
CODEN: PIXXD2

DT Patent

LA English

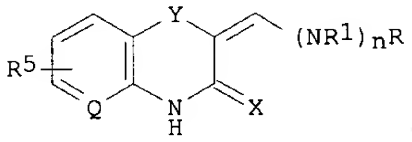
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 2000075139	A2	20001214	WO 2000-US15324	20000602
	WO 2000075139	A3	20010329		
	W: AU, BG, BR, CA, CN, CZ, HR, HU, ID, IL, IN, JP, KR, MX, NO, NZ, PL, RU, SG, SK, TR, UA, US, ZA				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PRAI	US 1999-137410	P	19990603		

OS MARPAT 134:42147

GI



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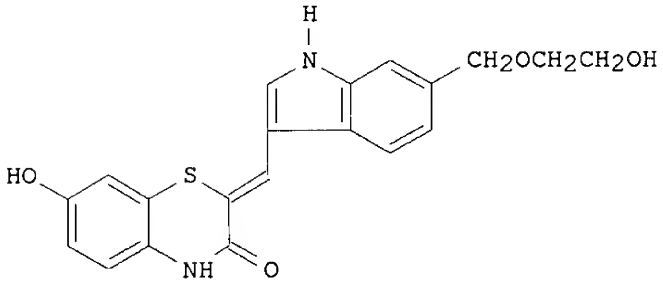


II

AB Title compds. [I; Q = N, CR2; X = S, O, NOR3; Y = S, O, SO, SO2; R, R1 independently = H, aliph., aryl, hetercyclyl; R2 = H, CH3; R3 = H, COR4; R4 = alkyl, alkenyl, alkynyl, aryl; n = 0, 1; R5 = 7-Cl, 7-CH3, 6-CF3, 6-CH3, 6-Cl, 7-OCH3, 6-CH3CONH, 7-OH, etc.] are prepd. Title compds. and physiol. acceptable salts are inhibitors of receptor tyrosine kinase or non-receptor tyrosine kinase activity which involve in angiogenic process.

Thus, title compds. can ameliorate disease states where angiogenesis or endothelial cell hyperproliferation is a factor and can be used to treat cancer and hyperproliferative disorders. Title compd. II was prepd.

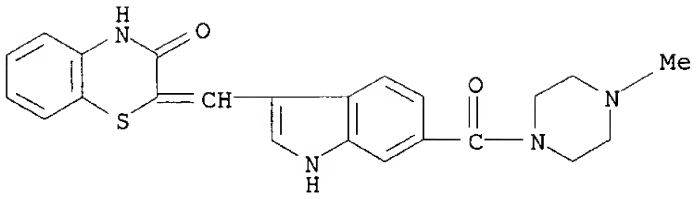
IT **312970-98-2P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and effects of benzothiazinones and benzoxazinones as protein kinase inhibitors)

RN 312970-98-2 CAPLUS

CN Piperazine, 1-[[3-[(3,4-dihydro-3-oxo-2H-1,4-benzothiazin-2-ylidene)methyl]-1H-indol-6-yl]carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



L26 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2001 ACS
AN 2000:175811 CAPLUS
DN 132:207847
TI Preparation of 5-heterocyclyl pyrazolo[4,3-d]pyrimidin-7-ones for the treatment of male erectile dysfunction
IN Sui, Zhihua; Guan, Jihua; Macielag, Mark J.
PA Ortho-McNeil Pharmaceutical, Inc., USA
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000014088	A1	20000316	WO 1999-US20240	19990902
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9957041	A1	20000327	AU 1999-57041	19990902
	US 6077841	A	20000620	US 1999-388851	19990902
	EP 1109814	A1	20010627	EP 1999-944076	19990902
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	US 1998-99268	P	19980904		
	WO 1999-US20240	W	19990902		

OS MARPAT 132:207847
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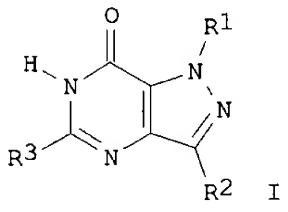


I

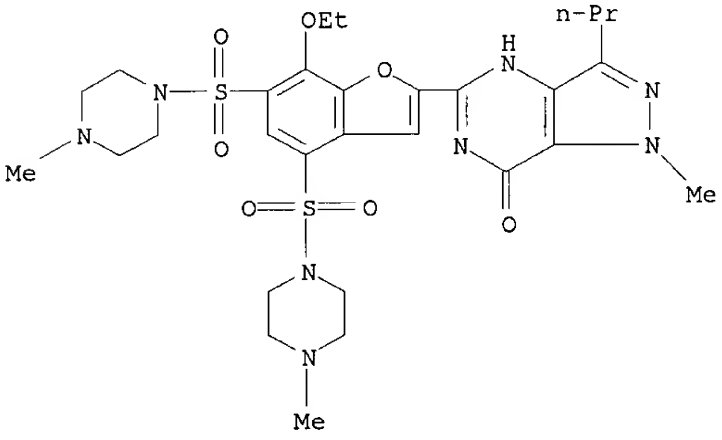
AB The title compds. [I; R1, R2 = H, alkyl; R3 = (un)substituted thien-2-yl,

benzofuran-2-yl, pyrrol-2-yl, etc.], useful in treating sexual dysfunction
in mammals, esp. male erectile dysfunction, were prepd. and formulated. Thus, reacting 3-ethoxythiophene-2-carbonyl chloride with 4-amino-1-methyl-3-n-propylpyrazole-5-carboxamide in the presence of DMAP and Et3N in CH2Cl2 followed by treatment of the resulting 4-(3-ethoxythiophene-2-carboxamido)-1-methyl-3-n-propylpyrazole-5-carboxamide with NaOH in EtOH/H2O afforded I [R1 = Me; R2 = Pr; R3 = 3-ethoxythien-2-yl] which showed IC50 of 0.47 .mu.M against PDE V.

IT **260780-79-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-heterocyclyl pyrazolo[4,3-d]pyrimidin-7-ones for the treatment of male erectile dysfunction)

RN 260780-79-8 CAPLUS

CN Piperazine, 1,1'-[[2-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl)-7-ethoxy-4,6-benzofurandiyl]bis(sulfonyl)]bis[4-methyl- (9CI) (CA INDEX NAME)



RE.CNT 4
RE
(1) Bacon; US 5294612 A 1994 CAPLUS
(2) Huynh-Dinh, T; JOURNAL OF ORGANIC CHEMISTRY 1975, V40, P2825 MEDLINE
(3) Pfizer Ltd; WO 9428902 A 1994 CAPLUS
(4) Pfizer Ltd; WO 9616657 A 1996 CAPLUS

L26 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2001 ACS
AN 2000:161119 CAPLUS
DN 132:203174
TI Inhibitors of p38-.alpha. kinase, preparation thereof, and therapeutic use
IN Goehring, R. Richard; Luedtke, Gregory R.; Mavunkel, Babu J.; Chakravarty, Sarvajit; Dugar, Sundeep; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PA Scios Inc., USA
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000012074	A2	20000309	WO 1999-US19845	19990827
	WO 2000012074	A3	20000831		
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9957936	A1	20000321	AU 1999-57936	19990827
	EP 1107758	A2	20010620	EP 1999-945316	19990827
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	US 1998-98219	P	19980828		
	US 1999-125343	P	19990319		
	US 1998-125343	P	19990319		
	WO 1999-US19845	W	19990827		

OS MARPAT 132:203174
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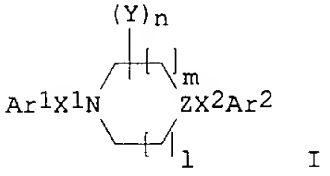
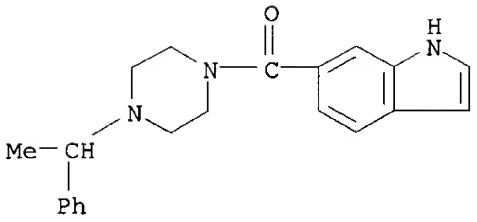


I

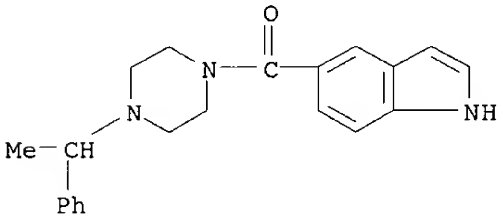
AB Methods are provided for treating conditions mediated by p38-.alpha. kinase using compds. I (Z = N, CR1; R1 = noninterfering substituent; X1, X2 = linker; Ar1, Ar2 = (un)substituted C1-20 hydrocarbyl (at least one of Ar1 and Ar2 = (un)substituted aryl), with proviso that when X2 = CH2 or an isostere thereof, X1 = CO or an isostere thereof, and Ar2 = (un)substituted Ph, Ar1 is other than (un)substituted indolyl, benzimidazolyl or benzotriazolyl, and wherein (un)substituted Ph is not (un)substituted indolyl, benzimidazolyl, or benzotriazolyl; Y = noninterfering substituent; n, m = 0-4; l = 0-3) or a pharmaceutically acceptable salt or pharmaceutical compn. thereof. Prepn. of compds. is described. Compds. of the invention may be used to treat p38-.alpha. kinase-mediated conditions.

IT **260427-72-3 260427-91-6 260427-92-7**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(p38-.alpha. kinase inhibitors, prepn., and therapeutic use)

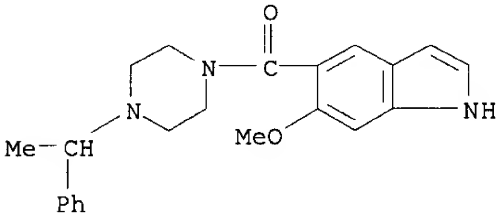
RN 260427-72-3 CAPLUS
CN Piperazine, 1-(1H-indol-6-ylcarbonyl)-4-(1-phenylethyl)- (9CI) (CA INDEX NAME)



RN 260427-91-6 CAPLUS
CN Piperazine, 1-(1H-indol-5-ylcarbonyl)-4-(1-phenylethyl)- (9CI) (CA INDEX NAME)



RN 260427-92-7 CAPLUS
CN Piperazine, 1-[(6-methoxy-1H-indol-5-yl)carbonyl]-4-(1-phenylethyl)- (9CI)
(CA INDEX NAME)



L26 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2001 ACS
AN 1999:764025 CAPLUS
DN 132:3363
TI Heterocyclic compounds and methods to treat **cardiac** failure and other disorders
IN Mavunkel, Babu J.; Liu, David Y.; Schreiner, George F.; Lewicki, John A.; Perumattam, John J.
PA Scios, Inc., USA
SO PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

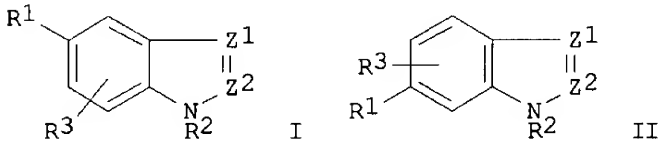
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9961426	A1	19991202	WO 1999-US11222	19990521

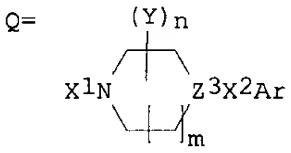
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6130235	A	20001010	US 1998-128137	19980803	
AU 9940920	A1	19991213	AU 1999-40920	19990521	
BR 9911069	A	20010206	BR 1999-11069	19990521	
EP 1080078	A1	20010307	EP 1999-924412	19990521	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
NO 2000005881	A	20010109	NO 2000-5881	20001121	
PRAI US 1998-86531	P	19980522			
US 1998-128137	A	19980803			
US 1999-275176	A	19990324			
WO 1999-US11222	W	19990521			

OS MARPAT 132:3363

GI

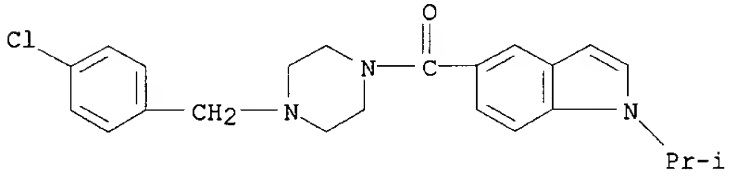




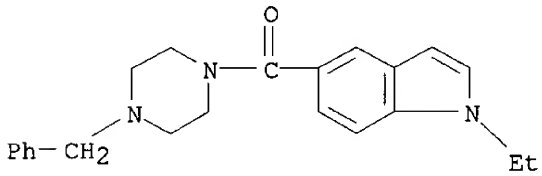
AB Compds. I and II [Z1, Z2 = CR4, N; R4 = H, alkyl, aryl, each of said alkyl or aryl optionally including one or more heteroatoms selected from O, S and N and optionally substituted by one or more of halo, OR, SR, NR2, RCO, CO2R, CONR2, O2CR, NROCR and R = H, alkyl, CN, oxo, etc.; R1 = Q and X1 = CO or an isostere; m = 0, 1; Y = alkyl, aryl, arylalkyl; YY = alkylene bridge; n = 0, 2; Z3 = CH, N; X2 = CH, CH2 or an isostere; Ar = one or two Ph moieties directly coupled to X2 optionally substituted by halo, nitro, alkyl, etc.; R2 = H, alkyl, aryl; R3 = H, halo, NO2, alkyl, alkenyl, etc.], selective inhibitors of p38.alpha. kinase, were prepd. E.g., 4-benzylpiperidinylindole-5-carboxamide was prepd.

IT **251106-48-6P 251106-62-4P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

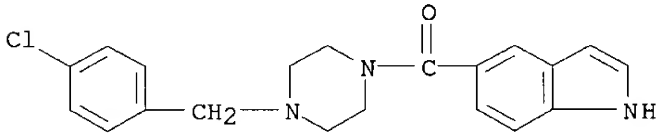
(prepn. of heterocyclic compds. as selective inhibitors of p38 kinase)
RN 251106-48-6 CAPLUS
CN Piperazine, 1-[(4-chlorophenyl)methyl]-4-[[1-(1-methylethyl)-1H-indol-5-yl]carbonyl]- (9CI) (CA INDEX NAME)



RN 251106-62-4 CAPLUS
CN Piperazine, 1-[(1-ethyl-1H-indol-5-yl)carbonyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



IT **251107-28-5**
RL: RCT (Reactant)
(prepn. of heterocyclic compds. as selective inhibitors of p38 kinase)
RN 251107-28-5 CAPLUS
CN Piperazine, 1-[(4-chlorophenyl)methyl]-4-(1H-indol-5-ylcarbonyl)- (9CI) (CA INDEX NAME)



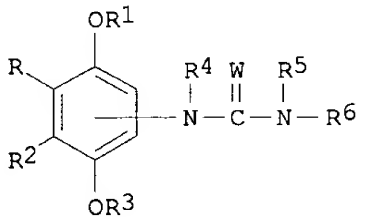
RE.CNT 6
RE
(1) Adir; EP 0831090 A 1998 CAPLUS
(2) Merck & Co Inc; EP 0431945 A 1991 CAPLUS
(3) Merck Patent Gmbh; EP 0709384 A 1996 CAPLUS
(4) Smithkline Beecham Corporation; WO 9806715 A 1998 CAPLUS
(5) Smithkline Beecham Corporation; WO 9828292 A 1998 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2001 ACS
AN 1999:332965 CAPLUS
DN 131:44643
TI Preparation of phenol derivatives as antioxidants and ACAT inhibitors
IN Suzuki, Toshikazu; Ohmizu, Hiroshi; Hashimura, Yoshitada; Kubota, Hitoshi;
Tanaka, Keiko

PA Tanabe Seiyaku Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 70 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 11139969	A2	19990525	JP 1998-220951	19980805
PRAI	JP 1997-212376		19970807		

OS MARPAT 131:44643
GI



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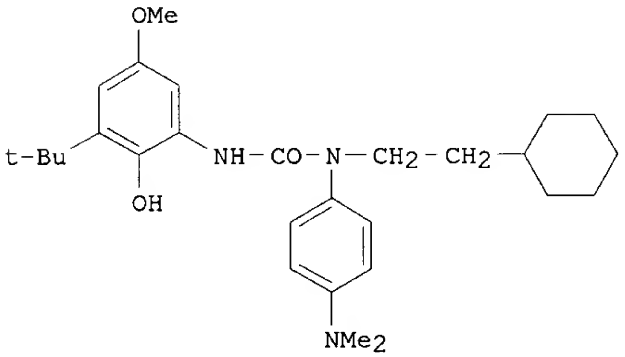
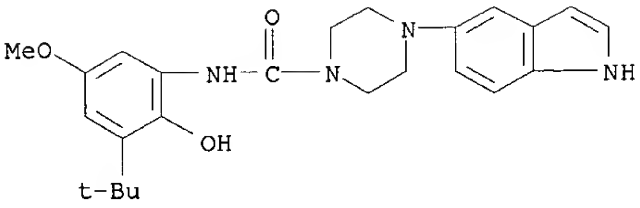


II

AB The title compds. I [R = H, (un)substituted alkyl, etc.; R1 = (un)substituted alkyl; R2 = (un)substituted alkyl, etc.; OR3= (protected) OH; R4 = H, (un)substituted alkyl, etc.; W = O, etc.; NR5R6 = (mono- or disubstituted) amino, etc.] are prepd. The title compd. II in vitro showed IC50 of 0.000067 .mu.M against ACAT.

IT **227017-20-1P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenol derivs. as antioxidants and ACAT inhibitors)

RN 227017-20-1 CAPLUS
CN 1-Piperazinecarboxamide, N-[3-(1,1-dimethylethyl)-2-hydroxy-5-methoxyphenyl]-4-(1H-indol-5-yl)-, dihydrochloride (9CI) (CA INDEX NAME)

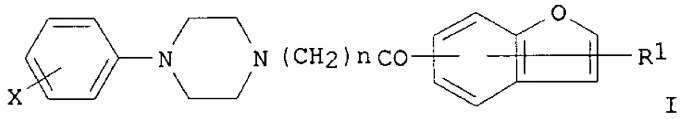


● 2 HCl

L26 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2001 ACS
AN 1997:413949 CAPLUS
DN 127:34243
TI Preparation of benzofuran derivatives as antihypertensive agents
IN Takashima, Junko
PA Shensi Research Institute of Pharmacology, Peop. Rep. China; Mitsubishi Chemical Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09124631	A2	19970513	JP 1994-11935	19940203

OS MARPAT 127:34243
GI



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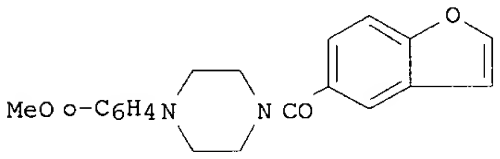
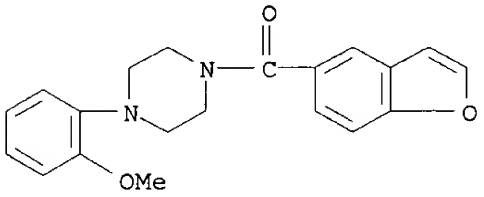


II

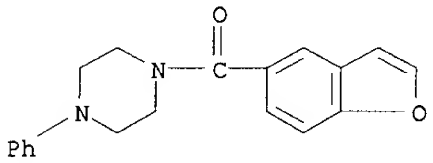
AB The title compds. [I; R1 = H, halo, C1-6 alkyl, etc.; X = H, halo, C1-6 alkyl or alkoxy; n = 0-10] are prepd. I, possessing lipid lowering activity, are useful for prevention and treatment of angina pectoris, myocardial infarction, **heart** failure, and related diseases. Thus, 5-benzofurancarboxylic acid was treated with SOCl2 and then reacted with 1-(2-methoxyphenyl)piperazine to give 86% the title compd. (II). II at 100 mg/kg showed 51% total cholesterol (TC) rise inhibitory activity when tested on hamsters p.o.

IT **190775-54-3P 190775-55-4P 190775-56-5P**
190775-57-6P 190775-58-7P 190775-61-2P
190775-63-4P 190775-64-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzofuran derivs. as antihypertensive agents)

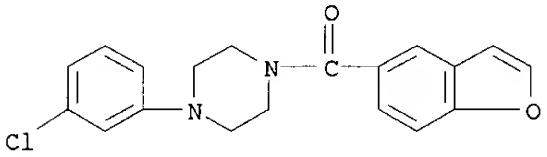
RN 190775-54-3 CAPLUS
CN Piperazine, 1-(5-benzofuranylcarbonyl)-4-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



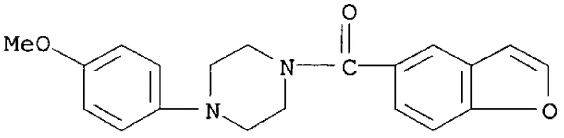
RN 190775-55-4 CAPLUS
CN Piperazine, 1-(5-benzofuranylcarbonyl)-4-phenyl- (9CI) (CA INDEX NAME)



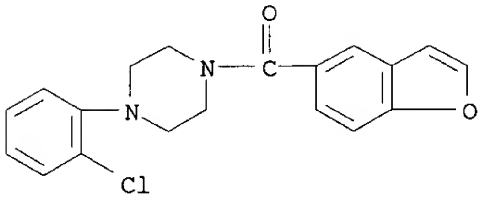
RN 190775-56-5 CAPLUS
CN Piperazine, 1-(5-benzofuranylcarbonyl)-4-(3-chlorophenyl)- (9CI) (CA INDEX NAME)



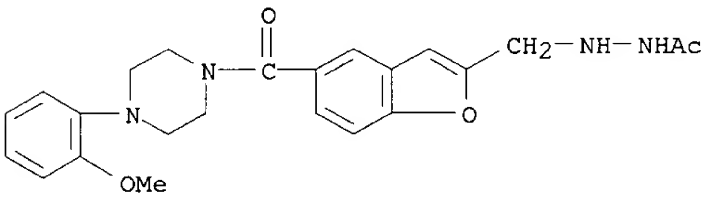
RN 190775-57-6 CAPLUS
CN Piperazine, 1-(5-benzofuranylcarbonyl)-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



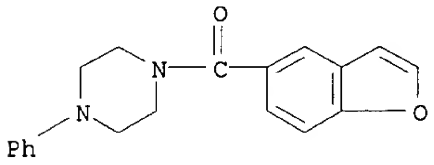
RN 190775-58-7 CAPLUS
CN Piperazine, 1-(5-benzofuranylcarbonyl)-4-(2-chlorophenyl)- (9CI) (CA INDEX NAME)



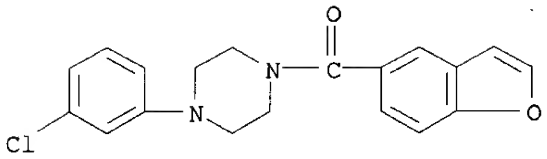
RN 190775-61-2 CAPLUS
CN Acetic acid, 2-[[5-[[4-(2-methoxyphenyl)-1-piperazinyl]carbonyl]-2-benzofuranyl]methyl]hydrazide (9CI) (CA INDEX NAME)



RN 190775-63-4 CAPLUS
CN Piperazine, 1-(5-benzofuranylcarbonyl)-4-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

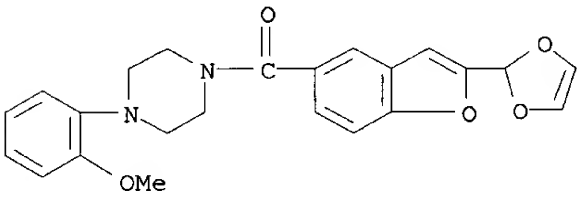


RN 190775-64-5 CAPLUS
CN Piperazine, 1-(5-benzofuranylcarbonyl)-4-(3-chlorophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **190775-69-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of benzofuran derivs. as antihypertensive agents)
RN 190775-69-0 CAPLUS
CN Piperazine, 1-[[2-(1,3-dioxol-2-yl)-5-benzofuranyl]carbonyl]-4-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



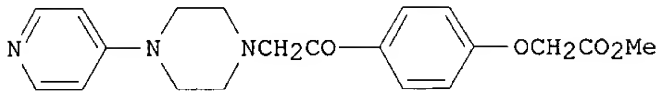
L26 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2001 ACS
AN 1995:758624 CAPLUS
DN 123:169654
TI Preparation of heterocyclic compounds as platelet aggregation inhibitors
IN Wayne, Michael Garth; Smithers, Michael James; Rayner, John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney
PA Zeneca Ltd., UK
SO PCT Int. Appl., 236 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9422835	A2	19941013	WO 1994-GB648	19940328
	WO 9422835	A3	19941222		
	W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2155307	AA	19941013	CA 1994-2155307	19940328
	AU 9462890	A1	19941024	AU 1994-62890	19940328
	AU 692439	B2	19980611		
	EP 690847	A1	19960110	EP 1994-910495	19940328
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				

	JP 08509967	T2	19961022	JP 1994-521811	19940328
	JP 3088016	B2	20000918		
	US 5750754	A	19980512	US 1996-658097	19960604
PRAI	GB 1993-6451	A	19930329		
	GB 1993-25610	A	19931215		
	GB 1993-6453	A	19930329		
	GB 1993-25605	A	19931215		
	WO 1994-GB648	W	19940328		
	GB 1995-18188	A	19950907		

OS MARPAT 123:169654

GI



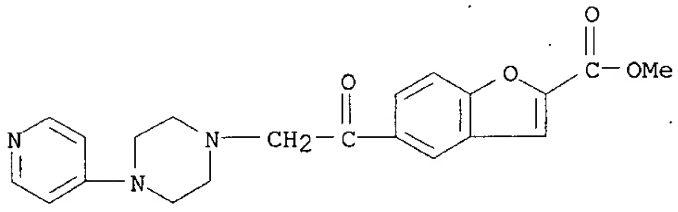
I

AB Title compds. [I; (M1)nQ(M2)1-nLA wherein = 0, 1; M1 = amino; Q = N-heterocyclyl; M2 = imino; L = template; A = an acidic group, or ester, amide deriv., sulfonamide] and pharmaceutically acceptable salts and pro-drugs thereof are prepd. Me 4-(bromoacetyl)phenoxyacetate in MeCN was added to 1-(4-pyridyl)piperazine in MeCN to give the title compd II. Platelet aggregation inhibition was demonstrated by I. Pharmaceutical formulations comprising I are given.

IT **166950-40-9P 166950-41-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as platelet aggregation inhibitors)

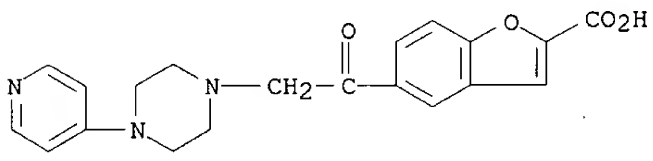
RN 166950-40-9 CAPLUS

CN 2-Benzofurancarboxylic acid, 5-[[4-(4-pyridinyl)-1-piperazinyl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 166950-41-0 CAPLUS

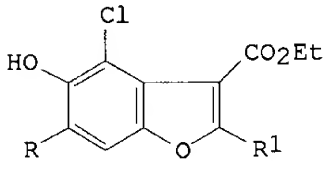
CN 2-Benzofurancarboxylic acid, 5-[[4-(4-pyridinyl)-1-piperazinyl]acetyl]- (9CI) (CA INDEX NAME)



L26 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2001 ACS
AN 1976:508513 CAPLUS
DN 85:108513
TI 6-Aminomethyl-5-hydroxybenzofurans
PA Ordzhonikidze, S., All-Union Scientific-Research Chemical-Pharmaceutical Institute, USSR
SO Japan. Kokai, 5 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 50151861	A2	19751206	JP 1974-56081	19740518
JP 58042192	B4	19830917		

GI



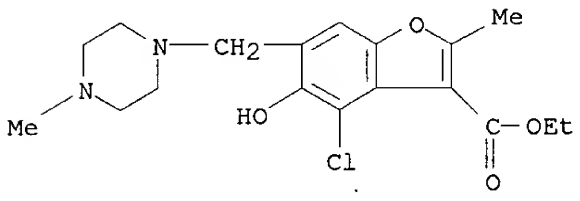
I, R=H
II, R=$CH_2NR^2_2$

AB Benzofurans I (R1 = alkyl, Ph) were treated with CH2(NR22)2 (R2 = alkyl or NR22 = heterocyclyl) to give II. Thus, 12.75 g I (R1 = Me) was refluxed with 8 ml CH2(NMe2)2 in dioxane 6 hr to give 87.5% II (R1 = R2 = Me) (III). The local anesthetic activity of III is stronger than that of novocaine. III is also an antiarrhythmic and oxytocic agent. Similarly prepd. were II (R1, NR22 given): Me, NEt2; Me, morpholino; Me, 4-methyl-1-piperazinyl; Ph, NMe2.

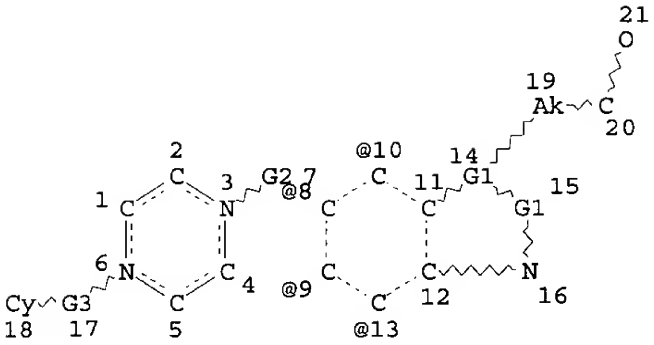
IT **55831-73-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 55831-73-7 CAPLUS

CN 3-Benzofurancarboxylic acid, 4-chloro-5-hydroxy-2-methyl-6-[(4-methyl-1-piperazinyl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



L3 HAS NO ANSWERS
L3 STR



VAR G1=C/N
VAR G2=10/8/9/13
REP G3=(0-1) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 3 14
NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE

=> s l3 ful
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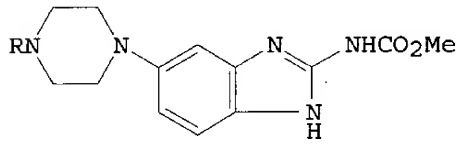
100.0% PROCESSED 35496 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.07

L5 0 SEA SSS FUL L3

AN 1990:48268 CAPLUS
DN 112:48268
TI Piperazine derivatives of benzimidazole as potential anthelmintics. Part 1: Synthesis and activity of methyl 5-(4-substituted piperazin-1-yl)benzimidazole-2-carbamates
AU Sanchez-Alonso, R. M.; Ravina, E.; Santana, L.; Garcia-Mera, G.; Sanmartin, M.; Baltar, P.
CS Fac. Pharm., Univ. Santiago de Compostela, Santiago de Compostela, 15706, Spain
SO Pharmazie (1989), 44(9), 606-7
CODEN: PHARAT; ISSN: 0031-7144
DT Journal
LA English
GI



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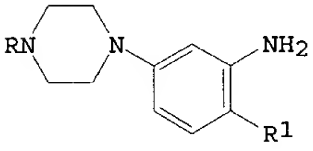
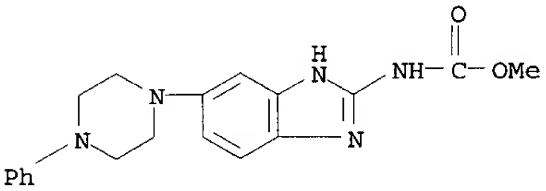


II, R^1=NO_2
III, R^1=NH_2

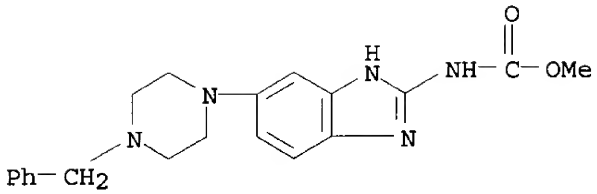
AB A series of I (R = Me, Ph, o-MeOC6H4, or PhCH2) were prepd. by treatment of 5-chloro-2-nitroaniline with piperazines giving II which were reduced with Pd/C to give III; cyclization of III with 1,3-dicarbomethoxy-S-methylisothiourea then yielded I. The anthelmintic activity of the compds. depends on the nature of substituents on the N-4 of the piperazine. Aryl or alkyl groups directly attached to the N do not improve the biol. profile of these compds.

IT **124802-81-9P 124850-83-5P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and anthelmintic activity of)

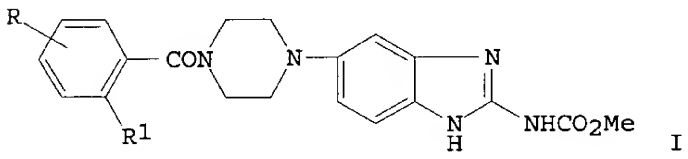
RN 124802-81-9 CAPLUS
CN Carbamic acid, [5-(4-phenyl-1-piperazinyl)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 124850-83-5 CAPLUS
CN Carbamic acid, [5-[4-(phenylmethyl)-1-piperazinyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)


O
H
N
NH— C— OMe
N
N
N
Ph— CH2

AN 1994:54512 CAPLUS
DN 120:54512
TI Synthesis and potential anthelmintic activity of methyl 5-(4-salicyloylpiperazin-1-yl)benzimidazole-2-carbamates
AU Ravina, E.; Sanchez-Alonso, R.; Fueyo, J.; Baltar, M. P.; Bos, J.; Iglesias, R.; Sanmartin, M. L.
CS Fac. Pharma., Univ. Santiago de Compostela, Spain
SO Arzneim.-Forsch. (1993), 43(6), 689-94
CODEN: ARZNAD; ISSN: 0004-4172
DT Journal
LA English
GI

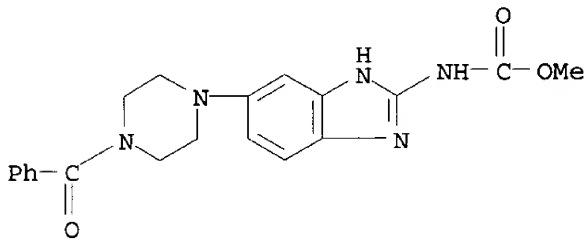


I

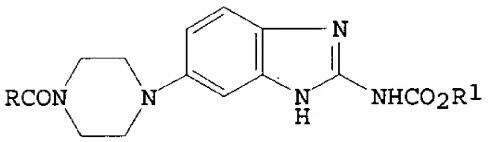
AB Title compds. I (R = H, 5-Cl, 3,5-Cl2, 5-Br, R1 = OH; R = R1 = H) have been synthesized starting from 5-piperazino-2-nitroanilines and salicyloyl chlorides via 5-(4-salicyloylpiperazin-1-yl)-2-nitroanilines (II) . Catalytic redn. of II with Pd/C, followed by treatment with 1,3-dicarbomethoxy-S-methylisothiourea, yielded I. I (R = R1 = H) significantly reduced the nos. of preadults, adults and encysted Trichinella spiralis larvae in exptl. mice.

IT **98526-57-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and anthelmintic activity of)

RN 98526-57-9 CAPLUS
CN Carbamic acid, [5-(4-benzoyl-1-piperazinyl)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



AN 1985:560444 CAPLUS
DN 103:160444
TI Synthesis and anthelmintic activity of 5(6)-[(benzimidazol-2-yl)carboxamido]- and (4-substituted piperazin-1-yl)benzimidazoles
AU Dubey, Rashmi; Abuzar, Syed; Sharma, Satyavan; Chatterjee, R. K.; Katiyar, J. C.
CS Parasitol. Div., Cent. Drug Res. Inst., Lucknow, 226001, India
SO J. Med. Chem. (1985), 28(11), 1748-50
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 103:160444
GI



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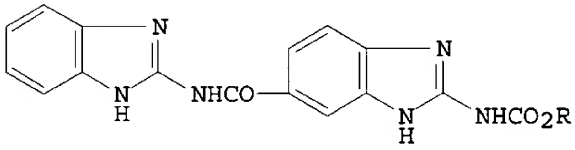
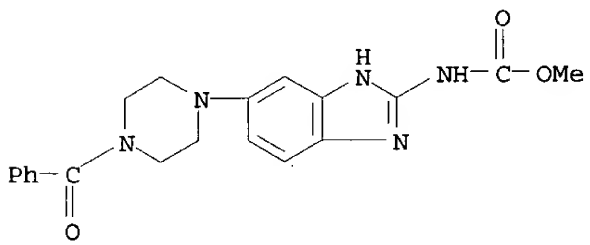


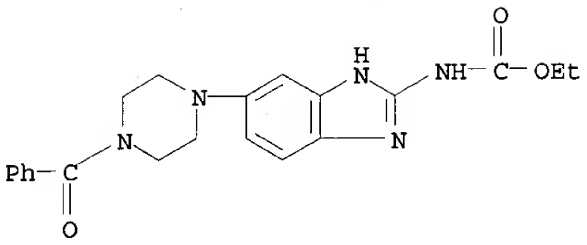
V

AB Ten title compds. [I; R, R1 = Ph, Me (II); Ph, Et; cyclohexyl, Me; 2-furyl, Me (III); 2-furyl, Et; Et2N, Me (IV); p-[MeO2CNHC(:NCO2Me)NH]C6H4 (Q), Me; Q, Et; 2-pyrazinyl, Me] were prepd. II-IV showed strong anthelmintic activity; the others were inactive. Also prepd. were the inactive analogs V (R = Me, Et).

IT **98526-57-9P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and anthelmintic activity of)
RN 98526-57-9 CAPLUS
CN Carbamic acid, [5-(4-benzoyl-1-piperazinyl)-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

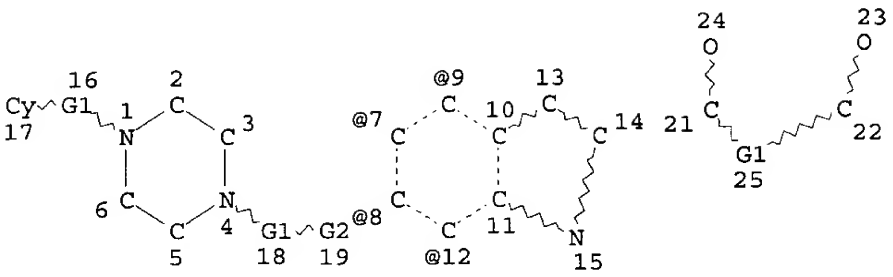


IT **98526-58-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and anthelmintic inactivity of)
RN 98526-58-0 CAPLUS
CN Carbamic acid, [5-(4-benzoyl-1-piperazinyl)-1H-benzimidazol-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)


O
H
N
NH
C
OEt
N
N
N
Ph
C
O

AN 1999:17724 CAPLUS
DN 130:237382
TI Structure-Immunosuppressive Activity Relationships of New Analogs of 15-Deoxyspergualin. 1. Structural Modifications of the Hydroxyglycine Moiety
AU Lebreton, Luc; Annat, Jocelyne; Derrepas, Philippe; Dutartre, Patrick; Renaut, Patrice
CS Laboratoires Fournier S.A. Axe Immunologie, Daix, 21121, Fr.
SO Journal of Medicinal Chemistry (1999), 42(2), 277-290
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB A series of new analogs of 15-deoxyspergualin (DSG), an immunosuppressive agent currently commercialized in Japan, was synthesized and tested in a graft-vs.-host disease (GVHD) model in mice. Using the general concept of **bioisosteric** replacement, variations of the hydroxyglycine central "C" region were made in order to det. its optimum structure in terms of in vivo immunosuppressive activity. By this way, the malonic deriv. H2NC(=NH)NH(CH2)6NHCOCH2CONH(CH2)4NH(CH2)3NH2 (I) was discovered as the first example of a new series of potent immunosuppressive agents encompassing a retro-amide bond linked to the hexyl-guanidino moiety. Structure-activity relationships of this series were studied by synthesizing compds. H2NC(=NH)NH(CH2)6NHCOACONH(CH2)4NH(CH2)3NH2 (II) [A = CH2, (Z)-CH=CH, (CH2)2, (CH2)3, bond, (E)-CH=CH, CH(CH2Ph), CH(Me), CH(OMe), CH2CH(OH), CH(Ph), C(CH2OH)2, CH(Et), C(Me)2, CH(NHAc), CH(NH2), C(OMe)2, CH(OCH2Ph), CH(OH), CH(F)]. Variation of the "right-amide" of I led to the urea H2NC(=NH)NH(CH2)6NHCOCH2NHCONH(CH2)4NH(CH2)3NH2 and the **carbamates** H2NC(=NH)NH(CH2)6NHCOCH2NHCOO(CH2)4NH(CH2)3NH2 and H2NC(=NH)NH(CH2)6NHCOCH2OCONH(CH2)4NH(CH2)3NH2 (III) which proved to be equally active as DSG in our GVHD model. III was found to be the most potent deriv., being slightly more active than DSG in a heart allotransplantation model in rats. Due to the absence of chiral center in its structure and to its improved chem. stability compared to DSG, III was selected as a candidate for clin. evaluation.
RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l4
L4 HAS NO ANSWERS
L4 STR



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VAR G2=9/7/8/12
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 4 14
NUMBER OF NODES IS 24

STEREO ATTRIBUTES: NONE

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FULL SCREEN SEARCH COMPLETED - 13272 TO ITERATE

100.0% PROCESSED 13272 ITERATIONS 49 ANSWERS
SEARCH TIME: 00.00.02

L6 49 SEA SSS FUL L4

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	282.84	283.26

FILE 'CAPLUS' ENTERED AT 14:52:02 ON 29 MAY 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 29 May 2002 VOL 136 ISS 22
FILE LAST UPDATED: 27 May 2002 (20020527/ED)

This file contains CAS Registry Numbers for easy and accurate

substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l6
L7 2 L6

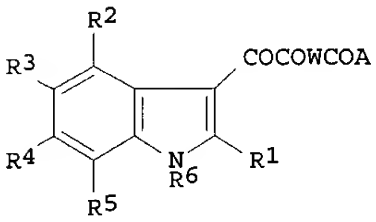
=> d bib abs 1-2

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2002 ACS
AN 2002:51452 CAPLUS
DN 136:118470
TI Preparation of substituted indoleoxoacetylpiperazines with antiviral activity against HIV-1
IN Wallace, Owen B.; Wang, Tao; Yeung, Kap-Sun; Pearce, Bradley C.; Meanwell, Nicholas A.; Qiu, Zhilei; Fang, Haiquan; Xue, Qiufen May; Yin, Zhiwei
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 277 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002004440	A1	20020117	WO 2001-US20300	20010626

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 2000-217444P P 20000710
US 2001-265978P P 20010202
OS MARPAT 136:118470
GI



I

AB Indoleoxoacetylpiperazines I [A = (un)substituted alkoxy, aryl, heteroaryl; W = (un)substituted piperazino; R1 = H; R2-R5 = H, halogen, CN, NO2, (un)substituted NH2, OH, (un)substituted alkyl, cycloalkyl, alkoxy, CO2H, acyl, carbamoyl, amidino, aryl, heteroaryl, heterocyclic; R6 = H, alkyl] and their 2,3-dihydroindole analogs were prepd. for use as virucides in the treatment of HIV and AIDS. Thus, 2-bromo-5-fluoronitrobenzene was cyclized with CH2:CHMgBr to give 4-fluoro-7-bromoindole, which was treated with ClCOCO2Et, followed by ester hydrolysis to give 4-fluoro-7-bromo-3-indoleglyoxylic acid. This

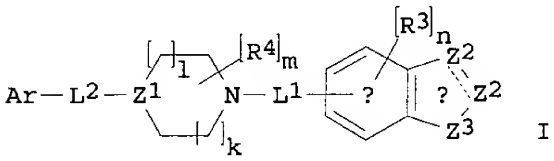
acid was amidated with N-benzoylpiperazine and treated with PhSnBu3 to give I [A = R5 = Ph, W = piperazino, R1, R3, R4, R6 = H, R2 = F]. This compd. gave >98% inhibition of HIV-1 infection in HeLa cells.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2002 ACS
AN 2000:842127 CAPLUS
DN 134:17503
TI Preparation of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as inhibitors of p38 kinase
IN Mavunkel, Babu J.; Chakravarty, Sarvajit; Perumattam, John J.; Dugar, Sundeep; Lu, Qing; Liang, Xi
PA Scios Inc., USA
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000071535	A1	20001130	WO 2000-US14003	20000519
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1178983	A1	20020213	EP 2000-939322	20000519
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 2000011274	A	20020226	BR 2000-11274	20000519
	NO 2001005655	A	20020118	NO 2001-5655	20011120
PRAI	US 1999-316761	A	19990521		
	US 1999-154594P	P	19990917		
	US 2000-202608P	P	20000509		
	WO 2000-US14003	W	20000519		

OS MARPAT 134:17503
GI



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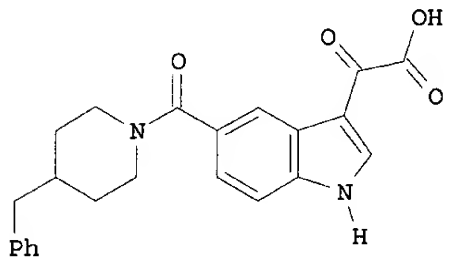
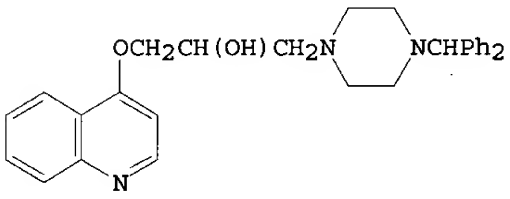


II

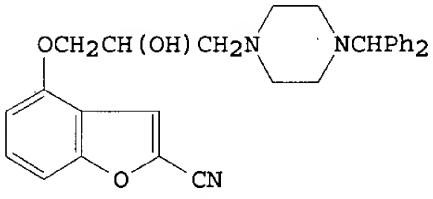
AB The title compds. [I; one Z2 = CA, CR8A and the other = CR1, CR12, NR6, N (wherein R1, R6, R8 = H, noninterfering substituent; A = WiCOXjY; Y = COR2, an isostere; R2 = H, noninterfering substituent; W, X = spacer of 2-6.ANG.; i, j = 0-1); Z3 = NR7, O; R3 = noninterfering substituent; n = 0-3; L1, L2 = linker; R4 = noninterfering substituent; m = 0-4; Z1 = CR5, N (R5 = H, noninterfering substituent); l, k = 0-2, wherein the sum of l and k = 0-3; Ar = aryl substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; the distance between the atom of Ar linked to L2 and the center of the .alpha. ring is 4.5-24.ANG.] which inhibit p38-.alpha. kinase (biol. data given), were prepd. Thus, treating 6-methoxy-(4-benzylpiperidinyl)-indole-5-carboxamide with oxalyl chloride in CH2Cl2 afforded the indole-5-carboxamide II.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

AN 1992:633976 CAPLUS
DN 117:233976
TI Synthesis and biological activity of 4-(diphenylmethyl)-.alpha.-[(4-quinolinyloxy)methyl]-1-piperazineethanol and related compounds
AU Sircar, Ila; Haleen, Steve J.; Burke, Sandra E.; Barth, Hubert
CS Parke-Davis Pharm. Res. Div., Warner-Lambert Co., Ann Arbor, MI, 48105, USA
SO Journal of Medicinal Chemistry (1992), 35(23), 4442-9
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
GI



I



II

AB A series of 4-(diphenylmethyl)-.alpha.-[(4-quinolinyloxy)methyl]-1-**piperazineethanol** and closely related compds. were synthesized and evaluated for **cardiac** and vascular activity in isolated perfused rat and guinea pig hearts. Thus, 1-(diphenylmethyl)**piperazine** was treated with epibromohydrin to give 1-(diphenylmethyl)-4-(2-oxiranylmethyl)**piperazine**, which was treated with 4-hydroxyquinoline to give the title compd. (I). I produced greater inotropic effects in rat hearts than in guinea pig hearts, a phenomenon which was also obsd. with the prototype agent DPI 201-106. The **benzofurancarbonitrile** II produced an inotropic effect with one-tenth the potency of compd. I. Both compds. I and II demonstrated direct inotropic and vasodilatory effects when administered i.v. in anesthetized dogs, although the vasodilatory activity was more pronounced with compd. II than I and DPI compd. Compd. I lacks the CN moiety which is a key structural requirement in DPI for pos. inotropic activity. The synthesis, in vitro, and in vivo evaluations of these agents, and comparative data with DPI-201-106 are reported.

AN 1987:156267 CAPLUS
DN **106:156267**
TI Heteroaromatic glyoxyloyl halides
IN Kato, Shozo; Suyama, Toshihisa
PA Tokuyama Soda Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 61291566	A2	19861222	JP 1985-131700	19850619
	JP 05029027	B4	19930428		
PRAI	JP 1985-131700		19850619		

AB The title compds., useful as intermediates for drugs and agrochems., were prepd. from heteroarom. compds. and oxalyl halides in high yield either under reflux or at low temp. by starting the reaction after or while introducing HX (X = halo). Thus, a soln. of 3-methylthiophene in CHCl3 was bubbled with HCl at 0.degree. for 10 min, then treated with ClCOCOCl at 0.degree. for 24 h under continuous HCl supply to give 44.2% 3-methyl-2-thiopheneglyoxyloyl chloride, compared with 0.3% without HCl. When the process was carried out under reflux, the yield was 93.4%.

L19 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:501465 CAPLUS
DN 127:120706
TI Jun **kinase** and **p38** MAP **kinase** regulation via CD40 signaling
IN Gelfand, Erwin W.; Johnson, Gary L.
PA National Jewish Center for Immunology and Respiratory Medicine, USA
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9722256	A1	19970626	WO 1996-US20731	19961219	<--
	W: CA, JP					
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
	US 6132978	A	20001017	US 1996-769747	19961219	<--
	US 2001055753	A1	20011227	US 2001-794258	20010227	<--
PRAI	US 1995-8877P	P	19951219			
	US 1996-769747	A3	19961219			
	US 1999-361436	B1	19990726			

AB The present invention discloses methods useful for identifying compds. capable of specifically controlling CD40 regulation of Jun N-terminal **kinase** or **p38** MAP **kinase** activity. In this method, CD40-expressing cells, following a stimulatory step, are assessed for responses to regulatory compds. whose effects are observable by way of their interference with **kinase** activation. Application of these compds. to inhibiting Ig heavy chain class switching, cytokine prodn. and activation of cells involved in an inflammatory response is described. The present invention also includes kits to perform such assays and methods to control disease related to such responses.

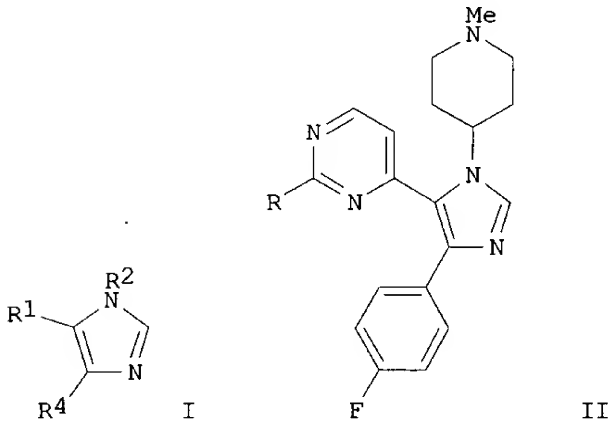
L19 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:119170 CAPLUS
DN 126:144274
TI Imidazole compounds useful as cytokine inhibitors.
IN Adams, Jerry Leroy; Gallagher, Timothy Francis; Sisko, Joseph; Peng, Zhi-Qiang; Osifo, Irennegbee Kelly; Boehm, Jeffrey Charles
PA Smithkline Beecham Corporation, USA; Adams, Jerry Leroy; Gallagher, Timothy Francis; Sisko, Joseph; Peng, Zhi-Qiang; Osifo, Irennegbee Kelly; Boehm, Jeffrey Charles
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9640143	A1	19961219	WO 1996-US10039	19960607	<--
	W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
	IL 118544	A1	20010808	IL 1996-118544	19960603	
	ZA 9604723	A	19970617	ZA 1996-4723	19960606	<--
	TW 442481	B	20010623	TW 1996-85106749	19960606	
	CA 2223533	AA	19961219	CA 1996-2223533	19960607	<--
	AU 9662726	A1	19961230	AU 1996-62726	19960607	<--

	AU 699646	B2	19981210			
	EP 831830	A1	19980401	EP 1996-921517	19960607	
	EP 831830	B1	20030305			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI					
	CN 1192147	A	19980902	CN 1996-195882	19960607	
	BR 9608591	A	19990105	BR 1996-8591	19960607	
	JP 11513017	T2	19991109	JP 1996-502174	19960607	
	AT 233561	E	20030315	AT 1996-921517	19960607	
	EP 1314728	A1	20030528	EP 2002-79535	19960607	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI					
	PL 185515	B1	20030530	PL 1996-323916	19960607	
	NO 9705716	A	19980204	NO 1997-5716	19971205	
	US 6218537	B1	20010417	US 1998-973594	19980513 <--	
PRAI	US 1995-473396	A	19950607			
	US 1996-636779	A	19960419			
	WO 1996-US10039	W	19960607			
	EP 1996-921517	A3	19961219			

OS MARPAT 126:144274

GI



I II

AB Novel 1,4,5-trisubstituted imidazole compds. I and their compns. for use in therapy as cytokine inhibitors are disclosed [wherein R1 = 4-pyridyl, pyrimidinyl, quinolyl, isoquinolyl, quinazolin-4-yl, 1-imidazolyl, 1-benzimidazolyl, all bearing a substituted amino group, plus an optional addnl. substituent; R2 = alkyl, N3, heterocyclyl, alk(en/yn)yl, haloalkyl, etc.; R4 = (un)substituted Ph, 1- or 2-naphthyl, heteroaryl]. I are useful for treating a variety of cytokine-mediated diseases, particularly those mediated by CSBP/RK/**p38 kinase**, and may also be useful as antivirals (no data). For example, 2-(methylthio)pyrimidine-4-carboxaldehyde (prepn. given) was condensed with 4-amino-1-methylpiperidine-2HCl to give the imine (98%), which was cyclized with the tosylmethyl isocyanide deriv. 4-FC6H4CH(Tos)N.tplbond.C (50%) to give imidazole deriv. II [R = SMe]. This underwent S-oxidn. with K persulfate to give 83% II [R = S(O)Me], which was condensed with PhCH2NH2 (82%) to give title compd. II [R = NHCH2Ph].

L19 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:522526 CAPLUS
DN 137:77891
TI Tumor necrosis factor-gamma
IN Yu, Guo-liang; Ni, Jian; Rosen, Craig A.; Zhang, Jun
PA USA
SO U.S. Pat. Appl. Publ., 105 pp., Cont.-in-part of U.S. Ser. No. 131,237.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002090683	A1	20020711	US 1999-246129	19990208 <--
	WO 9614328	A1	19960517	WO 1994-US12880	19941107 <--
	W: AU, CA, CN, JP, KR, NZ, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 2003027284	A1	20030206	US 1998-131237	19980807 <--
	US 6599719	B2	20030729		
	US 2002150534	A1	20021017	US 2001-899059	20010706 <--
	US 2003129189	A1	20030710	US 2002-226294	20020823 <--
PRAI	WO 1994-US12880	A2	19941107		
	US 1995-461246	B2	19950605		
	US 1998-5020	B2	19980109		
	US 1998-74047P	P	19980209		
	US 1998-131237	A2	19980807		
	US 1999-246129	A2	19990208		
	US 1999-131963P	P	19990430		
	US 1999-132227P	P	19990503		
	US 1999-134067P	P	19990513		
	US 2000-180908P	P	20000208		
	US 2000-559290	B2	20000427		
	WO 2000-US11689	A2	20000428		
	US 2000-216879P	P	20000707		
	US 2001-278449P	P	20010326		
	US 2001-899059	A2	20010706		
	US 2001-314381P	P	20010824		

AB The authors disclose the sequence characterization, tissue expression, and biol. activity of human tumor necrosis factor-.gamma. (TNF-.gamma.) isoforms. The authors demonstrate that these polypeptides inhibit tumor cell growth and induce apoptosis of vascular endothelial cells. The TNF-.gamma. isoforms are also shown to be ligands for DR3.

L19 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:933088 CAPLUS
DN 136:66201
TI Mouse mitogen-activated protein **kinase** kinases **kinase** for regulating cell responsiveness to external signals
IN Johnson, Gary L.
PA National Jewish Center for Immunology and Respiratory Medicine, USA
SO U.S., 125 pp., Cont.-in-part of U.S. Ser. No. 440,421, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6333170	B1	20011225	US 1996-628829	19960405 <--
	US 5405941	A	19950411	US 1993-49254	19930415 <--
	US 5854043	A	19981229	US 1994-323460	19941014 <--

	US 5753446	A	19980519	US 1995-472934	19950606 <--
PRAI	US 1993-49254	A2	19930415		
	US 1993-49254	A2	19930415		
	US 1994-323460	A2	19941014		
	US 1995-410602	B2	19950324		
	US 1995-440421	B2	19950512		
	US 1995-472934	A2	19950606		
	US 1995-354516	B2	19950221		

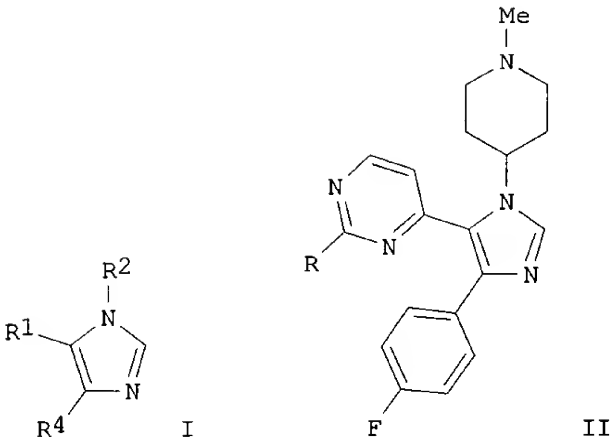
AB The present invention relates to isolated MEKK proteins, nucleic acid mols. having sequences that encode such proteins, and antibodies raised against such proteins. The present invention also includes methods to use such proteins to regulate signal transduction in a cell. The present invention also includes therapeutic compns. comprising such proteins or nucleic acid mols. that encode such proteins and their use to treat animals having medical disorders including cancer, inflammation, neurol. disorders, autoimmune diseases, allergic reactions, and hormone-related diseases. When MEKK is expressed, it phosphorylates and activates MKKs 1-4 (also referred to as MEK-1, MEK-2 and JNKK1 and JNKK2).

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:278036 CAPLUS
DN 134:295821
TI Imidazole compounds useful as cytokine inhibitors.
IN Adams, Jerry Leroy; Gallagher, Timothy Francis; Sisko, Joseph; Osifo, Irennegbe Kelly; Boehm, Jeffrey Charles
PA Smithkline Beecham Corporation, USA
SO U.S., 33 pp., Cont.-in-part of U.S. Ser. No. 636,779, fabandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6218537	B1	20010417	US 1998-973594	19980513 <--
	ZA 9604723	A	19970617	ZA 1996-4723	19960606 <--
	WO 9640143	A1	19961219	WO 1996-US10039	19960607 <--
	W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	EP 1314728	A1	20030528	EP 2002-79535	19960607
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
PRAI	US 1995-473396	A2	19950607		
	US 1996-636779	B2	19960419		
	WO 1996-US10039	W	19960607		
	EP 1996-921517	A3	19961219		

OS CASREACT 134:295821; MARPAT 134:295821
GI



I II

AB Novel 1,4,5-trisubstituted imidazole compds. I and their compns. for use in therapy as cytokine inhibitors are disclosed [wherein R1 = 4-pyridyl, pyrimidinyl, quinolyl, isoquinolyl, quinazolin-4-yl, 1-imidazolyl, 1-benzimidazolyl, all bearing a substituted amino group, plus an optional addnl. substituent; R2 = alkyl, N3, heterocyclyl, alk(en/yn)yl, haloalkyl, etc.; R4 = (un)substituted Ph, 1- or 2-naphthyl, heteroaryl]. I are useful for treating a variety of cytokine-mediated diseases, particularly those mediated by CSBP/RK/**p38 kinase**, and may also be useful as antivirals (no data). For example, 2-(methylthio)pyrimidine-4-carboxaldehyde (prepn. given) was condensed with 4-amino-1-methylpiperidine-2HCl to give the imine (98%), which was cyclized with the tosylmethyl isocyanide deriv. 4-FC6H4CH(Tos)N.tplbond.C (50%) to give imidazole deriv. II [R = SMe]. This underwent S-oxidn. with K persulfate to give 83% II [R = S(O)Me], which was condensed with PhCH2NH2 (82%) to give title compd. II [R = NHCH2Ph].

RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:21637 CAPLUS
DN 130:92115
TI New mitogen-activated protein **kinase** kinases and cDNAs encoding them and their use in treatment of immune disorders
IN Johnson, Gary L.
PA National Jewish Center for Immunology and Respiratory Medicine, USA
SO U.S., 96 pp., Cont.-in-part of U.S. 5,405,941.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5854043	A	19981229	US 1994-323460	19941014 <--
	US 5405941	A	19950411	US 1993-49254	19930415 <--
	CA 2160548	AA	19941027	CA 1994-2160548	19940415 <--
	US 5981265	A	19991109	US 1995-461146	19950605 <--
	US 6074861	A	20000613	US 1995-461145	19950605 <--
	US 5753446	A	19980519	US 1995-472934	19950606 <--
	US 6333170	B1	20011225	US 1996-628829	19960405 <--
PRAI	US 1993-49254	A2	19930415		
	WO 1994-US11690	A2	19940415		
	US 1994-323460	A2	19941014		
	WO 1994-US4178	A2	19941014		
	US 1995-354516	B2	19950221		

US 1995-410602 B2 19950324
US 1995-440421 A1 19950512
US 1995-472934 A2 19950606

AB New members of the MEKK family of mitogen-activated protein **kinase** kinases and cDNAs encoding them are described and antibodies raised against the enzymes. These enzymes may be targets for regulation of signal transduction in a cell. In particular, they may be used as targets in the treatment of medical disorders including cancer, inflammation, neurol. disorders, autoimmune diseases, allergic reactions, and hormone-related diseases. Partial cDNAs were cloned by RT-PCR using inosine-contg. primers derived from conserved sequences of the Ste11 and Byr2 genes. A full-length cDNA was cloned and primers derived from this were used to obtain partial and complete cDNAs for further isoenzymes.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:219308 CAPLUS
DN 128:253825
TI Cloning of cDNA for cytokine-, stress-, and oncoprotein-activated human protein **kinase** kinases and their clinical applications
IN Davis, Roger J.; Gupta, Shashi; Raingeaud, Joel; Derijard, Benoit
PA USA
SO U.S., 58 pp., Cont.-in-part of U.S. Ser. No. 446,083.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	US 5736381	A	19980407	US 1995-530950	19950919	<--
	US 5804427	A	19980908	US 1995-446083	19950519	<--
	CA 2219487	AA	19961121	CA 1996-2219487	19960126	<--
	WO 9636642	A1	19961121	WO 1996-US1078	19960126	<--
	W: AU, CA, JP, KR, MX					
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
	AU 9649046	A1	19961129	AU 1996-49046	19960126	<--
	AU 710877	B2	19990930			
	EP 830374	A1	19980325	EP 1996-905233	19960126	
	EP 830374	B1	20020717			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE					
	JP 2002503946	T2	20020205	JP 1996-534787	19960126	
	AT 220719	E	20020815	AT 1996-905233	19960126	
	EP 1251177	A2	20021023	EP 2002-15784	19960126	
	EP 1251177	A3	20030423			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE					
	ES 2179178	T3	20030116	ES 1996-905233	19960126	
	US 6136596	A	20001024	US 1997-888429	19970707	<--
	US 6541605	B1	20030401	US 1998-57009	19980407	<--
	US 6174676	B1	20010116	US 1998-149879	19980908	<--
	US 6610523	B1	20030826	US 2000-593653	20000613	<--
	US 2002102691	A1	20020801	US 2001-761569	20010116	<--
	US 2003129606	A1	20030710	US 2002-137953	20020503	<--
PRAI	US 1995-446083	A2	19950519			
	US 1995-530950	A	19950919			
	EP 1996-905233	A3	19960126			
	WO 1996-US1078	W	19960126			
	US 1997-888429	A3	19970707			
	US 1998-57009	A1	19980407			
	US 1998-149879	A1	19980908			
	US 2000-593653	A1	20000613			

AB Disclosed are the cDNA encoding human mitogen-activated (MAP)

kinase kinase isoforms (MKKs) MKK3, MKK4-.alpha., MKK4-.beta., MKK4.gamma. (all from brain), and MKK6 (from skeletal muscle). MKKs mediate unique signal transduction pathways that activate human MAP kinases **p38** and JNK, which result in activation of other factors, including activating transcription factor-2 (ATF2) and c-Jun. The pathways are activated by a no. of factors, including cytokines and environmental stress. Methods are provided for identifying reagents that modulate MKK function or activity and for the use of such reagents in the treatment of MKK-mediated disorders consisting of ischemic heart failure, kidney failure, etc.

RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:805885 CAPLUS
DN 128:47305
TI Regulation of cytokine production in a hematopoietic cell
IN Gelfand, Erwin W.; Johnson, Gary L.
PA National Jewish Medical and Research Center, USA
SO PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9745736	A1	19971204	WO 1997-US9102	19970530	<--
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
	US 5910417	A	19990608	US 1996-656563	19960531	<--
	AU 9735672	A1	19980105	AU 1997-35672	19970530	
	US 6495331	B1	20021217	US 1999-305720	19990505	<--
	US 2003129752	A1	20030710	US 2002-193657	20020710	<--
PRAI	US 1996-656563	A	19960531			
	WO 1997-US9102	W	19970530			
	US 1999-305720	A1	19990505			

AB A method useful for regulating cytokine prodn. by a hematopoietic cell by regulating an MEKK/JNKK-contingent signal transduction pathway in such a cell is disclosed. Methods of identifying compds. capable of specifically regulating an MEKK/JNKK-contingent signal transduction pathway in hematopoietic cells, a kit for identifying cytokine regulators, methods to treat diseases involving cytokine prodn., and cells useful in such methods are also described.

L19 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:776270 CAPLUS
DN 128:44682
TI Structure and applications of mitogen-activated protein **kinase p38**-2
IN Stein, Bernd; Yang, Maria X. H.; Young, David B.; Barbosa, Miguel S.; Belardetti, Francesco; Wilk-Blaszczak, M. A.; Cobb, Melanie
PA Signal Pharmaceuticals, Inc., USA; University of Texas Southwestern Medical Center
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent

LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9744467	A1	19971127	WO 1997-US8738	19970520	<--
	W: AL, AM, AT, AU, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
	RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
	US 5948885	A	19990907	US 1996-651940	19960520	<--
	AU 9731397	A1	19971209	AU 1997-31397	19970520	<--
	AU 736316	B2	20010726			
	EP 914450	A1	19990512	EP 1997-926689	19970520	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
	JP 2002515744	T2	20020528	JP 1997-542750	19970520	
	US 6444455	B1	20020903	US 1999-295029	19990420	<--
	US 2003059881	A1	20030327	US 2002-197315	20020716	<--
	US 2003054528	A1	20030320	US 2002-198343	20020718	<--
PRAI	US 1996-651940	A	19960520			
	US 1997-840082	A	19970409			
	WO 1997-US8738	W	19970520			
	US 1999-295029	A1	19990420			
	US 2000-724768	A3	20001128			

AB Compns. and methods are provided for the treatment of conditions assocd. with mitogen-activated protein **kinase** cascades. In particular, the mitogen-activated protein **kinase p38**-2, and polypeptide variants thereof that stimulate phosphorylation and activation of substrates such as ATF2, are provided. The polypeptides may be used, for example, to identify antibodies and other agents that inhibit signal transduction via the **p38**-2 **kinase** cascade. The polypeptides and agents may be used in a variety of methods, such as in the redn. of pain sensations.

L19 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:650347 CAPLUS
DN 127:314828
TI 1,4,5-Substituted imidazole compounds for treatment of CNS injuries to the brain
IN Feuerstein, Giora Z.
PA Smithkline Beecham Corporation, USA; Feuerstein, Giora Z.
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 9735856	A1	19971002	WO 1997-US5820	19970324	<--
	W: JP, US					
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
	EP 889888	A1	19990113	EP 1997-917899	19970324	
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO					
	JP 2000507558	T2	20000620	JP 1997-534693	19970324	
	US 6096739	A	20000801	US 1998-142877	19980918	<--
	US 6387898	B1	20020514	US 2000-627940	20000728	<--
PRAI	US 1996-14137P	P	19960325			

WO 1997-US5820 W 19970324
US 1998-142877 A3 19980918
OS MARPAT 127:314828
AB 1,4,5-Substituted imidazole compds. and compns. are used for the treatment of CNS injuries to the brain. The preferred method of inhibition is the the inhibition of the CSBP/**p38**/RK **kinase** pathway. Compds. of the invention were active (IC50<50 .mu.M) in a cytokine specific binding protein (CSBP) assay.

L19 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:650346 CAPLUS
DN 127:314827
TI 2,4,5-Substituted imidazole compounds for treatment of CNS injuries to the brain
IN Feuerstein, Giora Z.
PA Smithkline Beecham Corporation, USA; Feuerstein, Giora Z.
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9735855	A1	19971002	WO 1997-US4702	19970324 <--
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 889887	A1	19990113	EP 1997-917595	19970324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
JP 2000507545	T2	20000620	JP 1997-534521	19970324
US 6235760	B1	20010522	US 1998-155029	19980917 <--
PRAI US 1996-14138P	P	19960325		
WO 1997-US4702	W	19970324		

OS MARPAT 127:314827
AB 2,4,5-Substituted imidazole compds. and compns. are disclosed for the treatment of CNS injuries to the brain. The preferred method of inhibition is the the inhibition of the CSBP/**p38**/RK **kinase** pathway. Compds. of the invention were active in a cytokine specific binding protein (CSBP) assay, generally with IC50<50 .mu.M.

L19 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:625647 CAPLUS
DN 127:257607
TI MAPKAP **kinase**-3 for identification of pharmaceutically active compounds
IN Mclaughlin, Megan Mchale; Kumar, Sanjay; Livi, George Petro; Young, Peter Ronald
PA Smithkline Beecham Corporation, USA; Mclaughlin, Megan Mchale; Kumar, Sanjay; Livi, George Petro; Young, Peter Ronald
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9734137	A2	19970918	WO 1997-US4256	19970312 <--
WO 9734137	A3	19971023		
W: CN, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1017980	A2	20000712	EP 1997-916803	19970312

R: BE, CH, DE, DK, FR, GB, IT, LI, NL

	JP 2000510327	T2	20000815	JP 1997-532910	19970312
	US 6218136	B1	20010417	US 1998-142551	19980910 <--
PRAI	US 1996-13286P	P	19960312		
	WO 1997-US4256	W	19970312		

AB CSBP/**p38** is a MAP **kinase** that is activated in response to stress, endotoxin, interleukin 1, and tumor necrosis factor. Using a catalytically inactive mutant (D168A) of human CSBP2 as the bait in a yeast two-hybrid screen, a **kinase** has been cloned which shares approx. 70 % amino acid identity to MAPKAP **kinase**-2, and thus was designated MAPKAP **kinase**-3. The binding of CSBP to MAPKAP **kinase**-3 was confirmed in vitro by the pptn. of epitope-tagged CSBP1, CSBP2 and CSBP2(D168A) and endogenous CSBP from mammalian cells by a bacterially-expressed GST-MAPKAP **kinase**-3 fusion protein and in vivo by co-pptn. of the epitope-tagged proteins co-expressed in HeLa cells. MAPKAP **kinase**-3 was phosphorylated by both CSBP1 and CSBP2, and was then able to phosphorylate HSP27 in vitro. Treatment of HeLa cells with sorbitol or TNF resulted in activation of CSBP and MAPKAP **kinase**-3 and activation of MAPKAP **kinase**-3 could be blocked by pre-incubation of cells with 4-(4-Fluorophenyl)-2-(4-methylsulfinylphenyl)-5-(4-pyridyl)-1H-imidazole, a specific inhibitor of CSBP **kinase** activity. These data suggest that MAPKAP **kinase**-3 is activated by stress and cytokines and is a novel substrate of CSBP both in vitro and in vivo. The use of MAPKAP **kinase**-3 in screens for the identification of pharmaceutically active compds. is disclosed.

L19 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:623164 CAPLUS
DN 127:288175
TI Pyrimidine compounds useful in inhibiting CSBP **kinase** and treating cytokine-mediated diseases
IN Gallagher, Timothy F.; Thompson, Susan M.
PA Smithkline Beecham Corporation, USA; Gallagher, Timothy F.; Thompson, Susan M.
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9733883	A1	19970918	WO 1997-US4121	19970313 <--
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 888335	A1	19990107	EP 1997-915098	19970313
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
	JP 2000506532	T2	20000530	JP 1997-532888	19970313
	US 6096748	A	20000801	US 1998-142719	19980914 <--
	US 6528512	B1	20030304	US 2000-602722	20000626 <--
PRAI	US 1996-13357P	P	19960313		
	US 1996-13358P	P	19960313		
	US 1996-13359P	P	19960313		
	WO 1997-US4121	W	19970313		
	US 1998-142719	A3	19980914		

OS MARPAT 127:288175
AB Amino-substituted pyrimidine compds. (Markush included) are disclosed, as are pharmaceutical compns. comprising these compds. and a pharmaceutically acceptable diluent or carrier. Also disclosed is a method of inhibiting CSBP **kinase** and cytokines mediated by this **kinase**, for the treatment of cytokine-mediated diseases in mammals by administration of a compd. of the invention.

L19 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:501488 CAPLUS
DN 127:132731
TI Mitogen-activated protein **kinase kinase** MEK6 that activates the **p38** MAP **kinase** and its biological roles and uses
IN Stein, Bernd; Yang, Maria X. H.
PA Signal Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9722704	A1	19970626	WO 1996-US20233	19961220 <--
	W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6074862	A	20000613	US 1995-576240	19951220 <--
	AU 9714367	A1	19970714	AU 1997-14367	19961220 <--
	US 2003175928	A1	20030918	US 2003-406730	20030402 <--
PRAI	US 1995-576240	A	19951220		
	WO 1996-US20233	W	19961220		
	US 2000-593288	A1	20000613		

AB A new mitogen-activated protein **kinase kinase** (MEK6) that activates mitogen-activated protein **kinase p38** is identified and characterized. This enzyme may be a target for treatment of diseases assocd. with the **p38** cascade and to identify antibodies and other agents that inhibit or activate signal transduction via **p38**. An EST encoding a homolog of the MKK3 **kinase** was identified by sequence similarity searching of the GenBank EST database. The sequence was used to design primers that were used to clone a partial cDNA from Jurkat cells. Northern blots showed the mRNA to be abundant in skeletal muscle, heart and pancreas. In vitro assays showed that the enzyme phosphorylated **p38** and activated it. The enzyme was shown to be activated by stress, but activators of the ERK pathway did not affect it. Constitutively active analogs in which the Ser/Thr of the dual phosphorylation motif SVAKT were substituted by Glu or Asp were prepd. A specific isoenzyme of **p38** (**p38**-2) was identified as the substrate for MEK6.

L19 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:501465 CAPLUS
DN 127:120706
TI Jun **kinase** and **p38** MAP **kinase** regulation via CD40 signaling
IN Gelfand, Erwin W.; Johnson, Gary L.
PA National Jewish Center for Immunology and Respiratory Medicine, USA
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

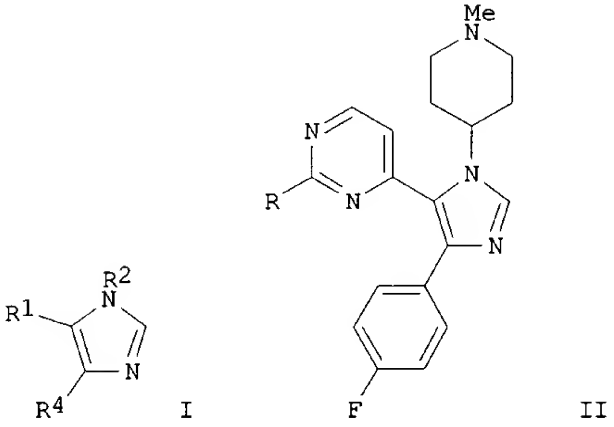
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 9722256 A1 19970626 WO 1996-US20731 19961219 <--
W: CA, JP
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
US 6132978 A 20001017 US 1996-769747 19961219 <--
US 2001055753 A1 20011227 US 2001-794258 20010227 <--
PRAI US 1995-8877P P 19951219
US 1996-769747 A3 19961219
US 1999-361436 B1 19990726
AB The present invention discloses methods useful for identifying compds. capable of specifically controlling CD40 regulation of Jun N-terminal **kinase** or **p38** MAP **kinase** activity. In this method, CD40-expressing cells, following a stimulatory step, are assessed for responses to regulatory compds. whose effects are observable by way of their interference with **kinase** activation. Application of these compds. to inhibiting Ig heavy chain class switching, cytokine prodn. and activation of cells involved in an inflammatory response is described. The present invention also includes kits to perform such assays and methods to control disease related to such responses.

L19 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:119170 CAPLUS
DN 126:144274
TI Imidazole compounds useful as cytokine inhibitors.
IN Adams, Jerry Leroy; Gallagher, Timothy Francis; Sisko, Joseph; Peng, Zhi-Qiang; Osifo, Irennegbee Kelly; Boehm, Jeffrey Charles
PA Smithkline Beecham Corporation, USA; Adams, Jerry Leroy; Gallagher, Timothy Francis; Sisko, Joseph; Peng, Zhi-Qiang; Osifo, Irennegbee Kelly; Boehm, Jeffrey Charles
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9640143	A1	19961219	WO 1996-US10039	19960607 <--
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IL 118544	A1	20010808	IL 1996-118544	19960603
ZA 9604723	A	19970617	ZA 1996-4723	19960606 <--
TW 442481	B	20010623	TW 1996-85106749	19960606
CA 2223533	AA	19961219	CA 1996-2223533	19960607 <--
AU 9662726	A1	19961230	AU 1996-62726	19960607 <--
AU 699646	B2	19981210		
EP 831830	A1	19980401	EP 1996-921517	19960607
EP 831830	B1	20030305		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
CN 1192147	A	19980902	CN 1996-195882	19960607
BR 9608591	A	19990105	BR 1996-8591	19960607
JP 11513017	T2	19991109	JP 1996-502174	19960607
AT 233561	E	20030315	AT 1996-921517	19960607
EP 1314728	A1	20030528	EP 2002-79535	19960607
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
PL 185515	B1	20030530	PL 1996-323916	19960607
NO 9705716	A	19980204	NO 1997-5716	19971205
US 6218537	B1	20010417	US 1998-973594	19980513 <--

PRAI US 1995-473396 A 19950607
US 1996-636779 A 19960419
WO 1996-US10039 W 19960607
EP 1996-921517 A3 19961219
OS MARPAT 126:144274
GI



I II

AB Novel 1,4,5-trisubstituted imidazole compds. I and their compns. for use in therapy as cytokine inhibitors are disclosed [wherein R1 = 4-pyridyl, pyrimidinyl, quinolyl, isoquinolyl, quinazolin-4-yl, 1-imidazolyl, 1-benzimidazolyl, all bearing a substituted amino group, plus an optional addnl. substituent; R2 = alkyl, N3, heterocyclyl, alk(en/yn)yl, haloalkyl, etc.; R4 = (un)substituted Ph, 1- or 2-naphthyl, heteroaryl]. I are useful for treating a variety of cytokine-mediated diseases, particularly those mediated by CSBP/RK/**p38 kinase**, and may also be useful as antivirals (no data). For example, 2-(methylthio)pyrimidine-4-carboxaldehyde (prepn. given) was condensed with 4-amino-1-methylpiperidine-2HCl to give the imine (98%), which was cyclized with the tosylmethyl isocyanide deriv. 4-FC6H4CH(Tos)N.tplbond.C (50%) to give imidazole deriv. II [R = SMe]. This underwent S-oxidn. with K persulfate to give 83% II [R = S(O)Me], which was condensed with PhCH2NH2 (82%) to give title compd. II [R = NHCH2Ph].

L19 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:67334 CAPLUS
DN 126:71211
TI Cloning of cDNA for cytokine-, stress-, and oncoprotein-activated human protein **kinase** kinases and their clinical applications
IN Davis, Roger J.; Gupta, Shashi; Raingeaud, Joel; Derijard, Benoit
PA Davis, Roger J., USA; Gupta, Shashi; Raingeaud, Joel; Derijard, Benoit
SO PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9636642	A1	19961121	WO 1996-US1078	19960126 <--
	W: AU, CA, JP, KR, MX				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5804427	A	19980908	US 1995-446083	19950519 <--

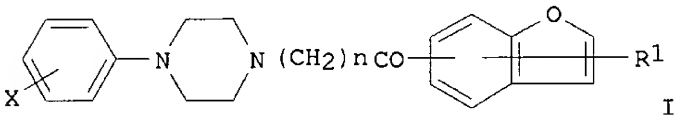
	US 5736381	A	19980407	US 1995-530950	19950919	<--
	AU 9649046	A1	19961129	AU 1996-49046	19960126	<--
	AU 710877	B2	19990930			
	EP 830374	A1	19980325	EP 1996-905233	19960126	
	EP 830374	B1	20020717			
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE					
	JP 2002503946	T2	20020205	JP 1996-534787	19960126	
	AT 220719	E	20020815	AT 1996-905233	19960126	
PRAI	US 1995-446083	A	19950519			
	US 1995-530950	A	19950919			
	WO 1996-US1078	W	19960126			

AB Disclosed are the cDNA encoding human mitogen-activated (MAP) **kinase kinase** isoforms (MKKs) MKK3, MKK4-.alpha., MKK4-.beta., MKK4.gamma. (all from brain), and MKK6 (from skeletal muscle). MKKs mediate unique signal transduction pathways that activate human MAP kinases **p38** and JNK, which result in activation of other factors, including activating transcription factor-2 (ATF2) and c-Jun. The pathways are activated by a no. of factors, including cytokines and environmental stress. Methods are provided for identifying reagents that modulate MKK function or activity and for the use of such reagents in the treatment of MKK-mediated disorders consisting of ischemic heart failure, kidney failure, etc.

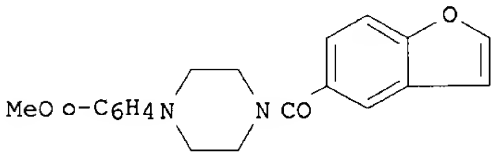
AN 1997:413949 CAPLUS
DN 127:34243
TI Preparation of benzofuran derivatives as antihypertensive agents
IN Takashima, Junko
PA Shensi Research Institute of Pharmacology, Peop. Rep. China; Mitsubishi Chemical Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 09124631	A2	19970513	JP 1994-11935	19940203

OS MARPAT 127:34243
GI



I

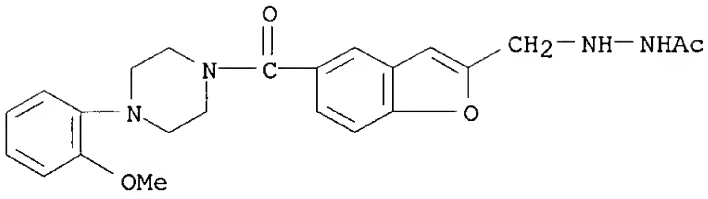


II

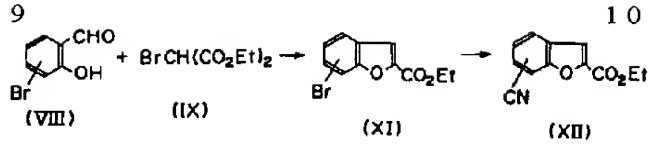
AB The title compds. [I; R1 = H, halo, C1-6 alkyl, etc.; X = H, halo, C1-6 alkyl or alkoxy; n = 0-10] are prepd. I, possessing lipid lowering activity, are useful for prevention and treatment of angina pectoris, myocardial infarction, heart failure, and related diseases. Thus, 5-benzofurancarboxylic acid was treated with SOCl2 and then reacted with 1-(2-methoxyphenyl)piperazine to give 86% the title compd. (II). II at 100 mg/kg showed 51% total cholesterol (TC) rise inhibitory activity when tested on hamsters p.o.

IT **190775-61-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzofuran derivs. as antihypertensive agents)

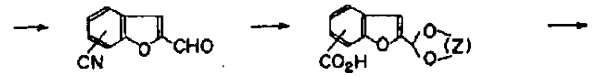
RN 190775-61-2 CAPLUS
CN Acetic acid, 2-[[5-[[4-(2-methoxyphenyl)-1-piperazinyl]carbonyl]-2-benzofuranyl]methyl]hydrazide (9CI) (CA INDEX NAME)


O
N
C
CH2 — NH — NHAc
N
O
OMe

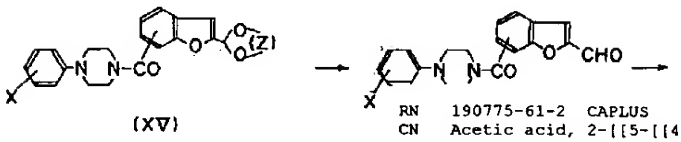
9　　10



(VIII)　(IX)　(XI)　(XII)

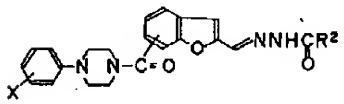


(XIII)　(XIV)

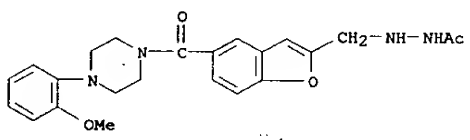


(XV)

RN　190775-61-2　CAPLUS
CN　Acetic acid, 2-[[5-[[4-(2-methoxyphenyl)-1-piperazinyl]carbonyl]-benzofuranyl]methyl]hydrazide (9CI)　(CA INDEX NAME)



(XVII)



【００４４】（式中、R^2はC_1～C_6のアルキル基を表し、Xは水素原子、ハロゲン原子、C_1～C_6のアルキル基、又はC_1～C_6のアルコキシ基を表し、またZは各々置換してもよいエチレン又はプロピレン鎖を表す。）

この方法で第一工程の環化及び加水分解は、方法Bの第一工程（ブロモベンゾフランカルボン酸（X）の製造工程）と同様な方法で行うことができる。また第二工程のシアノ化は、方法A及びBで述べたブロモ基のシアノ基への変換工程と同様な方法で行うことができる。

【００４５】こうして得られた2－カルボン酸エステル（XII）は、下記のような通常の方法で2－アルデヒド体（XIII）に誘導することができる。例えば、エステル（XII）を、①　金属水素化物で金属アルコキシドに還元した後、加水分解して直接アルデヒド（XIII）とするか、②　いったん金属水素化物でアルコールに還元した後、アルデヒド（XIII）に酸化するか、或は③　カルボン酸に加水分解してから、金属水素化物でアルコールに還元し、更にアルデヒド（XIII）に酸化することができる。ここで使用される金属水素化物としては、例えば水素化アルミニウムリチウム、水素化アルミニウムナトリウム、トリメトキシ水素化アルミニウムリチウム、トリエトキシ水素化アルミニウムリチウム、水素化アルミニウム等が挙げられる。これらの金属水素化物は、テトロヒドロフランのような有機溶媒中で使用することができる。なお、③の方法のように、カルボン酸をアルコールに還元する方法では、テトロヒドロフラン中で水素化アルミニウムリチウムを使用することが好ましい。また③の方法のようにカルボン酸を経由する方法では、カルボン酸を混合酸無水物に誘導してから、アルコールに還元すると、有利な場合がある。

【００４６】また加水分解は、方法Bにおけるカルボン＊酸エステルの加水分解工程と同様な方法で行うことができる。また酸化工程で使用される酸化剤としては、例えば二酸化マンガン、クロム酸、有機過酸化物、ＤＭＳＯ（ジメチルスルホキシド）等が挙げられる。

【００４７】次の第四工程はこうして得られた2－アルデヒド体（XIII）のアルデヒド基を環状アセタール（XIV）に誘導、保護した後、シアノ基を加水分解する工程である。

【００４８】アルデヒド基をアセタール化する第一段階は、通常有機溶媒中、酸触媒及びジオールの存在下に行われる。ここで使用される酸触媒としては、例えばｐ－トルエンスルホン酸、塩酸、硫酸、蟻酸、酢酸、陽イオン交換樹脂等が挙げられる。ジオールとしては、例えばグリセロール、１，３－プロパンジオール、２，２－ジ等が挙げられる。また有機溶媒としては、例えばベンゼン、トルエン、キシレン、テトラヒドロフラン、ジオキサン、アセトニトリル、クロロホルム等が挙げられる。

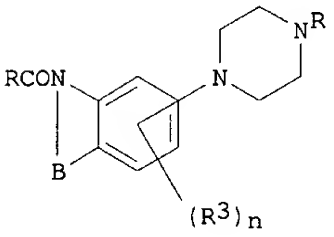
【００４９】この第一段階（アセタール化）の反応温度及び反応時間は特に制限されず、通常、氷冷から還流までの任意の温度で１５分～２４時間程度反応させればよい。次のアセタールを加水分解する第二段階は、通常、溶媒中、酸触媒の存在下で行われる。ここで使用される酸触媒としては、例えば塩酸、硫酸、硝酸、過塩素酸、酢酸、蟻酸、蓚酸等が挙げられる。また溶媒としては、例えば水、メタノール、エタノール、イソプロパノール、プロパノール、ジオキサン、テトラヒドロフラン等が使用できる。

【００５０】第五工程はアミド（XV）のアセタールを加水分解してアルデヒド（XVI）に戻す工程である。この工程は、前の工程の第二段階の加水分解と同じ方法で行われる。

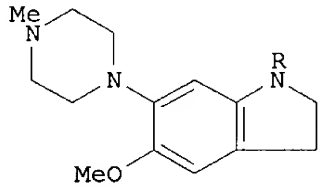
AN 1995:701901 CAPLUS
DN 123:83390
TI Preparation of piperazinylindoles and -indolines as 5-HT1d receptor antagonists
IN Gaster, Laramie Mary; Duckworth, David Malcolm; Jenkins, Sarah Margaret; Wyman, Paul Adrian
PA SmithKline Beecham PLC, UK
SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9506637	A1	19950309	WO 1994-EP2663	19940809
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 716650	A1	19960619	EP 1994-925447	19940809
	EP 716650	B1	19990324		
	R: BE, CH, DE, FR, GB, IT, LI, NL				
	JP 09502177	T2	19970304	JP 1994-507898	19940809
	US 5696122	A	19971209	US 1996-605022	19960226
PRAI	GB 1993-18325		19930903		
	GB 1993-18337		19930903		
	GB 1993-22251		19931028		
	GB 1993-22252		19931028		
	GB 1993-25753		19931216		
	WO 1994-EP2663		19940809		

OS MARPAT 123:83390
GI



I

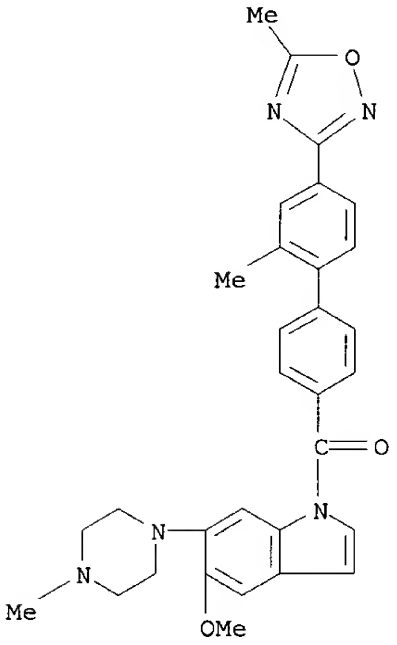


II

AB The title compds. I [R = (un)substituted Ph, biphenyl or a 5 to 7-membered heterocyclic ring contg. 1-3 heteroatoms selected from N, O or S; R3 = H, halo, HO, C1-6 alkoxy or alkyl; n = 1, 2; R4 = H, C1-6 alkyl; B = CHR9CH10, CR9:C10; R9, R10 = H, C1-6 alkyl], 5-HT1d receptor antagonists useful at 1.0-200 mg/2-3 times a day, is described. Thus piperazinylindoline II (R= H), prepd. in 3 steps from 4-methoxy-3-(4-methyl-1-piperazinyl)benzenamine and acetaldehyde, was acylated with a benzoyl chloride to give benzoylindoline II (R = 4-bromo-3-methylbenzoyl).

IT **165381-78-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of piperazinylindoles and -indolines as 5-HT1d receptor antagonists)

RN 165381-78-2 CAPLUS
CN 1H-Indole,
5-methoxy-1-[[2'-methyl-4'-(5-methyl-1,2,4-oxadiazol-3-yl)[1,1'-biphenyl]-4-yl]carbonyl]-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

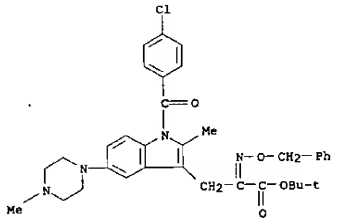


Also prepd. were: I(R5 = OH, R1 = NH2, R2 = H, A = COC6H4Cl-p, n = 0, M = OH); I(R5 = OMe, R1 = NH2, R2 = H, A = COC6H4Cl-p, n = 0, M = NMe2); II(R5 = OMe, R2 = Me, R = COCO2H, A = COC6H4Cl-p); I(R5 = OH, R1 = NH2, R2 = H, A = COC6H4OMe-p, n = 0, M = OBu-tert); I(R5 = OH, R1 = NH2, R2 = H, A = COC6H4Cl-p, n = 0, M = OBu-tert); I(R5 = OMe, R1 = morpholino, R2 = H, A = COC6H4CF3-p, n = 0, M = OEt); I(R5 = OMe, R1 = pyrrolidino, R2 = H, A = COC6H4Cl-p, n = 0, M = OH); I(R5 = F, R1 = cyclohexylamino, R2 = H, A = COC6H4Me-p, n = 0, M = OH; II(R5 = NH2, R2 = Me, R = COCO2Bu-tert, A = COC6H4Cl-p); II(R5 = NHMe, R2 = Me, R = COCO2Bu-tert, A = COC6H4Cl-p); II(R5 = NO2, R2 = Me, R = COCO2Bu-tert, A = COC6H4Cl-p).

IT 17535-57-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 17535-57-8 CAPLUS

CN Indole-2-pyruvic acid, 1-(p-chlorobenzoyl)-2-methyl-5-(4-methyl-1-piperazinyl)-, tert-butyl ester, .alpha.-(O-benzyloxime) (8CI) (CA INDEX NAME)



L10 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2001 ACS

AN 1967:432600 CAPLUS

DN 67:32600

TI 5-Methylaminocoumarilic acid derivatives

PA Societe Belge de l'Azote et des Produits Chimiques du Marly, S. A.

SO Belg., 15 pp.
CODEN: BEXXAL

DT Patent

LA French

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI BE 671060		19660419	BE	19651019

AB By chloromethylation and aminomethylation in the 5-position of ethyl coumarilate (Mndzhoyan and Aroyan, CA 53: 3185c), the following ethyl coumarilate are obtained (5-substituents and m.p. of hydrochloride given):
isopropylaminomethyl 207-10.degree., bis(.beta.-hydroxyethyl)aminomethyl 114-15.degree., dibutylaminomethyl 114-16.degree., pyrrolidinomethyl

AN 1968:49447 CAPLUS
DN 68:49447
TI Derivatives of .alpha.-aminoindole-3-acetic and -propionic acids
IN Shen, Tsung-Ying
PA Merck and Co., Inc.
SO U.S., 22 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 3316260		19670425	US	19651024

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) were prepd. via II. I had pyretic and a high degree of antiinflammatory activity useful in the treatment of arthritic and dermatological disorders. Thus, to a stirred soln. of 0.005 mole oxalyl chloride in 15 ml. anhyd. Et2O was added 0.005 mole 2-methyl-5-methoxyindole in 15 ml. Et2O over about 30 min., the mixt. stirred under N several hrs., concd. to one half its vol., 4 ml. tert-BuOH added, the mixt. stirred several hrs., excess tert-BuOH and Et2O removed, and the residue chromatographed on a silica gel column to give II(A = H, R2 = Me, R = COCO2Bu-tert, R5 = OMe). A soln. of 40 g. levulinic acid in 300 ml. hot H2O was added to 65 g. p-methoxyphenylhydrazine hydrochloride in 700 ml. hot H2O with stirring, and the mixt. stirred 0.5 hr. to give the hydrazone (III). A mixt. of 42 g. III, 120 g. ZnCl2, and 100 ml. abs. EtOH was refluxed 18 hrs., cooled, and poured into dil. HCl with stirring, the ppt. sepd. and taken up in EtOH, the soln. evapd. in vacuo, the syrup dissolved in Et2O, the ether extd. with 10% Na2CO3, and the aq. soln. acidified to give II(A = H, R5 = OMe, R = CH2CO2H, R2 = Me). A mixt. of 0.1 mole II(A = H, R5 = OMe, R = CH2CO2H, R2 = Me), 300 ml. abs. EtOH, and 10 ml. concd. H2SO4 was refluxed 6 hrs. under N and the mixt. worked up to give II(A = H, R5 = OMe, R2 = Me, R = CH2CO2Et). A mixt. of 2-methyl-4-trifluoromethylindole3-acetic acid and 2-methyl-6-trifluoromethylin-dole-3-acetic acid was similarly prepd. and sepd. by chromatog. A soln. of 0.15 mole p-fluorophenylhydrazine hydrochloride and 0.12 mole Et levulinate in 250 ml. 2N ethanolic HCl was heated on a steam bath a few min., until an exothermic reaction took place, then refluxed 30 min. to give on work up II(A = H, R5 = F, R = CH2CO2Et, R2 = Me). Under N a mixt. of 150 ml. abs. EtOH, 0.145 mole anhyd. AcONa, and 0.125 mole p-methoxyphenylhydrazine hydrochloride was held at 20-5.degree. 30 min., 0.142 mole benzoyl-propionic acid added all at once, the mixt. kept at room temp. 30 min., 18 g. anhyd. HCl in EtOH added over 20 min., and the mixt. heated on a steam bath 2 hrs. and worked up to give II(R = CH2CO2Et, R2 = Ph, R5 = OMe, A = H). II(R = CH2CO2Et, R2 = H, R5 = OMe, A = H) (1 mole) was gradually added to a soln. obtained from 1 mole Na, 5 moles EtOH, and 1 mole Et oxalate, the mixt. kept at room temp. 5 hrs., the solvent removed in vacuo, the residue dissolved in 1.2 l. H2O, the pH adjusted to 2 with HCl, and the mixt. extd. with Et2O to give II(R =

CH2CO2Et, R2 = COCO2Et, R5 = OMe, A = H) (IV). IV boiled 5 hrs. in 6 moles AcOH contg. 2 g. p-toluenesulfonic acid with the formed EtOAc distd. and the mixt. worked up to give II(R5 = OMe, R2 = H, R = CH2COCO2H, A = H). A mixt. of 0.05 mole N,N-dicyclohexylcarbodiimide in a min. vol. of tetrahydrofuran (THF) and 0.1 mole II(R = CH2COCO2H, R5 = OMe, R2 = Me, A = H) was kept overnight at room temp. and filtered and the solvent removed in vacuo to give the corresponding anhydride. A mixt. of 100 ml. tert-BuOH, 0.3 g. fused ZnCl2, and the prepd. anhydride was refluxed under N overnight and filtered, the solvent removed in vacuo, 500 ml. CHCl3 added, and the CHCl3 soln. worked up to give II(R5 = OMe, R2 = Me, R = CH2COCO2Bu-tert, A = H). II(R5 = OMe, R2 = Me, R = CH2COCO2Bu-tert, A = H) was treated with an ether soln. of diazomethane to give the Me ester. A mixt. of 0.1 mole sodium benzylate in 1 l. dioxane under N was gradually added with stirring to 1.2-1.5 l. dioxane at 0-5.degree. contg. 0.1 mole II(R5 = OMe, R2 = Me, R = CH2COCO2H, A = H) anhydride and the mixt. stirred at 20-5.degree. 2 hrs. and acidified with HCl to pH 3 to give II(R5 = OMe, R2 = Me, R = CH2COCO2CH2Ph, A = H). A mixt. of 0.01 mole II(R5 = NO2, R2 = Me, R = CH2COCO2Bu-tert, A = H), 150 ml. tert-BuOH, 15 ml. glacial AcOH, 5 ml. 37% aq. HCHO, and 4 g. Raney Ni was treated with H at 40 psi., the mixt. filtered and concd. in vacuo to about 25 ml., 250 ml. Et2O added, washed, and the mixt. worked up to give II(R5 = NEt2, R2 = Me, R = CH2COCO2Bu-tert, A = H). II(R5 = NO2, R2 = Me, R = CH2COCO2Bu-tert, A = H) in tert-BuOH was hydrogenated at 25.degree./1 atm. over 10% Pd-C to give II(R5 = NH2, R2 = Me, R = CH2COCO2Bu-tert, A = H). A mixt. of 0.01 mole II(R5 = OMe, R2 = Me, R = CH2COCO2Bu-tert, A = H), 0.02 mole benzyloxyamine, 5 ml. pyridine, and 20 ml. tert-BuOH was heated on the steam bath under N 3 hrs., concd. in vacuo to about 10 ml., and poured into 250 ml. of an ice-H2O mixt. and the org. material collected, washed with H2O and dried to give I(R5 = OMe, n = 1, R1R2 = NOCH2Ph, M = OBu-tert, A = HO). A soln. of 0.021 mole II(R5 = OMe, R2 = Me, R = COCO2Bu-tert, A = H) in 20 ml. HCONMe2 (DMF) was added dropwise to a cold suspension of 1.0 g. NaH (52% dispersion in mineral oil) and 25 ml. DMF, stirred at room temp. 20 min., cooled, treated with 0.0222 mole p-chlorobenzoyl chloride, stirred at room temp. 16 hrs., poured into 260 ml. ice H2O, and extd. with ether and the ether ext. worked up to give II(R5 = OMe, R2 = Me, R = COCO2Bu-tert, A = COC6H4Cl-p). A mixt. of 1.5 g. I(R5 = NH2, R1R2 = NOCH2Ph, n = 1, A = COC6H4Cl-p, M = OBu-tert). 1,4-Dibromobutane (1 g.), 0.975 g. anhyd. Na2CO3, and 80 ml. EtOH was refluxed under N 6 hrs., filtered, the filtrate concd. in vacuo, dild. with Et2O, washed with H2O, dried, and concd. in vacuo to give I(R5 = 1-pyrrolidinyl, R1R2 = NOCH2Ph, n = 1, M = OBu-tert). A mixt. of 0.02 mole I(R5 = NH2, R1R2 = NOCH2Ph, n = 1, M = OBu-tert, A = COC6H4Cl-p), 0.44 mole ethylene oxide, 0.03 mole AcOH, and 300 ml. dimethoxyethane was heated to 100.degree. 18 hrs. in an autoclave, dild. with H2O, and filtered to give I (R5 = N(CH2CH2OH)2, R1R2 = NOCH2Ph, n = 1, A = COC6H4Cl-p). The prepd. material was stirred with a 2 molar proportion of p-toluenesulfonyl chloride in pyridine and poured into H2O, the 5-bis(p-tolylsulfonyloxyethyl)amino compd. isolated and dissolved in C6H6, 1 mole methylamine added, and the mixt. kept at room temp. 3 days, poured

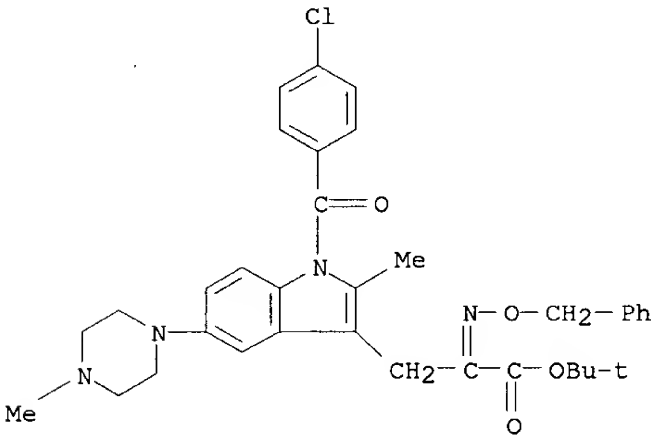
into iced-H2O contg. 2 equivs. Na2CO3, and extd. with Et2O immediately to give I(R5 = 4-methyl-1-piperazinyl, R1R2 = NOCH2Ph, M = OBu-tert, n = 1, A = COC6H4Cl-p). A soln. of 0.1 mole tosyl chloride in 200 ml. C6H6 was added dropwise with stirring to a soln. of I(R5 = N(CH2CH2OH)2, R1R2 = NOCH2Ph, M = OBu-tert, n = 1, A = COC6H4Cl-p) and 0.3 mole pyridine in 300 ml. C6H6 at room temp. and the mixt. refluxed 3 hrs., washed with H2O, dried, and evapd. to give I(R5 = morpholino, R1R2 = NOCH2Ph, M = OBu-tert, n = 1, A = COC6H4Cl-p). A mixt. of 0.01 mole II(R5 = OMe, R2 = Me, R = COCO2Bu-tert, A = COC6H4Cl-p), 0.02 mole NH2OH.HCl, 20 ml. tert-BuOH, and 5 ml. pyridine was heated on the steam bath under N 3 hrs., concd. in vacuo, and poured into about 250 ml. ice-H2O mixt., the org. matter collected, washed with H2O until the pyridine odor was removed, dried, dissolved in 25 ml. EtOH and 0.02 mole 38% HCl, and reduced with H at 3000 psi. at room temp. over 1 g. 5% Pd-C, the mixt. filtered, 50 ml. 2.5N HCl added, and the soln. worked up and chromatographed to give I(R5= OMe, R1 = NH2, R2 = H, n = 0, M = OBu-tert, A = COC6H4Cl-p). A mixt. of 0.01 mole I(R5 = OMe, R1 = NH2, R2 = H, A = COC6H4Cl-p, n = 0, M = OBu-tert), 0.011 mole MeI, and 0.015 mole NaHCO3 in 50 ml. anhyd. 1,2-dimethoxyethane was heated on the steam bath under N 3 hrs. and filtered, the solvent removed in vacuo, and the residue chromatographed to give the corresponding .alpha.-methylamino acetate. The .alpha.-dimethylamino acetate was similarly prepd. Also prepd. were: I(R5 = R1 = NH2, R2 = H, A = COC6H4Cl-p, n = 0, M = OBu-tert); I(R5 = OMe, R1 = NH2, R2 = H, A = COC6H4Cl-p, n = 1, M = OBu-tert); I(R5 = R1 = NMe2, R2 = H, n = 0, M = OBu-tert, A = COC6H4Cl-p); I(R5 = R1 = NH2, R2 = H, n = 0, M = OBu-tert); II(R5 = OMe, R = H, R2 = Me, A = COC6H4Cl-p); I(R5 = OMe, R1 = NMe2, R2 = H, n = 0, A = COC6H4Cl-p, M = OEt); I(R5 = OMe, R1R2 = NOCH2Ph, A = H, n = 0, M = OBu-tert); p-nitrophenyl nicotinate; I(R5 = OMe, R1R2 = NOCH2Ph, A = nicotinoyl, n = 0, M = OBu-tert); I(R5 = OMe, R1 = NH2, R2 = H, A = nicotinoyl, n = 0, M = OBu-tert); I(R5 = OMe, R1 = morpholino, R2 = H, A = H, n = 0, M = OEt); I(R5 = OMe, R1 = morpholino, R2 = H, A = COC6H4Cl-p, n = 0, M = OEt); I(R5 = OMe, R1 = NHMe, R2 = H, A = COC6H4Cl-p, n = 0, M = OEt); 2-methyl-5-methoxygramine; I(R5 = OMe, R1 = NO2, R2 = Me, A = H, n = 1, M = OEt); I(R5 = OMe, R1 = Me, R2 = NO2, A = H, n = 1, M = OH); II(R5 = OMe, R = CH2NHCH2CO2H, R2 = Me, A = COCH2C6H4Cl-p). I(R5 = OMe, R1 = NH2, R2 = H, A = COCH2C6H4Cl-p, n = 0, M = OH) (0.001 mole) and 0.001 mole NaOH in 100 ml. H2O was stirred until soln. was complete and filtered and the H2O removed in vacuo to give the corresponding Na salt. The morpholine salt was also prepd. A mixt. of 0.049 mole dicyclohexylcarbodiimide, 0.1 mole I(R5 = OMe, R1 = NMe2, R2 = H, A = COCH2C6H4Cl-p, n = 0, M = OH), and 200 ml. THF was kept at room temp. 2 hrs. and filtered and the filtrate evapd. in vacuo to give the corresponding anhydride. Also prepd. were: anhydrides of I(R5 = OMe, R1 = NHMe, R2 = H, A = COC6H4Cl-p, n = 0, M = OH) and I(R5 = OMe, R1 = NHBu-iso, R2 = H, A = COC6H4Cl-p, n = 0, M = OH).

Also prepd. were: I(R5 = OH, R1 = NH2, R2 = H, A = COC6H4Cl-p, n = 0, M = OH); I(R5 = OMe, R1 = NH2, R2 = H, A = COC6H4Cl-p, n = 0, M = NMe2); II(R5 = OMe, R2 = Me, R = COCO2H, A = COC6H4Cl-p); I(R5 = OH, R1 = NH2, R2 = H, A = COC6H4OMe-p, n = 0, M = OBu-tert); I(R5 = OH, R1 = NH2, R2 = H, A = COC6H4Cl-p, n = 0, M = OBu-tert); I(R5 = OMe, R1 = morpholino, R2 = H, A = COC6H4CF3-p, n = 0, M = OEt); I(R5 = OMe, R1 = pyrrolidino, R2 = H, A COC6H4Cl-p, n = 0, M = OH); I(R5 = F, R1 = cyclohexylamino, R2 = H, A = COC6H4Me-p, n = 0, M = OH; II(R5 = NH2, R2 = Me, R = COCO2Bu-tert, A = COC6H4Cl-p); II(R5 = NHMe, R2 = Me, R = COCO2Bu-tert, A = COC6H4Cl-p); II(R5 = NO2, R2 = Me, R = COCO2Bu-tert, A = COC6H4Cl-p).

IT **17535-57-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 17535-57-8 CAPLUS

CN Indole-2-pyruvic acid, 1-(p-chlorobenzoyl)-2-methyl-5-(4-methyl-1-piperazinyl)-, tert-butyl ester, .alpha.-(O-benzyloxime) (8CI) (CA INDEX NAME)



L10 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2001 ACS

AN 1967:432600 CAPLUS

DN 67:32600

TI 5-Methylaminocoumarilic acid derivatives

PA Societe Belge de l'Azote et des Produits Chimiques du Marly, S. A.

SO Belg., 15 pp.
CODEN: BEXXAL

DT Patent

LA French

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI BE 671060		19660419	BE	19651019

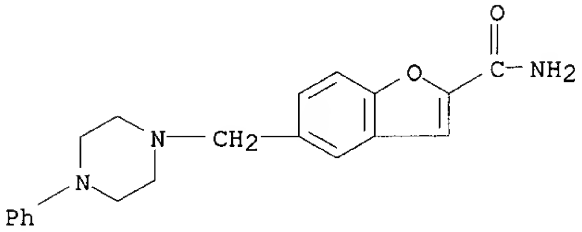
AB By chloromethylation and aminomethylation in the 5-position of ethyl coumarilate (Mndzhoyan and Aroyan, CA 53: 3185c), the following ethyl coumarilate are obtained (5-substituents and m.p. of hydrochloride given):
isopropylaminomethyl 207-10.degree., bis(.beta.-hydroxyethyl)aminomethyl 114-15.degree., dibutylaminomethyl 114-16.degree., pyrrolidinomethyl

210-12.degree., piperidinomethyl 213-15.degree., (4-methylpiperidino)methyl 224-5.degree., (3-methylpiperidino)methyl 221-2.degree., (2-methylpiperidino)methyl 238-9.degree., hexamethylene-iminomethyl 223-5.degree., trans-decahydroquinolinomethyl 186-8.degree., tetrahydroisoquinolinomethyl 229-31.degree., morpholinomethyl 245-6.degree., 4-methylpiperazino 175-8.degree.. KOH (16.3 g.) in 50 ml. water is added to 48.5 g. Et 5-piperidinomethylcoumarilate-HCl in 250 ml. 50% EtOH. The mixt. is heated until the soln. becomes clear. The EtOH is evapd. in vacuo and the residue cooled to give the hydrochloride of 5-piperidinomethylcoumarilic acid (I), m. 260-2.degree.. I (29.5 g.) is heated with 120 ml. SOCl2 2 hrs. at 80.degree. and the SOCl2 evapd. The crushed solid residue is dissolved in 600 ml. C6H6 and dry NH3 bubbled through the soln. while the temp. is kept at 10-15.degree., to give 5-piperidinomethyl-coumarilamide-HCl which is dissolved in water. Na2CO3 is added to the soln. to give 13.6 g. 5-piperidinomethylcoumarilamide, m. 188.degree.. Using amines instead of NH3 the following coumarilamides are obtained (substituents and m.p. of the hydrochloride given): N-diethyl-5-(piperidinomethyl) 198-9.degree., N-isopropyl-5-(piperidinomethyl) 109-10.degree., N-benzyl-5-(piperidinomethyl) 116-17.degree.. Also obtained are the hydrochlorides of 1-(5-piperidinom ethylcoumarilyl)piperidine, m. 237-9.degree., 1-(5-morpholinomethylcoumarilyl)morpholine m. 217-21.degree.. Et 5-piperidinomethylcoumarilate (5.74 g.) is left 48 hrs. at 20.degree. in 25 ml. 33% methylamine alc. soln. and the mixt. poured into water and extd. with Et2O. The Et2O is evapd. and the residue acidified to give 4.25 g. 5-(N-methylpiperidinomethyl)coumarilamide, m. 264-5.degree.. The coumarilamides also obtained are (substituents and m.p. given): 5-isopropylaminomethyl 145-6.degree., 5-dimethylaminomethyl, 136-9.degree., 5-diethylaminomethyl, 142-3.degree., 5-bis(.beta.-hydroxyethyl)aminomethyl 72-3.degree., 5-dibutylaminomethyl 145-6.degree., 5-pyrrolidinomethyl 151-2.degree., 5-(4-methylpiperidino)-methyl 176-7.degree., 5-(3-methylpiperidino)methyl 180-1.degree., 5-(2-methylpiperidino)methyl 155-6.degree., 5-hexamethyleniminomethyl 163-4.degree., 5-trans-decahydroquinolinomethyl 219-20.degree., 5-tetrahydroisoquinolinomethyl 190-1.degree., 5-morpholinomethyl 176-7.degree., N-ethyl-5-(piperidinomethyl) 80-2.degree., N-n-hexyl-5-(piperidinomethyl) 74-5.degree., N-.beta.-phenethyl-5-(piperidinomethyl) 136-7.degree., 5-(4-methylpiperazino)methyl 187-9.degree., 5-(4-phenylpiperazino)methyl 194-5.degree., and 5-[4-(.beta.-hydroxyethyl)piperazino]methyl [m.p. of the dioxalate 234-5.degree.]. POCl3 (18.4 g.) in 150 ml. C6H6 is added to a soln. of 11.6 g. 5-piperidinomethylcoumarilamide in 350 ml. dry C6H6. The mixt. is refluxed 2 hrs. The C6H6 is evapd. in vacuo, water added to the residue and the soln. made alk. with K2CO3. The oil which sep. is extd. with CH2Cl2. The CH2Cl2 is evapd. to give 11 g. 5-(N-piperidinomethyl)-2-cyanobenzofuran, m. 186-7.degree.. Also obtained are the 2-cyanobenzofurans (5-substituent and m.p. of the oxalate given): (4-methylpiperidinomethyl) 196-8.degree., (3-methylpiperidinomethyl) 173-4.degree., diethylaminomethyl 72-4.degree., (N-phenylpiperazino)methyl 133.5-4.5.degree.. All these compds. have depressing or stimulating properties as pharmaceuticals.

IT **6206-48-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)
RN 6206-48-0 CAPLUS
CN 2-Benzofurancarboxamide, 5-[(4-phenyl-1-piperazinyl)methyl]- (7CI, 8CI) (CA INDEX NAME)

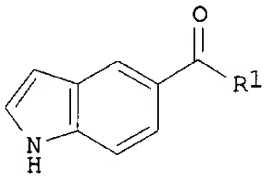


L10 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2001 ACS
AN 2001:581832 CAPLUS
TI Preparation of (1H-indol-5-yl)methanones, 2-(2-fluorophenyl)acetamides and
2-(pyrazol-1-yl)pyrimidines as InhA inhibitors
IN Staveski, Mark M.; Sneddon, Scott F.; Yee, Christopher; Janjigian, Andrew
PA Genzyme Corporation, USA
SO PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001056974	A2	20010809	WO 2001-US140045	20010206

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 2000-499183 A1 20000207
GI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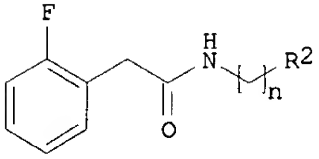
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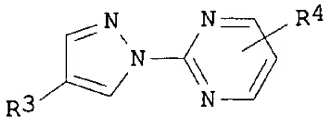

III

AB The title compds. [I-III, etc.; R1 = (un)substituted heteroaryl, piperazinyl, piperidinyl, etc.; R2 = OH, (un)substituted aryl, cycloalkyl, etc.; n = 1-2; R3 = (un)substituted Ph, heteroaryl; R4 = H, halo, alkyl, etc.] which inhibit the Mycobacterial enoyl-ACP reductase required for cell wall biosynthesis, and are useful for treating a bacterial infection in a patient, were prepd. Thus, reacting 2-fluorophenylacetic acid with 4-chlorophenethylamine in the presence of DMAP and EDCI in CH2Cl2 afforded

II [R2 = 4-ClC6H4; n = 2] which showed 82% InhA inhibition at 40 .mu.M.

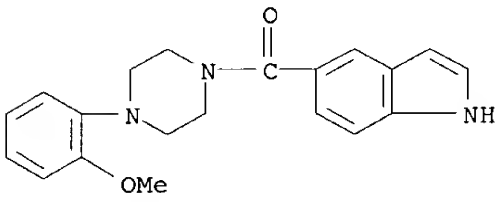
IT **353522-50-6P**

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (1H-indol-5-yl)methanones, 2-(2-fluorophenyl)acetamides and 2-(pyrazol-1-yl)pyrimidines as InhA inhibitors)

RN 353522-50-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



L10 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2001 ACS

AN 2001:416456 CAPLUS

DN 135:19665

TI Preparation of 5-(1-Piperazinyl)-benzofuran-2-carboxamide

IN Bathe, Andreas; Emmert, Steffen; Helfert, Bernd; Boettcher, Henning

PA Merck Patent G.m.b.H., Germany

SO Ger. Offen., 14 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 19958496	A1	20010607	DE 1999-19958496	19991204
WO 2001040219	A2	20010607	WO 2000-EP11980	20001129

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI DE 1999-19958496 A 19991204

OS CASREACT 135:19665; MARPAT 135:19665

AB Title compds. were prepd. by transition metal-catalyzed amination of prepd. 5-halobenzofuran-2-carboxamides or of, e.g., 5-halo-2-hydroxybenzaldehydes followed by cyclocondensation.

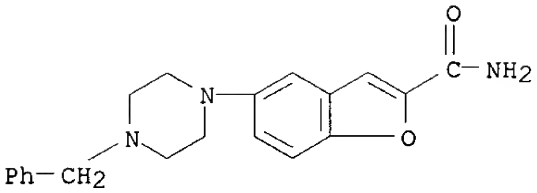
IT **343306-47-8P**

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of 5-(1-Piperazinyl)-benzofuran-2-carboxamide)

RN 343306-47-8 CAPLUS

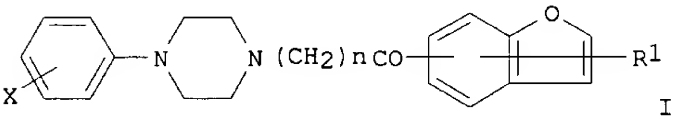
CN 2-Benzofurancarboxamide, 5-[4-(phenylmethyl)-1-piperazinyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2001 ACS
AN 1997:413949 CAPLUS
DN 127:34243
TI Preparation of benzofuran derivatives as antihypertensive agents
IN Takashima, Junko
PA Shensi Research Institute of Pharmacology, Peop. Rep. China; Mitsubishi Chemical Co., Ltd.
SO Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09124631	A2	19970513	JP 1994-11935	19940203

OS MARPAT 127:34243
GI



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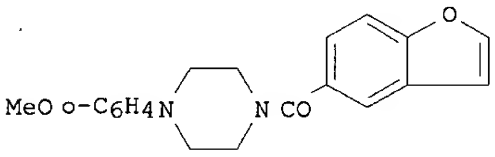


II

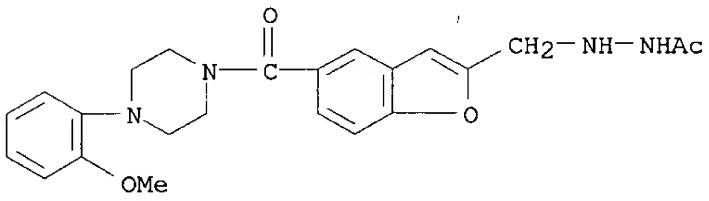
AB The title compds. [I; R1 = H, halo, C1-6 alkyl, etc.; X = H, halo, C1-6 alkyl or alkoxy; n = 0-10] are prepd. I, possessing lipid lowering activity, are useful for prevention and treatment of angina pectoris, myocardial infarction, heart failure, and related diseases. Thus, 5-benzofurancarboxylic acid was treated with SOCl2 and then reacted with 1-(2-methoxyphenyl)piperazine to give 86% the title compd. (II). II at 100 mg/kg showed 51% total cholesterol (TC) rise inhibitory activity when tested on hamsters p.o.

IT **190775-61-2P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)
(prepn. of benzofuran derivs. as antihypertensive agents)

RN 190775-61-2 CAPLUS

CN Acetic acid, 2-[[5-[[4-(2-methoxyphenyl)-1-piperazinyl]carbonyl]-2-benzofuranyl]methyl]hydrazide (9CI) (CA INDEX NAME)



L10 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2001 ACS

AN 1995:701901 CAPLUS

DN 123:83390

TI Preparation of piperazinylindoles and -indolines as 5-HT1d receptor antagonists

IN Gaster, Laramie Mary; Duckworth, David Malcolm; Jenkins, Sarah Margaret; Wyman, Paul Adrian

PA SmithKline Beecham PLC, UK

SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2

DT Patent

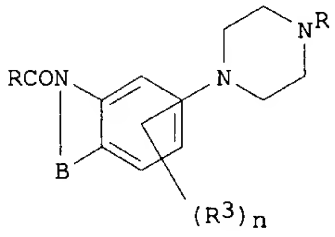
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9506637	A1	19950309	WO 1994-EP2663	19940809
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 716650	A1	19960619	EP 1994-925447	19940809
	EP 716650	B1	19990324		
	R: BE, CH, DE, FR, GB, IT, LI, NL				
	JP 09502177	T2	19970304	JP 1994-507898	19940809
	US 5696122	A	19971209	US 1996-605022	19960226
PRAI	GB 1993-18325		19930903		
	GB 1993-18337		19930903		
	GB 1993-22251		19931028		
	GB 1993-22252		19931028		
	GB 1993-25753		19931216		
	WO 1994-EP2663		19940809		

OS MARPAT 123:83390

GI



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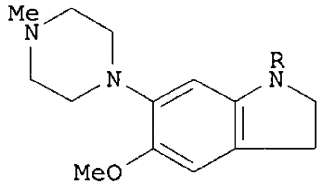

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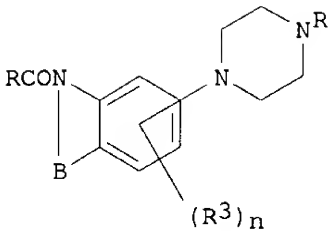

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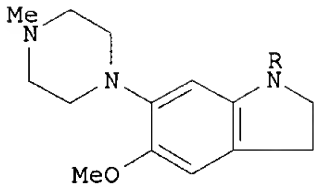


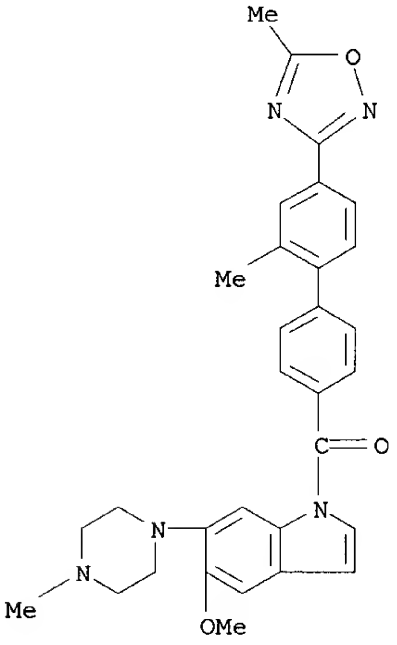
II

AB The title compds. I [R = (un)substituted Ph, biphenyl or a 5 to 7-membered heterocyclic ring contg. 1-3 heteroatoms selected from N, O or S; R3 = H, halo, HO, C1-6 alkoxy or alkyl; n = 1, 2; R4 = H, C1-6 alkyl; B = CHR9CH10, CR9:C10; R9, R10 = H, C1-6 alkyl], 5-HT1d receptor antagonists useful at 1.0-200 mg/2-3 times a day, is described. Thus piperazinylindoline II (R= H), prepd. in 3 steps from 4-methoxy-3-(4-methyl-1-piperazinyl)benzenamine and acetaldehyde, was acylated with a benzoyl chloride to give benzoylindoline II (R = 4-bromo-3-methylbenzoyl).

IT **165381-78-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of piperazinylindoles and -indolines as 5-HT1d receptor antagonists)

RN 165381-78-2 CAPLUS

CN 1H-Indole, 5-methoxy-1-[[2'-methyl-4'-(5-methyl-1,2,4-oxadiazol-3-yl)[1,1'-biphenyl]-4-yl]carbonyl]-6-(4-methyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

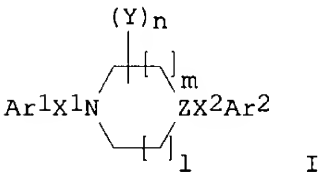


L10 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2001 ACS

AN 2000:161119 CAPLUS
DN 132:203174
TI Inhibitors of p38-.alpha. kinase, preparation thereof, and therapeutic use
IN Goehring, R. Richard; Luedtke, Gregory R.; Mavunkel, Babu J.; Chakravarty, Sarvajit; Dugar, Sundeep; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PA Scios Inc., USA
SO PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000012074	A2	20000309	WO 1999-US19845	19990827 <--
	WO 2000012074	A3	20000831		
	W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9957936	A1	20000321	AU 1999-57936	19990827
	EP 1107758	A2	20010620	EP 1999-945316	19990827
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	US 1998-98219	P	19980828		
	US 1999-125343	P	19990319		
	US 1998-125343	P	19990319		
	WO 1999-US19845	W	19990827		

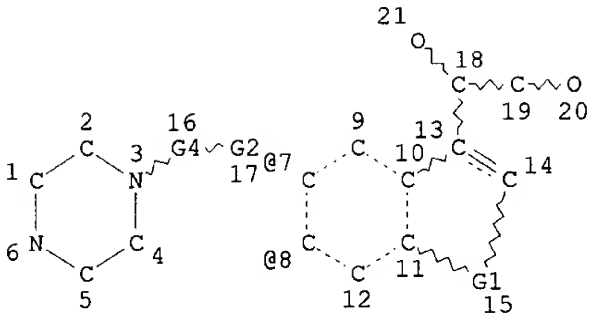
OS MARPAT 132:203174
GI



I

AB Methods are provided for treating conditions mediated by p38-.alpha. kinase using compds. I (Z = N, CR1; R1 = noninterfering substituent; X1, X2 = linker; Ar1, Ar2 = (un)substituted C1-20 hydrocarbyl (at least one of Ar1 and Ar2 = (un)substituted aryl), with proviso that when X2 = CH2 or an isostere thereof, X1 = CO or an isostere thereof, and Ar2 = (un)substituted Ph, Ar1 is other than (un)substituted indolyl, benzimidazolyl or benzotriazolyl, and wherein (un)substituted Ph is not (un)substituted indolyl, benzimidazolyl, or benzotriazolyl; Y = noninterfering substituent; n, m = 0-4; l = 0-3) or a pharmaceutically

acceptable salt or pharmaceutical compn. thereof. Prepn. of compds. is described. Compds. of the invention may be used to treat p38-.alpha. kinase-mediated conditions.



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VAR G2=7/8
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L9 STRUCTURE CREATED

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SEARHC IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> search l9
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:sss
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):l4
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
FULL SUBSET SEARCH INITIATED 17:25:59 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 48 TO ITERATE

100.0% PROCESSED 48 ITERATIONS 48 ANSWERS
SEARCH TIME: 00.00.01

L10 48 SEA SUB=L4 SSS FUL L9

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	64.55	106.41

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-2.35

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FILE COVERS 1947 - 4 Sep 2001 VOL 135 ISS 11
FILE LAST UPDATED: 3 Sep 2001 (20010903/ED)

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This file supports REGlstRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.

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L11 1 L10

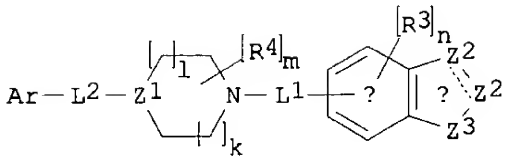
=> d bib abs hitstr

L11 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2001 ACS
AN 2000:842127 CAPLUS
DN 134:17503
TI Preparation of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as inhibitors of p38 kinase
IN Mavunkel, Babu J.; Chakravarty, Sarvajit; Perumattam, John J.; Dugar, Sundeep; Lu, Qing; Liang, Xi
PA Scios Inc., USA
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000071535	A1	20001130	WO 2000-US14003	20000519
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRAI	US 1999-316761	A	19990521		
	US 1999-154594	P	19990917		
	US 2000-202608	P	20000509		

OS MARPAT 134:17503

GI



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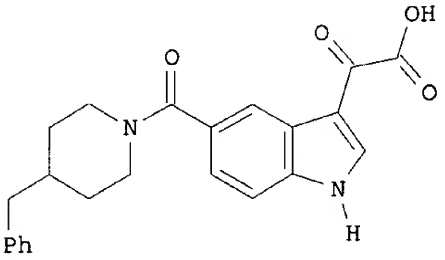

II

AB The title compds. [I; one Z2 = CA, CR8A and the other = CR1, CR12, NR6, N (wherein R1, R6, R8 = H, noninterfering substituent; A = WiCOXjY; Y = COR2, an isostere; R2 = H, noninterfering substituent; W, X = spacer of 2-6.ANG.; i, j = 0-1); Z3 = NR7, O; R3 = noninterfering substituent; n = 0-3; L1, L2 = linker; R4 = noninterfering substituent; m = 0-4; Z1 = CR5, N (R5 = H, noninterfering substituent); l, k = 0-2, wherein the sum of l and k = 0-3; Ar = aryl substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; the distance between the atom of Ar linked to L2 and the center of the .alpha. ring is 4.5-24.ANG.] which inhibit p38-.alpha. kinase (biol. data given), were prepd. Thus, treating 6-methoxy-(4-benzylpiperidinyl)-indole-5-carboxamide with oxalyl chloride in CH2Cl2 afforded the indole-5-carboxamide II.

IT **309913-41-5P 309913-43-7P 309913-59-5P**
309913-60-8P 309913-64-2P 309913-71-1P
309913-72-2P 309913-73-3P 309913-74-4P
309913-82-4P 309913-83-5P 309913-85-7P
309913-88-0P 309914-02-1P 309914-08-7P
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309914-25-8P 309914-27-0P 309914-60-1P
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309914-71-4P 309914-73-6P 309914-74-7P
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309914-80-5P 309914-83-8P 309914-85-0P
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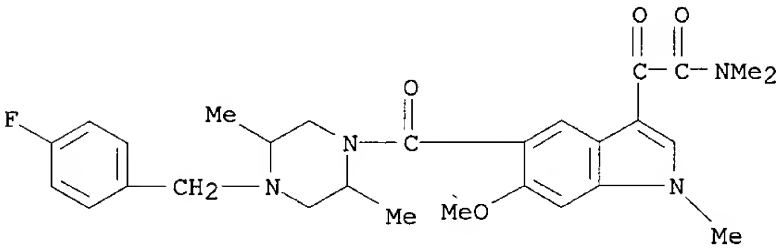
309915-04-6P 309915-05-7P 309915-12-6P
309915-13-7P 309915-14-8P 309915-15-9P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as

inhibitors of p38 kinase)

RN 309913-41-5 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-6-methoxy-N,N,1-trimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

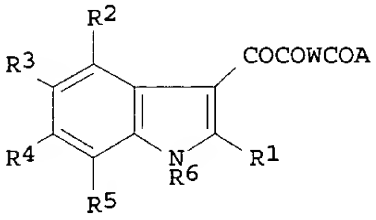


AN 2002:51452 CAPLUS
DN 136:118470
TI Preparation of substituted indoleoxoacetylpiperazines with antiviral activity against HIV-1
IN Wallace, Owen B.; Wang, Tao; Yeung, Kap-Sun; Pearce, Bradley C.; Meanwell, Nicholas A.; Qiu, Zhilei; Fang, Haiquan; Xue, Qiufen May; Yin, Zhiwei
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 277 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002004440	A1	20020117	WO 2001-US20300	20010626

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 2000-217444P P 20000710
US 2001-265978P P 20010202
OS MARPAT 136:118470
GI



I

AB Indoleoxoacetylpiperazines I [A = (un)substituted alkoxy, aryl, heteroaryl; W = (un)substituted piperazino; R1 = H; R2-R5 = H, halogen, CN, NO2, (un)substituted NH2, OH, (un)substituted alkyl, cycloalkyl, alkoxy, CO2H, acyl, carbamoyl, amidino, aryl, heteroaryl, heterocyclic; R6 = H, alkyl] and their 2,3-dihydroindole analogs were prepd. for use as virucides in the treatment of HIV and AIDS. Thus, 2-bromo-5-fluoronitrobenzene was cyclized with CH2:CHMgBr to give 4-fluoro-7-bromoindole, which was treated with ClCOCO2Et, followed by ester hydrolysis to give 4-fluoro-7-bromo-3-indoleglyoxylic acid. This acid was amidated with N-benzoylpiperazine and treated with PhSnBu3 to give I [A = R5 = Ph, W = piperazino, R1, R3, R4, R6 = H, R2 = F]. This compd. gave >98% inhibition of HIV-1 infection in HeLa cells.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2002 ACS

IT **389629-30-5P 389629-31-6P**

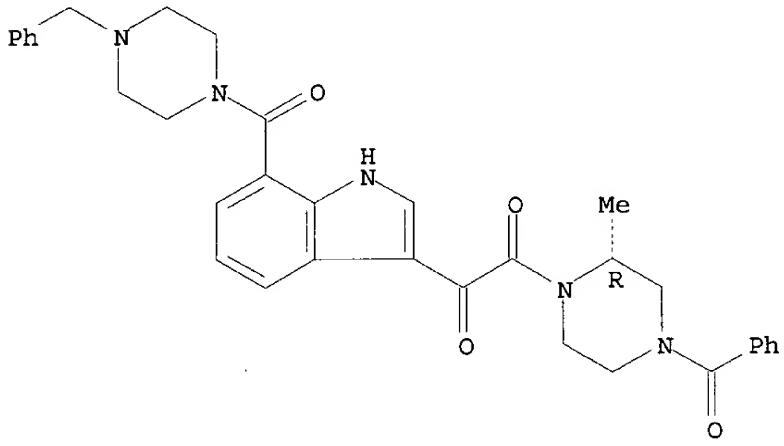
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted indoleoxoacetylpiperazines with antiviral activity against HIV-1)

RN 389629-30-5 CAPLUS

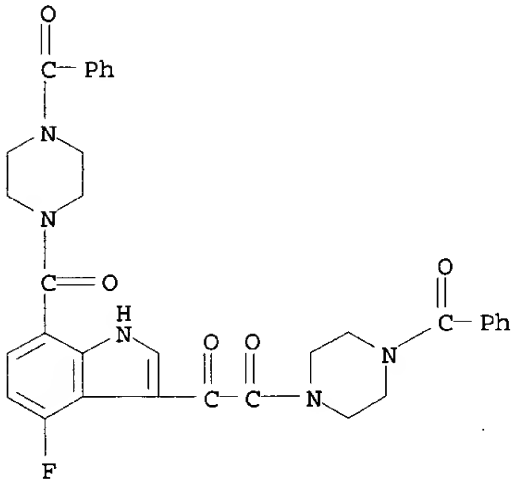
CN Piperazine, 4-benzoyl-2-methyl-1-[oxo[7-[[4-(phenylmethyl)-1-piperazinyl]carbonyl]-1H-indol-3-yl]acetyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 389629-31-6 CAPLUS

CN Piperazine, 1-benzoyl-4-[[7-[(4-benzoyl-1-piperazinyl)carbonyl]-4-fluoro-1H-indol-3-yl]oxoacetyl]- (9CI) (CA INDEX NAME)



[Date of request for examination]

[Date of sending the examiner's decision of rejection]

[Kind of final disposal of application other than the examiner's decision of rejection or application converted registration]

[Date of final disposal for application]

[Patent number]

[Date of registration]

[Number of appeal against examiner's decision of rejection]

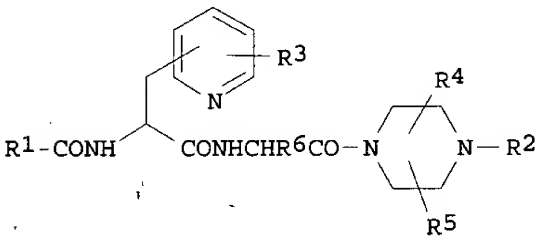
[Date of requesting appeal against examiner's decision of rejection]

[Date of extinction of right]

AN 2001:338558 CAPLUS
DN 134:340709
TI Preparation of substituted dipeptides having NOS inhibiting activity
IN Shima, Ichiro; Ohkawa, Takehiko; Ohne, Kazuhiko; Sato, Kentaro; Ishibashi, Naoki; Imamura, Kenichiro
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001032690	A1	20010510	WO 2000-JP7579	20001027
	W: BR, CA, CN, JP, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 1226159	A1	20020731	EP 2000-970164	20001027
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	JP 2003513104	T2	20030408	JP 2001-535389	20001027
PRAI	AU 1999-3868	A	19991104		
	WO 2000-JP7579	W	20001027		

OS MARPAT 134:340709
GI



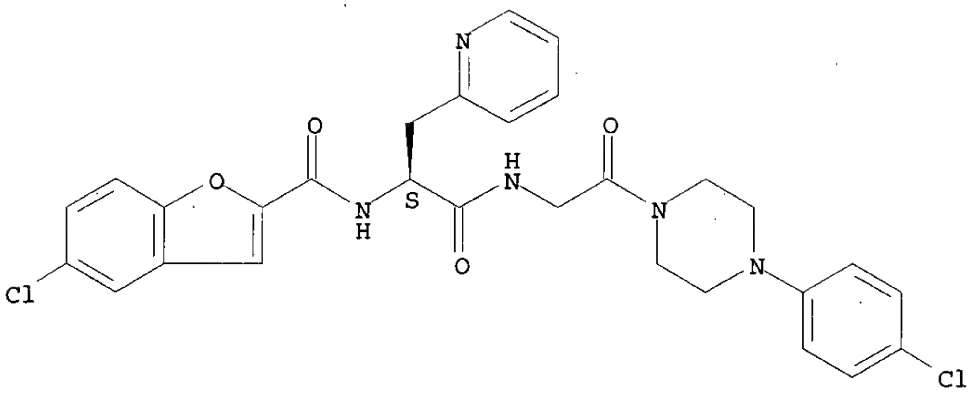
I

AB Dipeptides I [R1 is benzofuranyl or styryl substituted by halogen; R2 is (un)substituted Ph, pyridyl, thienyl, or thiazolyl; R3, R6 = H or lower alkoxy; R4, R5 = H, lower alkyl or optionally protected hydroxy(lower)alkyl] or their pharmaceutically acceptable salts were prepd. for use in the prevention and/or treatment of nitric oxide-mediated diseases. Thus, 5-chloro-N-[(1S)-2-[[2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxoethyl]amino]-2-oxo-1-(2-pyridylmethyl)ethyl]-1-benzofuran-2-carboxamide (II) was prepd. via amidation reaction and showed 100% inhibition of nitric acid. The combination of compd. II and FK507 dramatically prolonged graft survival in rat cardiac allograft.

IT **337530-26-4P 337530-35-5P 337530-38-8P**
337530-43-5P 337530-44-6P 337530-46-8P
337530-48-0P 337530-50-4P 337530-52-6P
337530-55-9P 337530-63-9P 337530-69-5P
337530-76-4P 337530-77-5P 337530-78-6P
337530-80-0P 337530-81-1P 337530-82-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted dipeptides having NOS inhibiting activity)

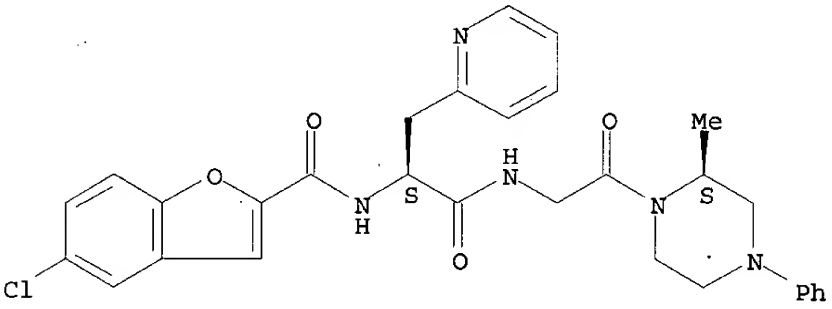
RN 337530-26-4 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



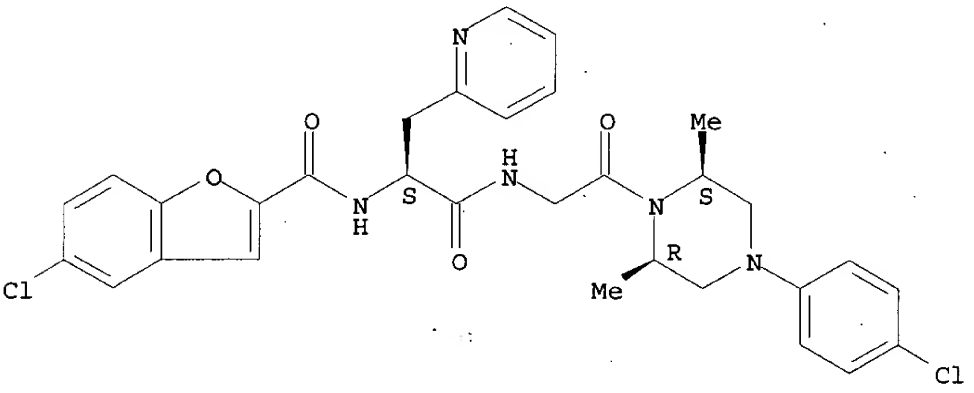
RN 337530-35-5 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[(2S)-2-methyl-4-phenyl-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 337530-38-8 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[(2R,6S)-4-(4-chlorophenyl)-2,6-dimethyl-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

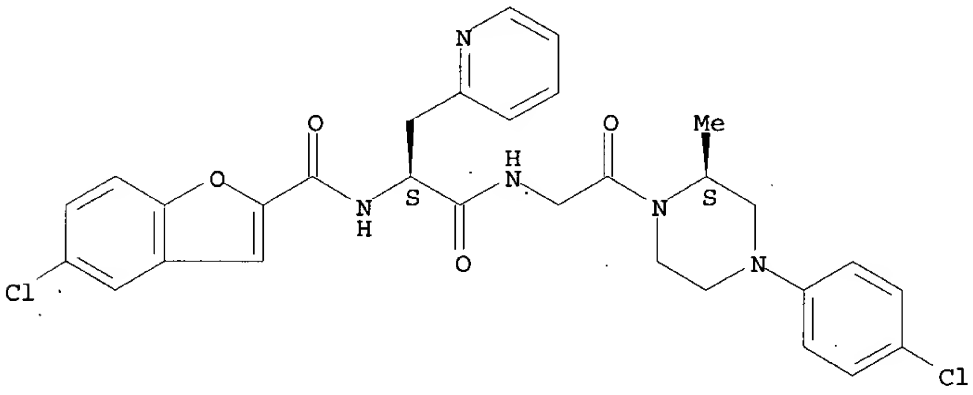
Absolute stereochemistry.



RN 337530-43-5 CAPLUS

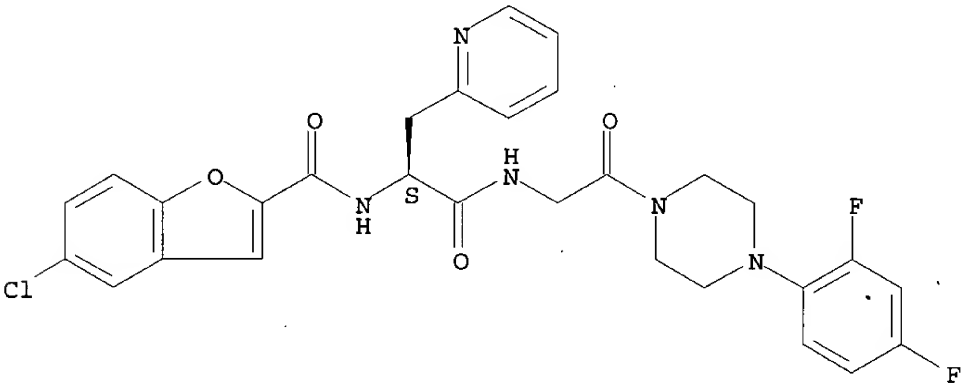
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[(2S)-4-(4-chlorophenyl)-2-methyl-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



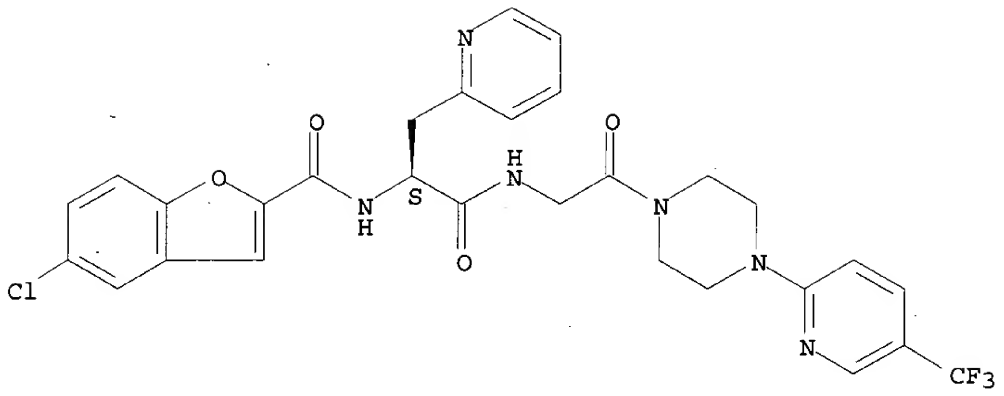
RN 337530-44-6 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[4-(2,4-difluorophenyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



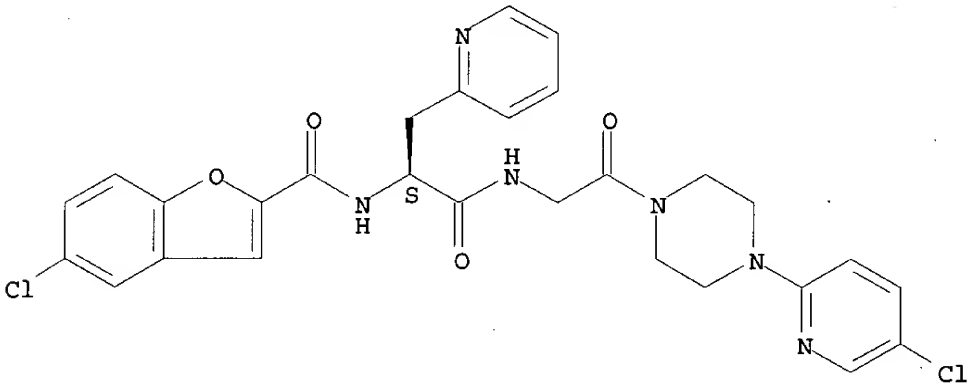
RN 337530-46-8 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-oxo-2-[4-[5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]ethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



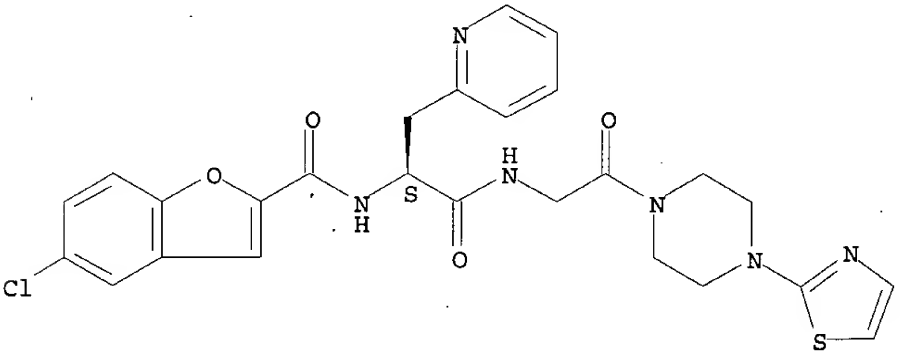
RN 337530-48-0 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[4-(5-chloro-2-pyridinyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 337530-50-4 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-oxo-2-[4-(2-thiazolyl)-1-piperazinyl]ethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

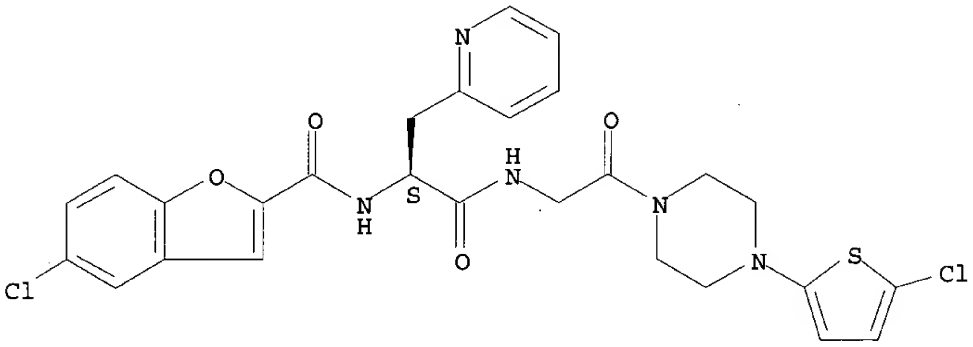
Absolute stereochemistry.



RN 337530-52-6 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-

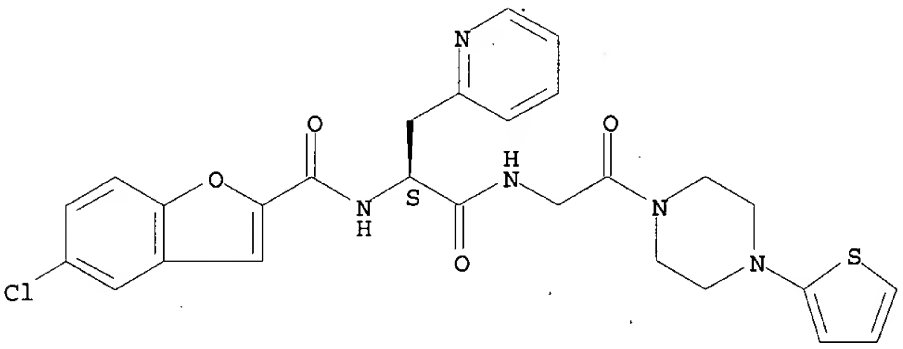
N-[2-[4-(5-chloro-2-thienyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



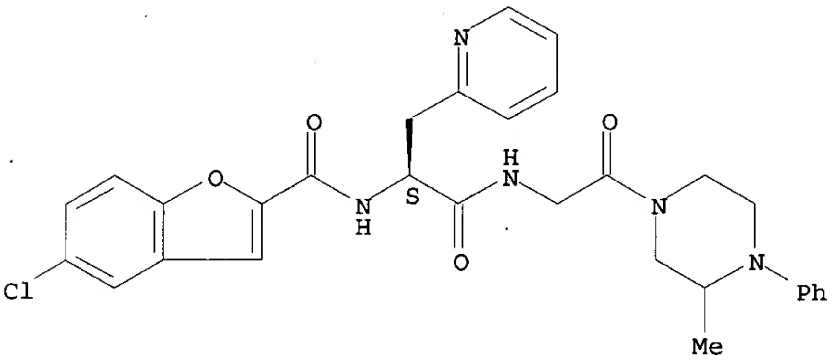
RN 337530-55-9 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-
N-[2-oxo-2-[4-(2-thienyl)-1-piperazinyl]ethyl]-, (.alpha.S)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



RN 337530-63-9 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-
N-[2-(3-methyl-4-phenyl-1-piperazinyl)-2-oxoethyl]-, (.alpha.S)- (9CI)
(CA INDEX NAME)

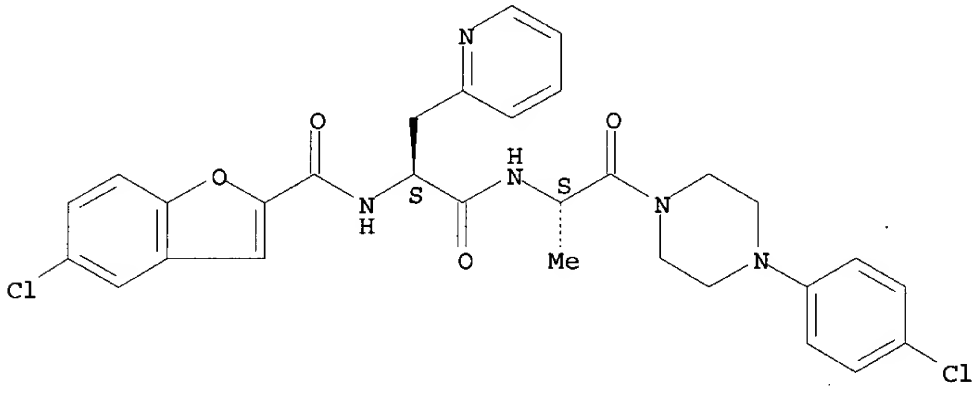
Absolute stereochemistry.



RN 337530-69-5 CAPLUS

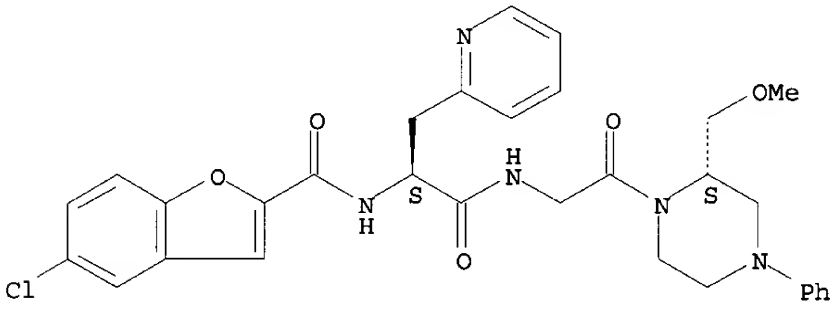
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[(1S)-2-[4-(4-chlorophenyl)-1-piperazinyl]-1-methyl-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



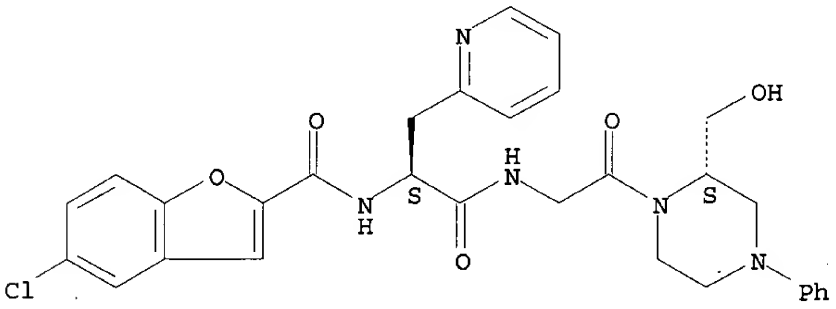
RN 337530-76-4 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[(2S)-2-(methoxymethyl)-4-phenyl-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 337530-77-5 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[(2S)-2-(hydroxymethyl)-4-phenyl-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

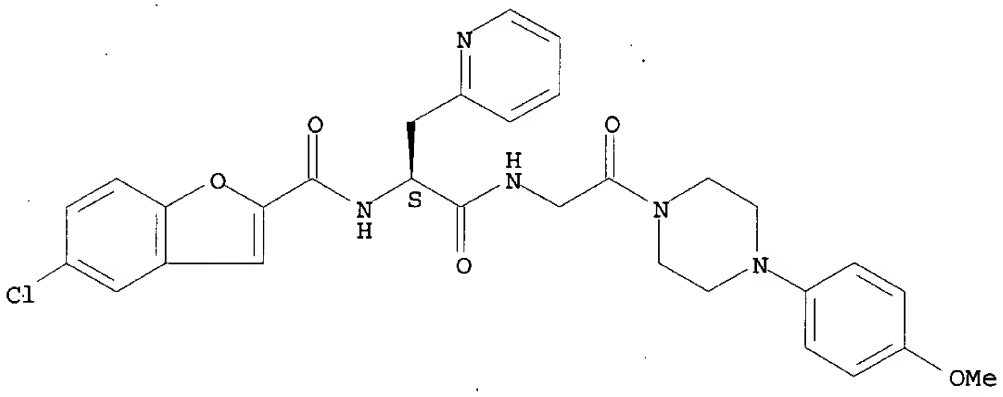
Absolute stereochemistry.



RN 337530-78-6 CAPLUS

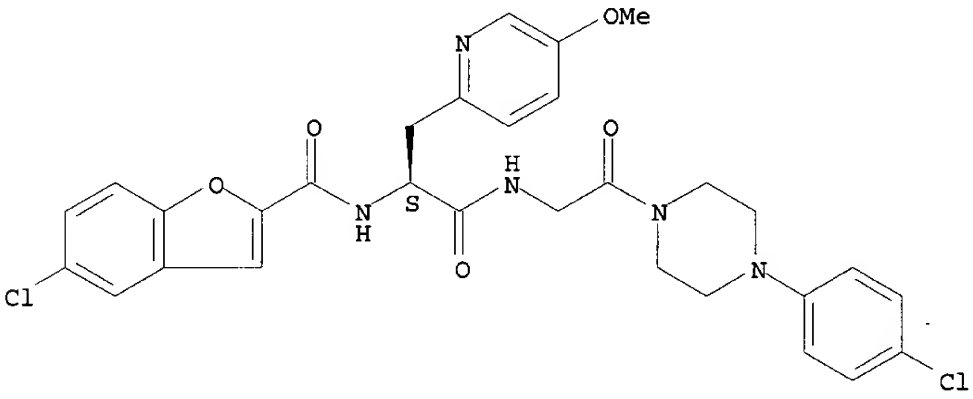
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



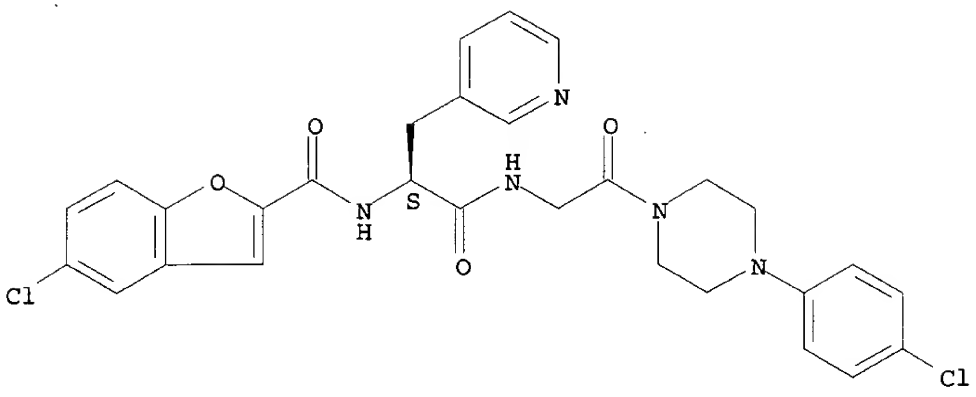
RN 337530-80-0 CAPLUS
CN 2-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxoethyl]-5-methoxy-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



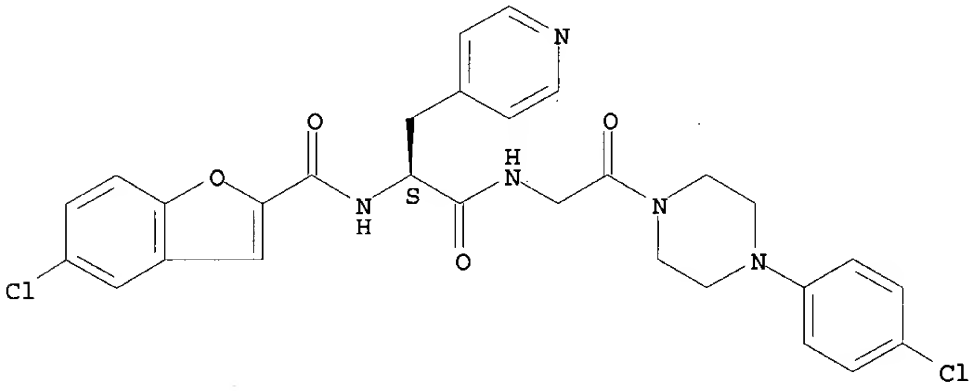
RN 337530-81-1 CAPLUS
CN 3-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 337530-82-2 CAPLUS
CN 4-Pyridinepropanamide, .alpha.-[[(5-chloro-2-benzofuranyl)carbonyl]amino]-N-[2-[4-(4-chlorophenyl)-1-piperazinyl]-2-oxoethyl]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT